

wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₄-hydroxyalkyl, hydroxy, C₁-C₄-alkoxy, benzyloxy, C₂-C₄-alkanoyloxy, C₁-C₄-alkylthio, C₂-C₅-alkoxycarbonyl, aminocarbonyl, C₃-C₉-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy, NR⁵R⁶, and bridged R¹R² wherein

R⁵ is selected from the group consisting of hydrogen and C₁-C₆-alkyl; and

R⁶ is selected from the group consisting of hydrogen and C₁-C₆-alkyl;

R² is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and hydroxy and bridged R¹R²;

wherein

bridged R¹R² is where R¹R² are adjacent and form a bridge which is selected from the group consisting of -(CH₂)₄-, -(CH=CH)₂- and -CH₂O-CR⁷R⁸-O-; wherein

R⁷ is selected from the group consisting of hydrogen, and

C₁-C₆-alkyl; and

R⁸ is selected from the group consisting of hydrogen and C₁-C₆-alkyl;

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano, or phenyl, C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, or cyano, and ethynylene;

D is selected from the group consisting of C₁-C₁₀-alkylene,

a substituted C₁-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

C₂-C₁₀-alkenylene,

a substituted C₂-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

a C₂-C₁₀-alkenylene wherein the double bond is to ring E,

a substituted C₂-C₁₀-alkenylene which is substituted once

or twice by C_1 - C_3 -alkyl or hydroxy, wherein the double bond is to E,

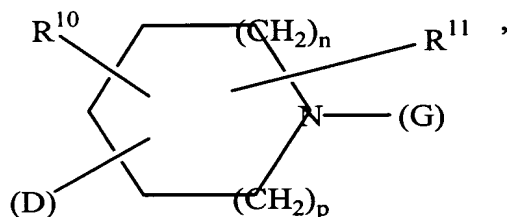
C_3 - C_{10} -alkynylene,

a substituted C_3 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

a C_1 to C_{10} group selected from the group consisting of C_1 - C_{10} -alkylene, C_2 - C_{10} -alkenylene and C_3 - C_{10} -alkynylene, the C_1 to C_{10} group having methylene units wherein one to three of the methylene units are isosterically replaced by O, S, NR^9 , CO, SO or SO_2 ; wherein

R^9 is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, C_2 - C_6 -acyl and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2, or 3, wherein $n + p \leq 3$,

R^{10} is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, hydroxy, hydroxymethyl, carboxy and C_2 - C_7 -alkoxycarbonyl;

R^{11} is selected from the group consisting of hydrogen and

an oxo group adjacent to the nitrogen atom in E;

19' G is selected from the group consisting of hydrogen,
G1, G2, G3, G4 and G5; wherein

G1 is $-(CH_2)_r-(CR^{13}R^{14})_s-R^{12}$

wherein

r is 0, 1 or 2, and

s is 0 or 1,

R^{12} is selected from the group consisting of
hydrogen,

C_1 - C_6 -alkyl,

C_3 - C_6 -alkenyl,

C_3 - C_6 -alkinyl,

C_3 - C_8 -cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles
which heterocycles contain one to three hetero-atoms selected
from the group consisting of N, S and O, which heterocycles
are either bound directly to or over a methylene group,

an anellated bi- and tricyclic aromatic or partially
hydrogenated carbocyclic ring system with 8 to 16 ring atoms
and at least one aromatic ring and the carbocyclic ring and
aromatic ring being bonded with a bond which is either over an
aromatic or a hydrogenated ring and either directly or over a
methylene group, and

an anellated bi- and tricyclic aromatic or partially
hydrogenated heterocyclic ring systems with 8 to 16 ring atoms

8' and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the carbocyclic ring and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring, and either directly or over a methylene group;

R¹³ has the same meaning as R¹², but is selected independently thereof,

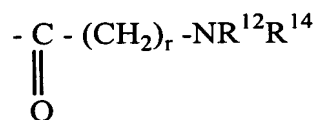
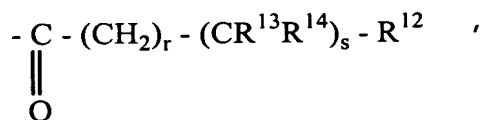
R¹⁴ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O and are bound either directly or over a methylene group,

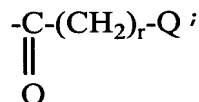
an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and the aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O and the heterocyclic ring and aromatic ring being bonded with a bond which is over an aromatic or a hydrogenated ring and either directly or over a methylene group;

G2 is selected from the group consisting of



and



wherein R^{12} and R^{14} have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eight-membered heterocycles,

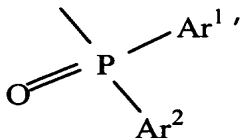
saturated and unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, and

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{12}$,

G4 is



wherein

Ar^1 is selected from the group consisting of phenyl, pyridyl and naphthyl; and

Ar^2 is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is $-\text{COR}^{15}$,

wherein

R^{15} is selected from the group consisting of trifluoromethyl, $\text{C}_1\text{-C}_6\text{-alkoxy}$, $\text{C}_3\text{-C}_6\text{-alkenyloxy}$ and benzyloxy; and

wherein aromatic rings in R^1 , R^4 , R^{12} , R^{13} , R^{14} , R^{15} , Q, Ar^1 and Ar^2 are unsubstituted or substituted, the substituted rings in R^1 , R^4 , R^{12} , R^{13} , R^{14} , R^{15} , Q, Ar^1 and Ar^2 having one to three substituents which are independently selected from the group consisting of halogen, cyano, $\text{C}_1\text{-C}_6\text{-alkyl}$, trifluoromethyl, $\text{C}_3\text{-C}_8\text{-cycloalkyl}$, phenyl, benzyl, hydroxy, $\text{C}_1\text{-C}_6\text{-alkoxy}$, and a substituted $\text{C}_1\text{-C}_6\text{-alkoxy}$ which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, $\text{C}_1\text{-C}_6\text{-}$

alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, and di-(C₁-C₆-alkyl)-amino, wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

43. (Twice amended) A compound according to claim 42, wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, methyl, trifluoromethyl, hydroxy, C₁-C₄-alkoxy, ethylthio, methoxycarbonyl, tert-butoxycarbonyl, aminocarbonyl, carboxy, and phenoxy,

R² is selected from the group consisting of hydrogen, halogen, trifluoromethyl and hydroxy,

R³ is hydrogen or halogen,

R⁴ is selected from the group consisting of hydrogen, C₁-C₃-alkyl, hydroxy and C₁-C₃-alkoxy,

k is 0 or 1,

A is selected from the group consisting of C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once or twice by C₁-C₃-alkyl, hydroxy or fluorine,

a C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted by C₁-C₃-alkyl or by 1 or 2 fluorine atoms,

1,3,5-hexatrienylene, and

a substituted 1,3,5-hexatrienylene which is substituted

by fluorine,

D is selected from the group consisting of C₁-C₈-alkylene,

a substituted C₁-C₈-alkylene which is substituted once or twice by methyl or hydroxy,

C₂-C₈-alkenylene,

a substituted C₂-C₈-alkenylene which is substituted once or twice by methyl or hydroxy,

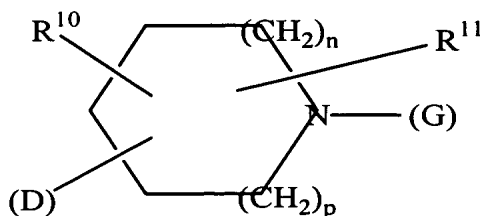
an E double bonded substituted C₂-C₈-alkenylene which has a double bond to ring E,

C₃-C₈-alkynylene,

a substituted C₃-C₈-alkynylene which is substituted once or twice by methyl or hydroxy, and

a C₁ to C₈ group selected from the group consisting of C₁-C₈-alkylene, C₂-C₈-alkenylene and C₃-C₈-alkynylene, the C₁ to C₈ group having methylene units wherein one to three methylene units are isosterically replaced by O, S, NH, N(CH₃), N(COCH₃), N(SO₂CH₃), CO, SO or SO₂,

E is



wherein n and p are, independent of each other, 0, 1, 2, or 3, wherein n + p ≤ 3,

D'
R¹⁰ is selected from the group consisting of hydrogen, C₁-C₃-alkyl, hydroxy, hydroxymethyl, carboxy and C₂-C₇-alkoxycarbonyl;

R¹¹ is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen, G₁, G₂, G₃, G₄ and G₅; wherein

G₁ is - (CH₂)_r - (CR¹³R¹⁴)_s - R¹²
wherein

r is 0, 1 or 2, and
s is 0 or 1,

R¹² is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₈-cycloalkyl, benzyl, phenyl, benzocyclobutyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, biphenylenyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl, tetrahydrodibenzocyclooctenyl, oxotetrahydrodibenzocyclooctenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, oxoindolinyl,

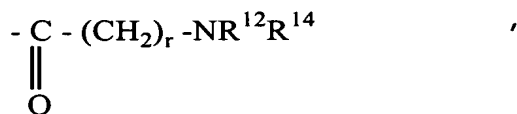
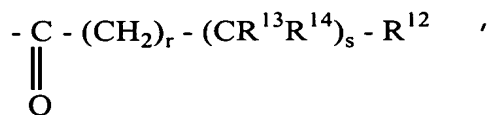
① dioxoindolinyl, benzoxazolyl, oxobenzoxazoliny, benzisoxazolyl, oxobenzisoxazoliny, benzothiazolyl, oxobenzthiazoliny, benzoisothiazolyl, oxobenzoisothiazoliny, benzimidazolyl, oxobenzimidazoliny, indazolyl, oxoindazoliny, benzofurazanyl, benzothiadiaazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinoliny, tetrahydroquinolyl, oxotetrahydroquinoliny, benzodioxanyl, quinoxaliny, quinazoliny, naphthyridiny, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl, acridiny, oxodihydroacridiny, phenothiaziny, dihydrodibenzoxepiny, oxodihydrodibenzoxepiny, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dihydrodibenzazepiny, oxodihydrodibenzazepiny, octahydrodibenzazepiny, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, dihydropyridobenzodiazepiny, dihydrodibenzoxazepiny, dihydropyridobenzoxepiny, dihydropyridobenzoxazepiny, oxodihydropyridobenzoxazepiny, dihydrodibenzothiazepiny, oxodihydrodibenzothiazepiny, dihydropyridobenzothiazepiny, and oxodihydropyridobenzothiazepiny,

R¹³ has the same meaning as R¹², but is selected independently therefrom,

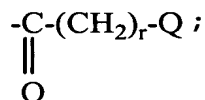
R¹⁴ is selected from the group consisting of hydrogen,

hydroxy, methyl, benzyl, phenyl, indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl, and tetrahydroquinolyl,

G2 is selected from the group consisting of



and

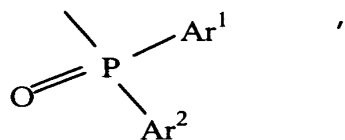


wherein R^{12} and R^{14} have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of azetidine, pyrrolidine, piperidine, (1H)tetrahydropyridine, hexahydroazepine, (1H)tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, thiomorpholine, thiomorpholine-1,1-

dioxide, 5-aza-bicyclo[2.1.1]hexane, 2-aza-bicyclo[2.2.1]heptane, 7-aza-bicyclo[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-aza-bicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, 9-azabicyclo[3.3.1]nonane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H)-dibenzazepine, (5H)-dihydrodibenzazepine, (5H)-octahydrodibenzazepine, (5H)-dihydrodibenzodiazepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine, and (5H)-tetrahydrodibenzazocine,

G3 is $-\text{SO}_2-(\text{CH}_2)_x-\text{R}^{12}$,

G4 is



wherein

Ar¹ and

91 Ar² are selected independently of each other from the group consisting of phenyl, pyridyl and naphthyl;

G5 is -COR¹⁵ ,

wherein

R¹⁵ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy and benzyloxy; and

wherein aromatic rings are substituted or unsubstituted independently of each other by one to three substituents which are independently selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-alkoxy, and a substituted C₁-C₆-alkoxy which is entirely or partially substituted by fluorine; benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, and di-(C₁-C₆-alkyl)-amino.

44. (Twice amended) A compound according to claim 43 wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, methyl, trifluoromethyl, hydroxy, methoxy and methoxycarbonyl,

R² is hydrogen or halogen,

R³ is hydrogen,

R⁴ is selected from the group consisting of hydrogen, C₁-C₃-alkyl and hydroxy,

9¹
k is 0 or 1,

A is selected from the group consisting of C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted once or twice by hydroxy or fluorine,

C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted by one or two fluorine atoms, and

1,3,5-hexatrienylene

D is selected from the group consisting of C₂-C₈-alkylene,

a substituted C₂-C₈-alkylene which is substituted by methyl or hydroxy

C₂-C₈-alkenylene,

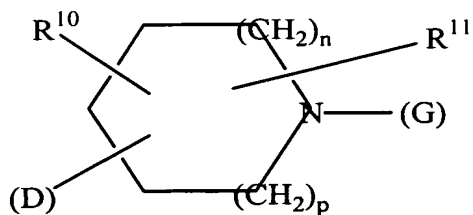
a substituted C₂-C₈-alkenylene which is substituted by methyl or hydroxy,

a C₂-C₈-alkenylene wherein the double bond is to ring E,

a substituted C₂-C₈-alkenylene which is substituted by methyl or hydroxy, wherein the double bond is to ring E,

a C₂ to C₈ group selected from the group consisting of C₂-C₈-alkylene and C₂-C₈-alkenylene, the C₂ to C₈ group having methylene units wherein one to three of the methylene units are isosterically replaced by O, NH, N(CH₃), N(COCH₃), N(SO₂CH₃) or CO,

E is

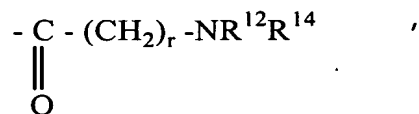
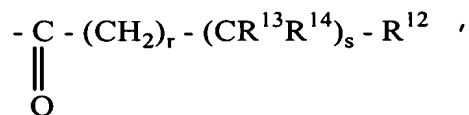
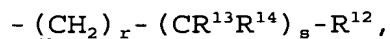


wherein n and p are, independent of each other, 0, 1, 2, or 3, wherein $n + p \leq 3$,

R^{10} is selected from the group consisting of hydrogen, methyl and hydroxyl,

R^{11} is hydrogen or an oxo group adjacent to the nitrogen atom,

G is selected from the group consisting of hydrogen, C_3 - C_8 -cycloalkyl, methoxycarbonyl, tert-butoxycarbonyl, benzyloxycarbonyl, trifluoroacetyl, diphenylphosphinoyl,



and



wherein

D'
r is 0, 1 or 2,

s is 0 or 1,

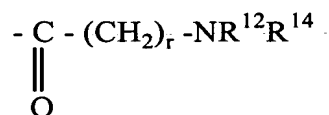
R¹² is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, flourenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl bound directly or over a methylene group, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzisoxazolyl, oxobenzisoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzoisothiazolyl, oxobenzoisothiazolyl, benzimidazolyl, oxobenzimidazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinoxalyl, quinazolyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl,

D¹
 acridinyl, oxodihydroacridinyl, phenothiazinyl,
 dihydrodibenzoxepinyl, benzocycloheptathienyl,
 oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl,
 oxodihydrothienobenzothiepinyl, dihydrodibenzothiepinyl,
 oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl,
 oxodihydrodibenzazepinyl, octahydrodibenzazepinyl,
 benzocycloheptapyridyl, oxobenzocycloheptapyridyl,
 dihydropyridobenzoxepinyl, dihydrodibenzothiazepinyl, and
 oxodihydrodibenzothiazepinyl,

R¹³ is selected from the group consisting of hydrogen,
 methyl, benzyl and phenyl,

R¹⁴ is selected from the group consisting of hydrogen,
 hydroxy, methyl, benzyl, phenyl, naphthyl, furyl, thienyl,
 oxazolyl, thiazolyl, pyrazolyl, imidazolyl, oxadiazolyl,
 thiadiazolyl, pyridyl, benzofuryl, benzothienyl, indolyl,
 indolinyl, benzoxazolyl, benzothiazolyl, benzimidazolyl,
 chromanyl, quinolyl and tetrahydroquinolyl,

wherein in formula



-NR¹²R¹⁴ may be selected from the group consisting of
 pyrrolidine, piperidine, (1H)-tetrahydropyridine,
 hexahydroazepine, octahydroazocine, piperazine,
 hexahydrodiazepine, morpholine, hexahydrooxazepine, 2-
 azabicyclo[2.2.1]heptane, 7-azabicyclo[2.2.1]heptane, 2,5-
 diazabicyclo[2.2.1]heptane, 8-azabicyclo[3.2.1]octane, 2,5-
 diazabicyclo[2.2.2]octane, indoline, isoindoline, (1H)-
 dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-

P1
tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-
dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)-
tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine,
(5H)-tetrahydrobenzo[b]oxazepine, (5H)-
tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-
pyrido[3,4-b]indole, (10H)-dihydroacridine, 1,2,3,4-
tetrahydroacridanone, (5H)-dihydrodibenzazepine, (5H)-
dihydrodibenzodiazepine, (11H)-dihydrodibenzo[b,e]oxazepine,
(11H)-dihydrodibenzo[b,e]thiazepine, (10H)-
dihydrodibenzo[b,f]oxazepine and (5H)-
tetrahydrodibenzazocine,

wherein aromatic rings are substituted or unsubstituted independently of each other by one to three substituents independently selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-alkoxy, and a substituted C₁-C₆-alkoxy entirely or partially substituted by fluorine; benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, and di-(C₁-C₆-alkyl)-amino.

45. (Twice amended) A compound according to claim 44, wherein

R¹ is selected from the group consisting of hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl and hydroxy,

R² and

R³ are hydrogen,

R⁴ is hydrogen or hydroxy,

k is 0 or 1,

9¹ A is selected from the group consisting of C₂-C₄-alkenylene,

1,3-butadienylene,

a C₂-C₄-alkenylene substituted by fluorine, and

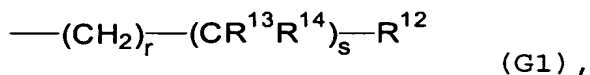
a 1,3-butadienylene substituted by fluorine,

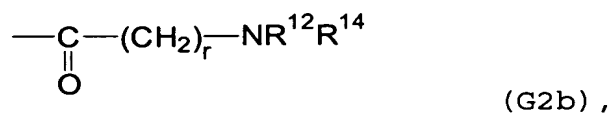
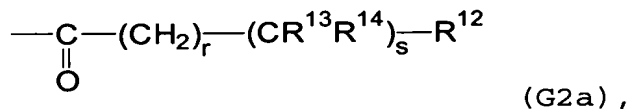
D is selected from the group consisting of C₂-C₆-alkylene, C₂-C₆-alkenylene, and C₂-C₆-alkenylene wherein the double bond of the C₂-C₆-alkenylene is to ring E, and

a C₂ to C₆ group selected from the group consisting of C₂-C₆-alkylene and C₂-C₆-alkenylene, the C₂ to C₆ group having a methylene unit, wherein the methylene unit is isosterically replaced by O, NH, N(CH₃) or CO, or the C₂ to C₆ group having an ethylene group which is isosterically replaced by NH-CO or CO-NH, or the C₂ to C₆ group having a propylene group which is isosterically replaced by NH-CO-O or O-CO-NH,

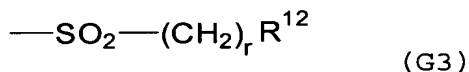
E is selected from the group consisting of piperidine, and a substituted piperidine wherein the heterocyclic ring is substituted by an oxo group adjacent to the nitrogen atom,

G is selected from the group consisting of hydrogen, tert-butoxycarbonyl, diphenylphosphinoyl,





and



wherein

r is 0 or 1,

s is 0 or 1,

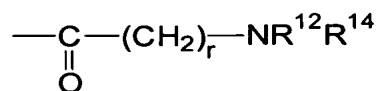
R¹² is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, and dihydrodibenzocycloheptenyl, bound directly or over a methylene group, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzimidazolyl, oxobenzimidazolyl, benzofurazanyl, benzotriazolyl; oxazolopyridyl,

9' oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinazolinyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, and dihydrodibenzothiazepinyl,

R¹³ is selected from the group consisting of hydrogen, methyl, benzyl and phenyl,

R¹⁴ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl, naphthyl, furyl, thienyl, pyridyl, benzofuryl, benzothienyl, indolyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl and tetrahydroquinolyl,

wherein in the formula



(G2b)

---NR¹²R¹⁴ may be selected from pyrrolidine, piperidine, hexahydroazepine, morpholine, 2,5-diazabicyclo[2.2.1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-

9' tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydroacridanone, (5H)-dihydrodibenzazepine, (11H)-dihydrodibenzo[b,e]oxazepine and (11H)-dihydrodibenzo[b,e]thiazepine,

wherein aromatic rings are substituted or unsubstituted, independently of each other, by one to three substituents which are independently selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-alkoxy, a substituted C₁-C₆-alkoxy which is entirely or partially substituted by fluorine; benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl)-amino.

46. (Twice amended) A compound according to claim 45, wherein:

R¹ is selected from the group consisting of hydrogen, fluorine, methyl, trifluoromethyl and hydroxy,

R² and

R³ are hydrogen,

R⁴ is hydrogen or hydroxy,

k is 0,

A is ethenylene or 1,3-butadienylene

D is selected from the group consisting of C₂-C₆-alkylene, C₂-C₆-alkenylene, and a C₂-C₆-alkenylene wherein the

double bond of the C₂-C₆-alkenylene is to ring E,

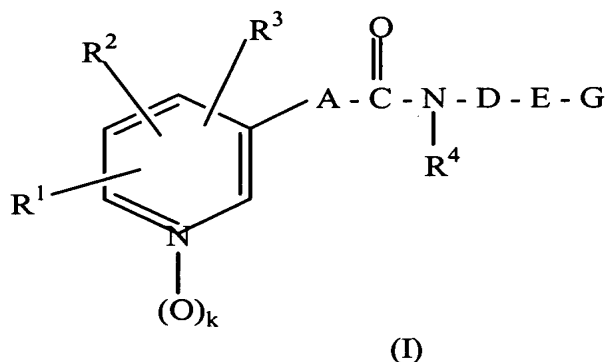
B'
E is selected from the group consisting of pyrrolidine, piperidine, hexahydroazepine and morpholine,

G is selected from the group consisting of benzyl, phenethyl, fluorenylmethyl, anthrylmethyl, diphenylmethyl, fluorenyl, dihydrodibenzocycloheptenyl, furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenyl-thienylmethyl, phenyl-pyridylmethyl, dihydrodibenzoxepinyl, dihydrodibenzothiepinyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl, diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, anthrylcarbonyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl, furoyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl, naphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolinyl-1-carbonyl, dihydrodibenzazepin-N-carbonyl, tetrahydroquinolinyl-N-carbonyl, tetrahydrobenzo[b]azepinyl-N-carbonyl, methanesulfonyl, phenylsulfonyl, p-toluenesulfonyl, naphthylsulfonyl, quinolinsulfonyl, and diphenylphosphinoyl,

wherein aromatic rings are substituted or unsubstituted independently of each other by one to three substituents which are independently selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-alkoxy, C₁-C₆-alkoxy, entirely or partially substituted by fluorine;

B¹ benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino and di-(C₁-C₆-alkyl)-amino, wherein two adjacent groups in the ring or ring system may form an additional ring over a methylenedioxy bridge.

B² 56. (Twice amended) A pharmaceutical composition comprising one or more of the compounds according to formula (I) and pharmaceutically acceptable acid addition salts of formula (I)



wherein:

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₄-hydroxyalkyl, hydroxy, C₁-C₄-alkoxy, benzyloxy, C₂-C₄-alkanoyloxy, C₁-C₄-alkylthio, C₂-C₅-alkoxycarbonyl, aminocarbonyl, C₃-C₉-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy, NR⁵R⁶, and bridged R¹R²; wherein

R⁵ is selected from the group consisting of hydrogen and C₁-C₆-alkyl; and

R⁶ is selected from the group consisting of hydrogen and C₁-C₆-alkyl;

P²
R² is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and hydroxy and bridged R¹R²;

wherein

bridged R¹R² is where R¹R² are adjacent and form a bridge which is selected from the group consisting of -(CH₂)₄-, -(CH=CH)₂- and -CH₂O-CR⁷R⁸-O-; wherein

R⁷ is selected from the group consisting of hydrogen, and C₁-C₆-alkyl; and

R⁸ is selected from the group consisting of hydrogen and C₁-C₆-alkyl;

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano, or phenyl, C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, or cyano, and ethynylene;

D is selected from the group consisting of

C₁-C₁₀-alkylene,

a substituted C₁-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

C₂-C₁₀-alkenylene,

a substituted C₂-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

a C₂-C₁₀-alkenylene wherein the double bond is to E,

a substituted C₂-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy, wherein the double bond is to E,

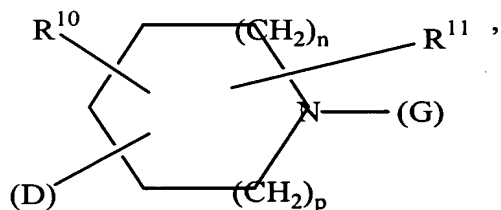
C₃-C₁₀-alkynylene,

a substituted C₃-C₁₀-alkynylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

an isosterically replaced C₁ to C₁₀ group selected from the group consisting of C₁-C₁₀-alkylene, C₂-C₁₀-alkenylene and C₃-C₁₀-alkynylene, the isosterically replaced C₁ to C₁₀ group having methylene units and one to three of the methylene units are isosterically replaced by O, S, NR⁹, CO, SO or SO₂; wherein

R⁹ is selected from the group consisting of hydrogen, C₁-C₃-alkyl, C₂-C₆-acyl and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2, or 3 wherein $n + p \leq 3$,

9² R¹⁰ is selected from the group consisting of hydrogen, C₁-C₃-alkyl, hydroxy, hydroxymethyl, carboxy and C₂-C₇-alkoxycarbonyl;

R¹¹ is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5; wherein

G1 is $-(CH_2)_r-(CR^{13}R^{14})_s-R^{12}$
wherein

r is 0, 1 or 2, and

s is 0 or 1,

R¹² is selected from the group consisting of
hydrogen,
C₁-C₆-alkyl,
C₃-C₆-alkenyl,
C₃-C₆-alkinyl,
C₃-C₈-cycloalkyl,
benzyl,
phenyl,

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O,
an anellated bi- and tricyclic aromatic or partially

hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

P² an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

R¹³ has the same meaning as R¹², but is selected independently thereof;

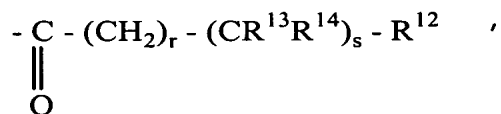
R¹⁴ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

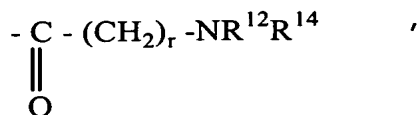
monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

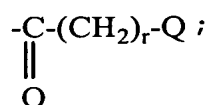
an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O;

G2 is selected from the group consisting of





² and



wherein R^{12} and R^{14} have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eight-membered heterocycles,

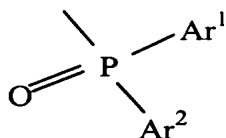
saturated and unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms;

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{12}$,

G4 is



wherein

Ar^1 is selected from the group consisting of phenyl, pyridyl and naphthyl; and

Ar^2 is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is $-\text{COR}^{15}$,

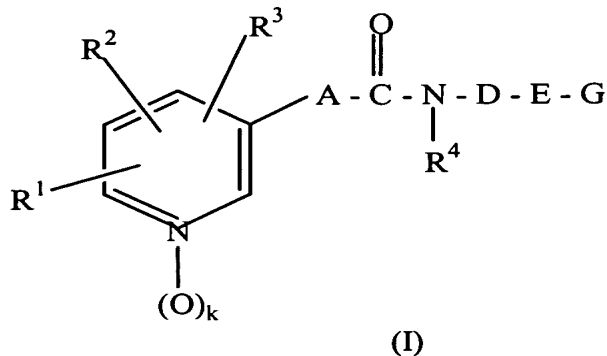
wherein

R^{15} is selected from the group consisting of trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy and benzyloxy; and

wherein aromatic rings in R^1 , R^4 , R^{12} , R^{13} , R^{14} , R^{15} , Q, Ar^1 and Ar^2 are unsubstituted or substituted, the substituted rings in R^1 , R^4 , R^{12} , R^{13} , R^{14} , R^{15} , Q, Ar^1 and Ar^2 having one to three substituents which are independently selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_6 -cycloalkyl, phenyl, benzyl, hydroxy, C_1 - C_6 -alkoxy, and a substituted C_1 - C_6 -alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C_1 - C_6 -alkylthio, carboxy, C_2 - C_6 -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- C_1 - C_6 -alkylamino, and di- $(\text{C}_1$ - C_6 -alkyl)-amino,

wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

64. (once amended) A method of inhibiting tumor cell growth in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting tumor cell growth, wherein the pharmaceutical composition includes a compound of general formula (I)



wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_4 -hydroxyalkyl, hydroxy, C_1 - C_4 -alkoxy, benzyloxy, C_2 - C_4 -alkanoyloxy, C_1 - C_4 -alkylthio, C_2 - C_5 -alkoxycarbonyl, aminocarbonyl, C_3 - C_9 -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy, NR^5R^6 , and bridged R^1R^2 ; wherein

R^5 is selected from the group consisting of hydrogen and C_1 - C_6 -alkyl; and

R^6 is selected from the group consisting of hydrogen and C_1 - C_6 -alkyl;

R^2 is selected from the group consisting of hydrogen, halogen, C_1 - C_6 -alkyl, trifluoromethyl and hydroxy and bridged R^1R^2 ;

wherein

3
bridged R^1R^2 is where R^1R^2 are adjacent and form a bridge which is selected from the group consisting of $-(CH_2)_4-$, $-(CH=CH)_2-$ and $-CH_2O-CR^7R^8-O-$; wherein

R^7 is selected from the group consisting of hydrogen, and C_1-C_6 -alkyl; and

R^8 is selected from the group consisting of hydrogen and C_1-C_6 -alkyl;

R^3 is selected from the group consisting of hydrogen, halogen and C_1-C_6 -alkyl;

R^4 is selected from the group consisting of hydrogen, C_1-C_6 -alkyl, C_3-C_6 -alkenyl, hydroxy, C_1-C_6 -alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C_2-C_6 -alkenylene,

a substituted C_2-C_6 -alkenylene which is substituted one to three-fold by C_1-C_3 -alkyl, hydroxy, fluorine, cyano, or phenyl,

C_4-C_6 -alkadienylene,

a substituted C_4-C_6 -alkadienylene which is substituted once or twice by C_1-C_3 -alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C_1-C_3 -alkyl, fluorine, or cyano, and ethynylene;

D is selected from the group consisting of

C_1 - C_{10} -alkylene,

D^3 a substituted C_1 - C_{10} -alkylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

C_2 - C_{10} -alkenylene,

a substituted C_2 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

a C_2 - C_{10} -alkenylene wherein the double bond is to E,

a substituted C_2 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy, wherein the double bond is to E,

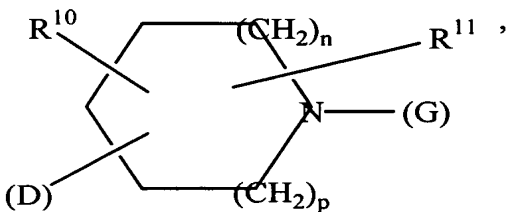
C_3 - C_{10} -alkynylene,

a substituted C_3 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

a C_1 to C_{10} group selected from the group consisting of C_1 - C_{10} -alkylene, C_2 - C_{10} -alkenylene and C_3 - C_{10} -alkynylene, the C_1 to C_{10} group having methylene units wherein one to three of the methylene units are isosterically replaced by O, S, NR^9 , CO, SO or SO_2 ; wherein

R^9 is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, C_2 - C_6 -acyl and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2,

or 3 wherein $n + p \leq 3$,

8³ R¹⁰ is selected from the group consisting of hydrogen, C₁-C₃-alkyl, hydroxy, hydroxymethyl, carboxy and C₂-C₇-alkoxycarbonyl;

R¹¹ is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen, G1, G2, G3, G4 and G5; wherein

G1 is $-(CH_2)_r-(CR^{13}R^{14})_s-R^{12}$
wherein

r is 0, 1 or 2, and

s is 0 or 1,

R¹² is selected from the group consisting of hydrogen,

C₁-C₆-alkyl,

C₃-C₆-alkenyl,

C₃-C₆-alkinyl,

C₃-C₈-cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles which heterocycles contain one to three hetero-atoms selected from the group consisting of N, S and O,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

9³ a N, S, O anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring;

R¹³ has the same meaning as R¹², but is selected independently thereof;

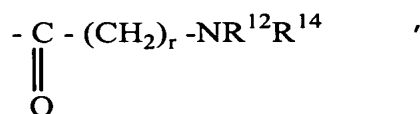
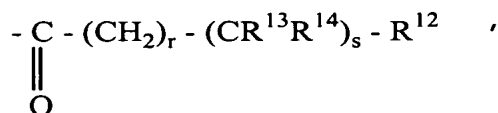
R¹⁴ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O,

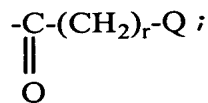
an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O;

G2 is selected from the group consisting of



and



wherein R^{12} and R^{14} have the above meaning, and Q is a nitrogen-containing heterocycle, the nitrogen-containing heterocycle being selected from the group consisting of

saturated and unsaturated monocyclic, four- to eight-membered heterocycles,

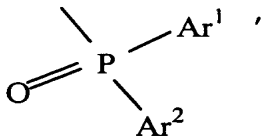
saturated and unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms;

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is $-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{12}$,

G4 is



wherein

9³ Ar¹ is selected from the group consisting of phenyl, pyridyl and naphthyl; and

Ar² is selected from the group consisting of phenyl, pyridyl and naphthyl;

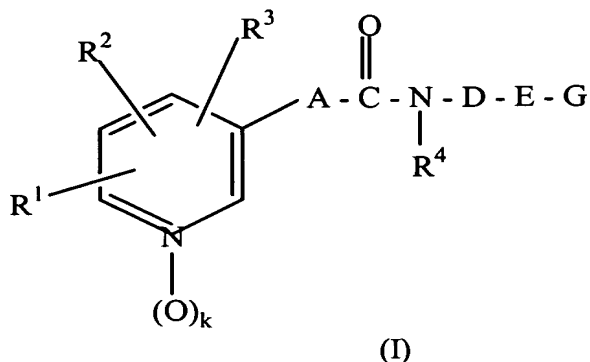
G5 is -COR¹⁵,

wherein

R¹⁵ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy and benzyloxy; and

wherein aromatic rings in R¹, R⁴, R¹², R¹³, R¹⁴, R¹⁵, Q, Ar¹ and Ar² are unsubstituted or substituted, the substituted rings in R¹, R⁴, R¹², R¹³, R¹⁴, R¹⁵, Q, Ar¹ and Ar² having one to three substituents which are independently selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₆-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-alkoxy, and a substituted C₁-C₆-alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, and di-(C₁-C₆-alkyl)-amino.

65. (Twice amended) A method of suppressing autoimmune disease in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for suppressing autoimmune disease, wherein the pharmaceutical composition includes a compound of general formula (I) or a pharmaceutically acceptable acid addition salt of formula (I)



wherein:

R^1 is selected from the group consisting of hydrogen, halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, C_1 - C_4 -hydroxyalkyl, hydroxy, C_1 - C_4 -alkoxy, benzyloxy, C_2 - C_4 -alkanoyloxy, C_1 - C_4 -alkylthio, C_2 - C_5 -alkoxycarbonyl, aminocarbonyl, C_3 - C_9 -dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy, NR^5R^6 , and bridged R^1R^2 ; wherein

R^5 is selected from the group consisting of hydrogen and C_1 - C_6 -alkyl; and

R^6 is selected from the group consisting of hydrogen and C_1 - C_6 -alkyl;

R^2 is selected from the group consisting of hydrogen, halogen, C_1 - C_6 -alkyl, trifluoromethyl and hydroxy and bridged R^1R^2 ;

wherein

bridged R^1R^2 is where R^1R^2 are adjacent and form a bridge which is selected from the group consisting of $-(CH_2)_4-$, $-(CH=CH)_2-$ and $-CH_2O-CR^7R^8-O-$; wherein

93
R⁷ is selected from the group consisting of hydrogen, and C₁-C₆-alkyl; and

R⁸ is selected from the group consisting of hydrogen and C₁-C₆-alkyl;

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, hydroxy, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A is selected from the group consisting of C₂-C₆-alkenylene,

a substituted C₂-C₆-alkenylene which is substituted one to three-fold by C₁-C₃-alkyl, hydroxy, fluorine, cyano, or phenyl, C₄-C₆-alkadienylene,

a substituted C₄-C₆-alkadienylene which is substituted once or twice by C₁-C₃-alkyl, fluorine, cyano, or phenyl, 1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C₁-C₃-alkyl, fluorine, or cyano, and ethynylene;

D is selected from the group consisting of C₁-C₁₀-alkylene,

a substituted C₁-C₁₀-alkylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

C₂-C₁₀-alkenylene,

a substituted C₂-C₁₀-alkenylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy,

a C₂-C₁₀-alkenylene wherein the double bond is to E,

a substituted C_2 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy, wherein the double bond is to E,

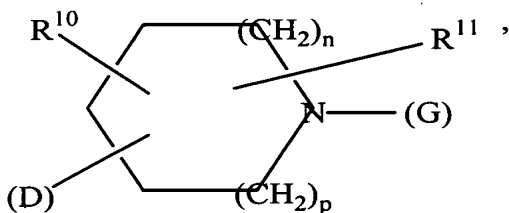
C_3 - C_{10} -alkynylene,

a substituted C_3 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

a C_1 to C_{10} group selected from the group consisting of C_1 - C_{10} -alkylene, C_2 - C_{10} -alkenylene and C_3 - C_{10} -alkynylene, the C_1 to C_{10} group having methylene units wherein one to three of the methylene units are isosterically replaced by O, S, NR^9 , CO, SO or SO_2 ; wherein

R^9 is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, C_2 - C_6 -acyl and methanesulfonyl;

E is



wherein n and p are, independent of each other, 0, 1, 2, or 3 wherein $n + p \leq 3$,

R^{10} is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, hydroxy, hydroxymethyl, carboxy and C_2 - C_7 -alkoxycarbonyl;

R^{11} is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E;

G is selected from the group consisting of hydrogen,
G1, G2, G3, G4 and G5; wherein

D³
G1 is $-(CH_2)_r-(CR^{13}R^{14})_s-R^{12}$

wherein

r is 0, 1 or 2, and

s is 0 or 1,

R¹² is selected from the group consisting of
hydrogen,

C₁-C₆-alkyl,

C₃-C₆-alkenyl,

C₃-C₆-alkinyl,

C₃-C₈-cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles
which heterocycles contain one to three hetero-atoms selected
from the group consisting of N, S and O, which heterocycles
are bound directly to or over a methylene group,

an anellated bi- and tricyclic aromatic or partially
hydrogenated carbocyclic ring system with 8 to 16 ring atoms
and at least one aromatic ring and the carbocyclic ring and
aromatic ring being bonded with a bond which is either over an
aromatic or a hydrogenated ring and either directly or over a
methylene group, and

an anellated bi- and tricyclic aromatic or partially
hydrogenated heterocyclic ring systems with 8 to 16 ring atoms
and at least one aromatic ring, wherein one to three ring
atoms are selected from N, S and O and the carbocyclic ring

and aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring, and either directly or over a methylene group;

23 R¹³ has the same meaning as R¹², but is selected independently thereof;

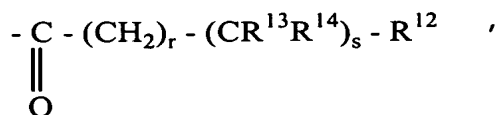
R¹⁴ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl,

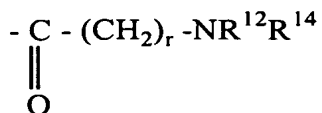
monocyclic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O and are bound either directly or over a methylene group,

an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and the aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

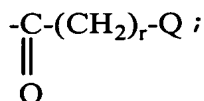
an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O and the heterocyclic ring and aromatic ring being bonded with a bond which is over an aromatic or a hydrogenated ring and either directly or over a methylene group;

G2 is selected from the group consisting of





and



wherein R^{12} and R^{14} have the above meaning, and Q is a nitrogen-containing heterocycle bound over the nitrogen atom, the nitrogen-containing heterocycle being selected from the group consisting of saturated and unsaturated monocyclic, four- to eight-membered heterocycles,

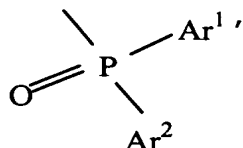
saturated and unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms;

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from an essential nitrogen atom contain one or two further hetero-atoms selected from N, S and O,

G3 is $\text{-SO}_2\text{-(CH}_2\text{)}_r\text{-R}^{12}$,

G4 is



wherein

Ar¹ is selected from the group consisting of phenyl, pyridyl and naphthyl; and

Ar² is selected from the group consisting of phenyl, pyridyl and naphthyl;

G5 is -COR¹⁵,

wherein

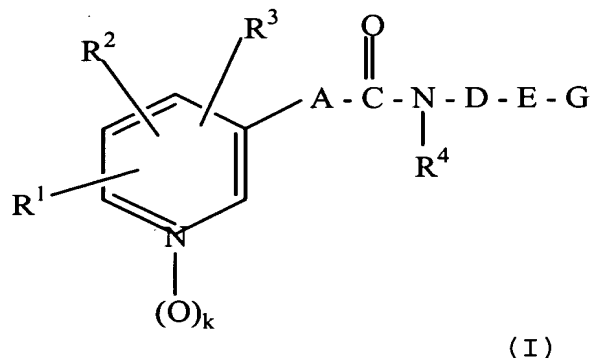
R¹⁵ is selected from the group consisting of trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy and benzyloxy; and

wherein aromatic rings in R¹, R⁴, R¹², R¹³, R¹⁴, R¹⁵, Q, Ar¹ and Ar² are unsubstituted or substituted, the substituted rings in R¹, R⁴, R¹², R¹³, R¹⁴, R¹⁵, Q, Ar¹ and Ar² having one to three substituents which are independently selected from the group consisting of halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆-alkoxy, C₁-C₆-alkoxy, and a C₁-C₆ alkoxy which is entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, carboxy, C₂-C₆-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C₁-C₆-alkylamino, and di-(C₁-C₆-alkyl)-amino.

66. (Once amended) A method of inhibiting tumor cell growth in a human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for inhibiting tumor cell growth, the pharmaceutical composition comprising (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidine-4-yl)ethyl]-2-propenamide hydrochloride.

67. (Once amended) A method of suppressing autoimmune reactions in the human or animal body comprising administering to the human or animal body in need thereof an amount of a pharmaceutical composition effective for suppressing autoimmune reactions, the pharmaceutical composition comprising (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidine-4-yl)ethyl]-2-propenamide hydrochloride.

68. (once amended) A compound of formula (I) and pharmaceutically acceptable acid addition salts of formula (I)



wherein:

R¹ is selected from the group consisting of hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl and hydroxy,

R² and R³ are hydrogen,

R⁴ is hydrogen or hydroxy,

k is 0 or 1,

A is selected from the group consisting of C₂-C₄-

alkenylene,

a substituted C₂-C₄-alkenylene which is substituted with fluorine,

1,3-butadienylene, and

a substituted 1,3-butadienylene which is substituted with fluorine,

D is selected from the group consisting of C₂-C₆-alkylene,

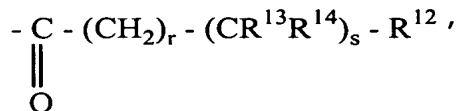
a C₂-C₆-alkenylene wherein the double bond is to E,

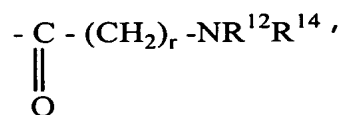
a substituted C₂-C₆-alkynylene which is substituted once or twice by C₁-C₃-alkyl or hydroxy, and

an isosterically replaced C₂-C₆-alkylene wherein a methylene unit of the alkenylene is isosterically replaced by O, NH, N(CH₃) or CO, or a C₂-C₆-alkylene wherein an ethylene group of the alkenylene is isosterically replaced by NH-CO or CO-NH, or a C₂-C₆-alkylene wherein a propylene group of the alkenylene is isosterically replaced by NH-CO-O or O-CO-NH,

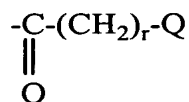
E is selected from pyrrolidine, piperidine, 1,2,5,6-tetrahydropyridine, hexahydroazepine, morpholine and hexahydro-1,4-oxazepine,

G is selected from the group consisting of hydrogen, tert-butoxycarbonyl, diphenylphosphinoyl,





and



wherein r is 0 or 1, and

s is 0 or 1,

R¹² is selected from the group consisting of hydrogen, methyl, benzyl, phenyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolinyl, benzothiazolyl, oxobenzthiazolinyl, benzimidazolyl, oxobenzimidazolinyl, benzofurazanyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinazolinyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl,

9³ oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, and dihydrodibenzothiazepinyl,

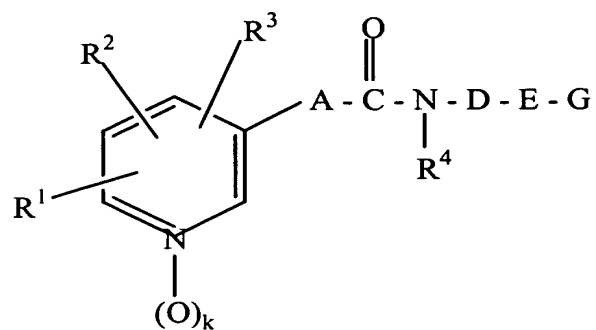
R¹³ is selected from the group consisting of hydrogen, methyl, benzyl or and phenyl,

R¹⁴ is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, phenyl, and

the group consisting of naphthyl, furyl, thienyl, pyridyl, benzofuryl, benzothienyl, indolyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl and tetrahydroquinolyl,

wherein Q is selected from the group consisting of pyrrolidine, piperidine, hexahydroazepine, morpholine, 2,5-diazabicyclo[2.2.1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydroacridanone, (5H)-dihydrodibenzazepine, (11H)-dihydrodibenzo[b,e]oxazepine and (11H)-dihydrodibenzo[b,e]thiazepine, wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

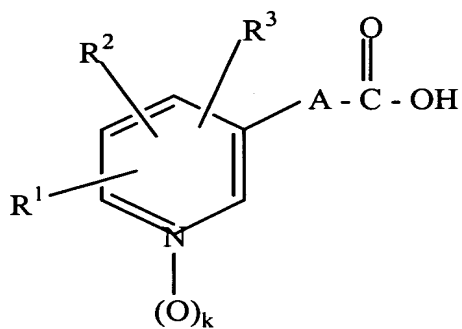
69. (Once amended) A method for the production of compounds having general formula (I)



(I)

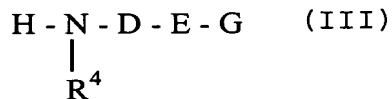
the method comprising:

reacting a carboxylic acids of formula (II)



(II)

with compounds of formula (III)



8³ wherein

R¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, C₁-C₄-hydroxyalkyl, hydroxy, C₁-C₄-alkoxy, benzyloxy, C₂-C₄-alkanoyloxy, C₁-C₄-alkylthio, C₂-C₅-alkoxycarbonyl, aminocarbonyl, C₃-C₉-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy, NR⁵R⁶, and bridged R¹R² wherein

R⁵ is selected from the group consisting of hydrogen and C₁-C₆-alkyl, and

R⁶ is selected from the group consisting of hydrogen and C₁-C₆-alkyl,

R² is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and hydroxy and bridged R¹R²

wherein

bridged R¹R² is where R¹R² are adjacent and form a bridge which is selected from the group consisting of -(CH₂)₄-, -(CH=CH)₂- and -CH₂O-CR⁷R⁸-O-, wherein

R⁷ is selected from the group consisting of hydrogen, and C₁-C₆-alkyl and

R⁸ is selected from the group consisting of hydrogen and C₁-C₆-alkyl,

R³ is selected from the group consisting of hydrogen, halogen and C₁-C₆-alkyl,

R^4 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, hydroxy, C_1 - C_6 -alkoxy and benzyloxy,

³
k is 0 or 1,

A is selected from the group consisting of C_2 - C_6 -alkenylene,

a substituted C_2 - C_6 -alkenylene which is substituted one to three-fold by C_1 - C_3 -alkyl, hydroxy, fluorine, cyano, or phenyl,

C_4 - C_6 -alkadienylene,

a substituted C_4 - C_6 -alkadienylene which is substituted once or twice by C_1 - C_3 -alkyl, fluorine, cyano, or phenyl,

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C_1 - C_3 -alkyl, fluorine, or cyano, and ethynylene,

D is selected from the group consisting of

C_1 - C_{10} -alkylene,

a substituted C_1 - C_{10} -alkylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

C_2 - C_{10} -alkenylene,

a substituted C_2 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

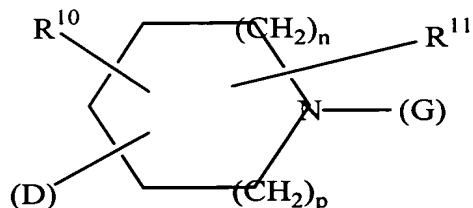
C_3 - C_{10} -alkinylene,

a substituted C_3 - C_{10} -alkinylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

an isosterically replaced C_1 to C_{10} group selected from the group consisting of C_1 - C_{10} -alkylene, C_2 - C_{10} -alkenylene and C_3 - C_{10} -alkinylene, the isosterically replaced C_1 to C_{10} group having methylene units and one to three of the methylene units are isosterically replaced by O, S, NR^9 , CO, SO or SO_2 , wherein

R^9 is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, C_2 - C_6 -acyl and methanesulfonyl,

E is



wherein n and p are, independent of each other, 0, 1, or 2, wherein $n + p = 2$,

R^{10} is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, hydroxy, hydroxymethyl, carboxy and C_2 - C_7 -alkoxycarbonyl,

R^{11} is selected from the group consisting of hydrogen and an oxo group adjacent to the nitrogen atom in E ,

G is selected from the group consisting of hydrogen, G_1 , G_2 , G_3 , G_4 and G_5 , wherein

G_1 is $-(CH_2)_r-(CR^{13}R^{14})_s-R^{12}$

wherein

r is 0, 1 or 2, and

s is 0 or 1,

9³
R¹² is selected from the group consisting of
hydrogen,

C₁-C₆-alkyl,

C₃-C₆-alkenyl,

C₃-C₆-alkinyl,

C₃-C₈-cycloalkyl,

benzyl,

phenyl,

monocyclic aromatic five- and six-membered heterocycles
which heterocycles contain one to three hetero-atoms selected
from the group consisting of N, S and O, the N, S and O being
either bound directly to or over a methylene group,

an anellated bi- and tricyclic aromatic or partially
hydrogenated carbocyclic ring system with 8 to 16 ring atoms
and at least one aromatic ring and the carboxylic ring and
aromatic ring being bonded with a bond which is either over an
aromatic or a hydrogenated ring and either directly or over a
methylene group, and

an anellated bi- and tricyclic aromatic or partially
hydrogenated heterocyclic ring systems with 8 to 16 ring atoms
and at least one aromatic ring, wherein one to three ring
atoms are selected from N, S and O and the carbocyclic ring and
aromatic ring being bonded with a bond which is either over an
aromatic or a hydrogenated ring, and either directly or over a
methylene group,

R¹³ has the same meaning as R¹², but is selected
independently thereof,

R¹⁴ is selected from the group consisting of hydrogen,
hydroxy,
methyl,

benzyl,

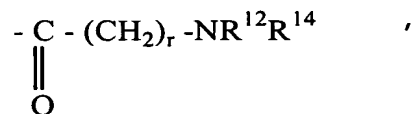
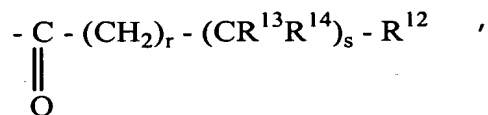
phenyl,

³ 0 monocylic aromatic five- and six-membered heterocycles which contain one to three hetero-atoms selected from the group consisting of N, S and O and are bound either directly or over a methylene group,

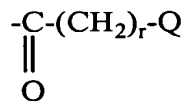
an anellated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring and the carbocyclic ring and the aromatic ring being bonded with a bond which is either over an aromatic or a hydrogenated ring and either directly or over a methylene group, and

an anellated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring system with 8 to 16 ring atoms and at least one aromatic ring, which heterocycles contain one to three ring atoms selected from N, S and O and the heterocyclic ring and aromatic ring being bonded with a bond which is over an aromatic or a hydrogenated ring and either directly or over a methylene group,

G2 is selected from the group consisting of



and

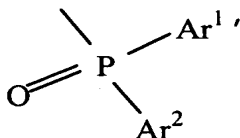


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 wherein R^{12} and R^{14} have the above meaning, and Q
 is a nitrogen-containing heterocycle bound over the
 nitrogen atom, the nitrogen-containing heterocycle being
 selected from the group consisting of
 saturated and unsaturated monocyclic, four- to eight-
 membered heterocycles,
 and

saturated and unsaturated bi- or tricyclic, anellated or
 bridged heterocycles with 8 to 16 ring atoms,

G3 is $-\text{SO}_2-(\text{CH}_2)_x-\text{R}^{12}$,

G4 is



wherein

Ar^1 is selected from the group consisting of phenyl, pyridyl and naphthyl and

Ar^2 is selected from the group consisting of phenyl, pyridyl and naphthyl,

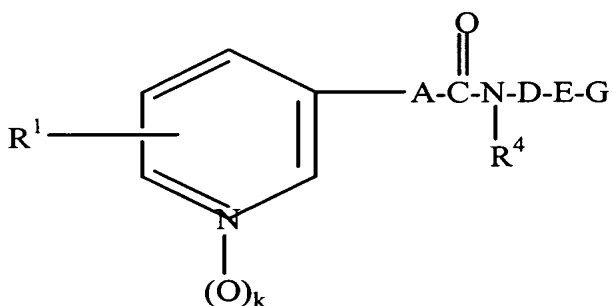
G5 is $-\text{COR}^{15}$,

wherein

R^{15} is selected from the group consisting of
 trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy and benzyloxy,
 and

23
 wherein aromatic rings in R^1 , R^4 , R^{12} , R^{13} , R^{14} , R^{15} , Q , Ar^1 and Ar^2 are unsubstituted or substituted, the substituted rings in R^1 , R^4 , R^{12} , R^{13} , R^{14} , R^{15} , Q , Ar^1 and Ar^2 having one to three substituents which are independently selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, phenyl, benzyl, hydroxy, and C_1 - C_6 -alkoxy.

70. (Once amended) A compound of formula (I) and pharmaceutically acceptable acid addition salts of formula I



wherein:

$R^1 = H$ or F

k is 0 or 1,

A is selected from the group consisting of C_2 - C_6 -alkenylene,

a substituted C_2 - C_6 -alkenylene which is substituted one to three-fold by C_1 - C_3 -alkyl, hydroxy, fluorine, cyano, or phenyl,

a substituted C_4 - C_6 -alkadienylene which is substituted once or twice by C_1 - C_3 -alkyl, fluorine, cyano, or phenyl,

1,3,5-hexatrienylene,

a substituted 1,3,5-hexatrienylene which is substituted by C_1 - C_3 -alkyl, fluorine, or cyano, and

ethynylene;

R^4 is selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, hydroxy, C_1 - C_6 -alkoxy and benzyloxy;

D is selected from the group consisting of C_1 - C_{10} -alkylene,

a substituted C_1 - C_{10} -alkylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

C_2 - C_{10} -alkenylene,

a substituted C_2 - C_{10} -alkenylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

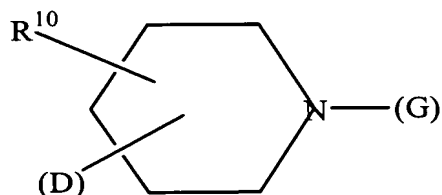
C_3 - C_{10} -alkynylene,

a substituted C_3 - C_{10} -alkynylene which is substituted once or twice by C_1 - C_3 -alkyl or hydroxy,

an isosterically replaced C_1 to C_{10} group selected from the group consisting of C_1 - C_{10} -alkylene, C_2 - C_{10} -alkenylene and C_3 - C_{10} -alkynylene, the isoterically replaced C_1 to C_{10} group having methylene units and one to three of the methylene units being isosterically replaced by O, S, NR^9 CO, SO or SO_2 ;

R^9 is selected from [selected from] the group consisting of hydrogen, C_1 - C_3 -alkyl, C_2 - C_6 -acyl and methanesulfonyl;

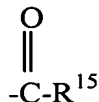
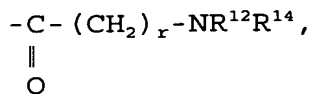
E is



G is selected from the group consisting of

$-(CH_2)_r-(CR^{13}R^{14})_sR^{12}$,

$-C-(CH_2)_r-(CR^{13}R^{14})_sR^{12}$,
 \parallel
 O



$r=0, 1 \text{ or } 2,$

$s=0 \text{ or } 1,$

R^{12} is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_8 -cycloalkyl, benzyl phenyl, and substituted phenyl which substituted phenyl is substituted with one to three substituents selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, phenyl, benzyl, hydroxy, and C_1 - C_6 -alkoxy;

R^{13} is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_6 alkenyl, C_3 - C_8 -cycloalkyl, benzyl phenyl, and substituted phenyl which substituted phenyl is substituted with one to three substituents selected from the group consisting of halogen, cyano, C_1 - C_6 -alkyl, trifluoromethyl, C_3 - C_8 -cycloalkyl, phenyl, benzyl, hydroxy, and C_1 - C_6 -alkoxy;

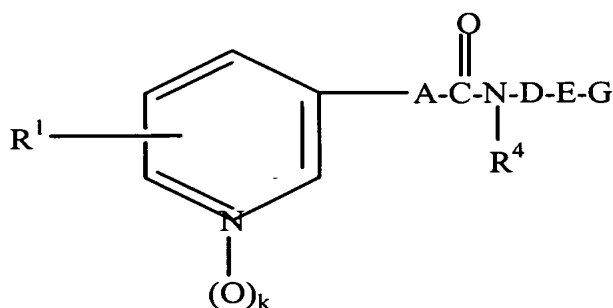
R^{14} is selected from the group consisting of hydrogen, hydroxy, methyl, benzyl, and phenyl;

R^{15} is selected from trifluoromethyl, C_1 - C_6 -alkoxy, C_3 - C_6 -alkenyloxy and benzyloxy;

R^{10} is selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, hydroxy, hydroxymethyl, carboxy and C_2 - C_7 -alkoxycarbonyl;

and wherein general formula (I) does not include (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide.

71. (Once amended) A compound of formula (I) and pharmaceutically acceptable acid addition salts of formula (I)



wherein:

R¹ is selected from the group consisting of hydrogen, fluorine, chlorine, methoxy, methyl, and hydroxy;

R⁴ is hydrogen, methyl or hydroxy;

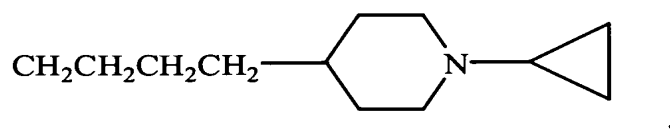
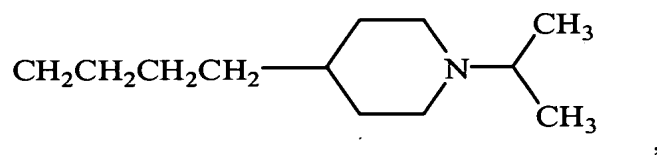
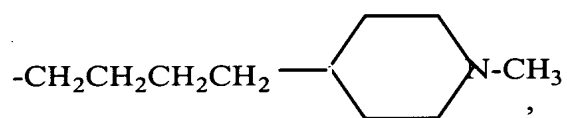
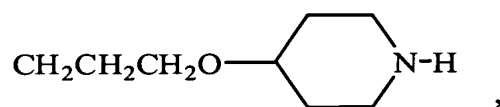
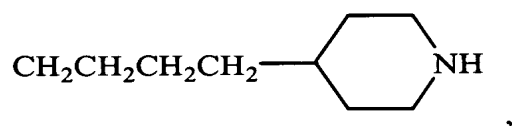
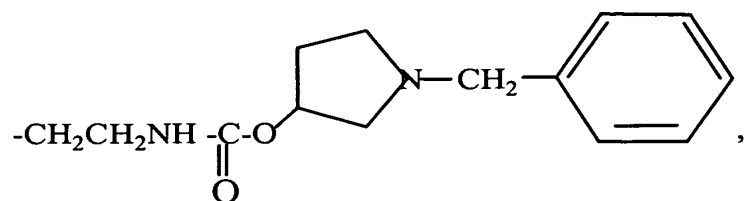
k is 0 or 1;

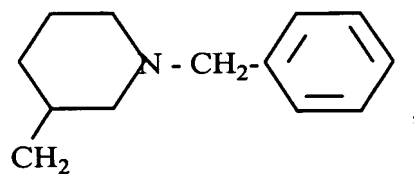
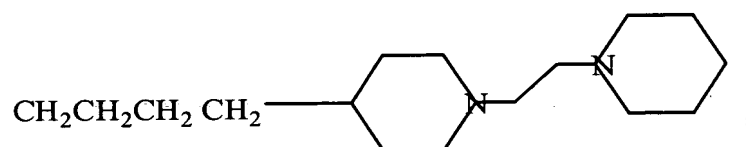
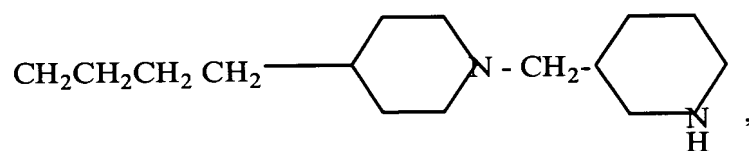
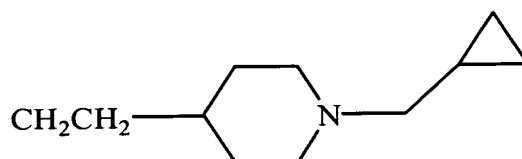
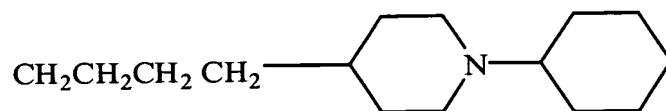
A is selected from the group consisting of C₂-C₄-alkenylene,

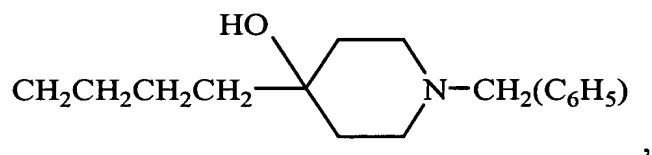
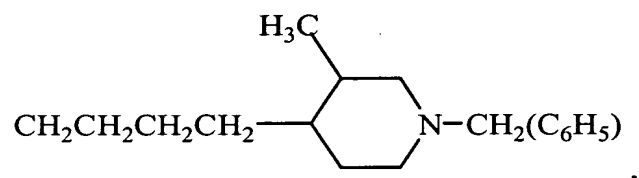
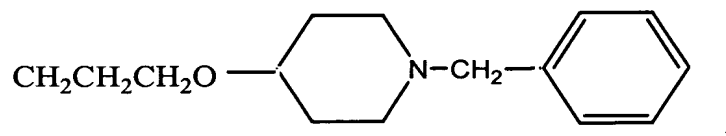
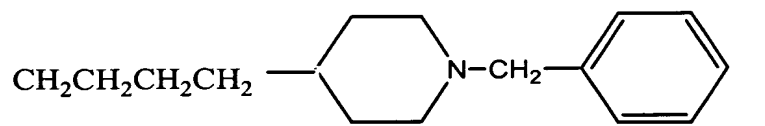
a substituted C₂-C₄-alkenylene which is substituted with fluorine, cyano, hydroxy and methyl, 1,3-butadienylene, and

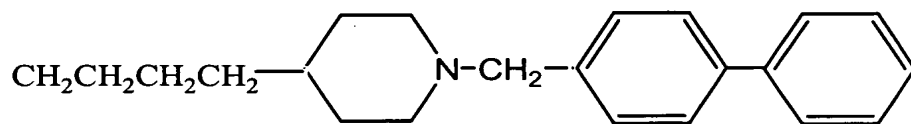
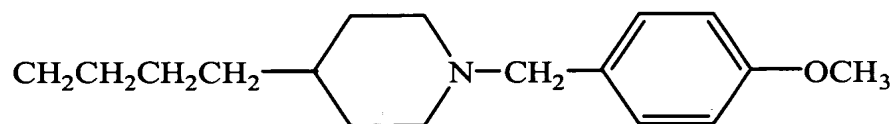
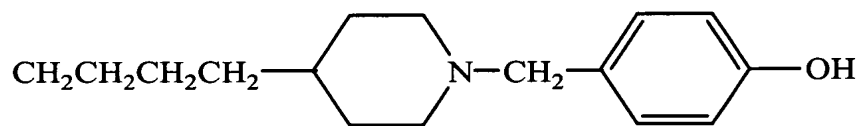
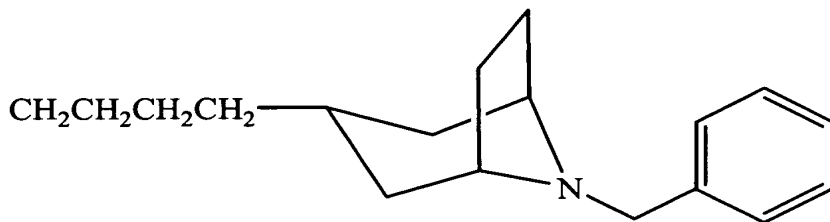
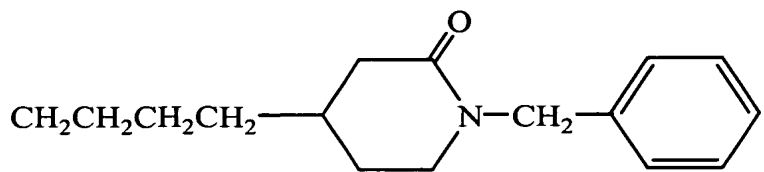
a substituted 1,3-butadienylene which is substituted with fluorine;

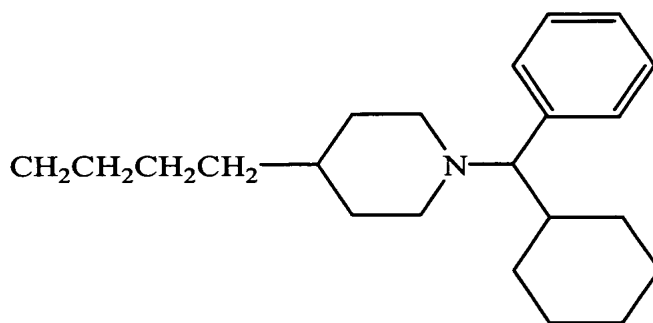
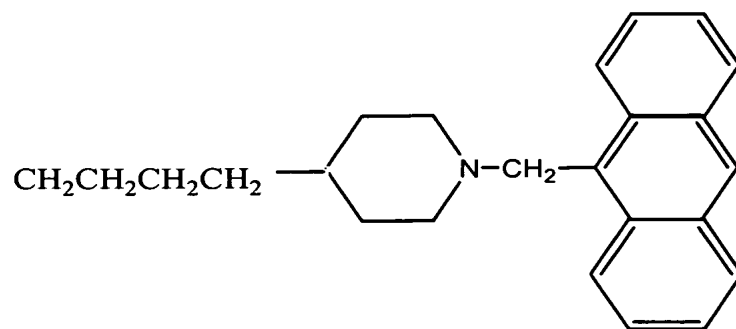
DEG when together form the structure selected from the group consisting of

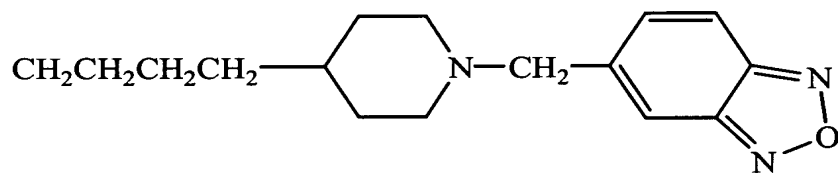
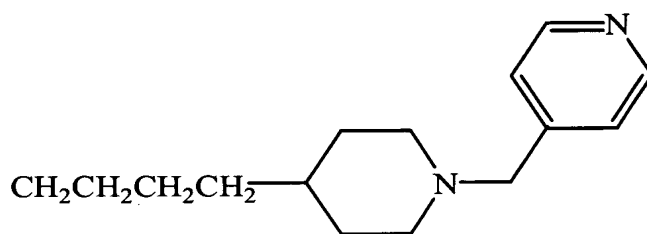
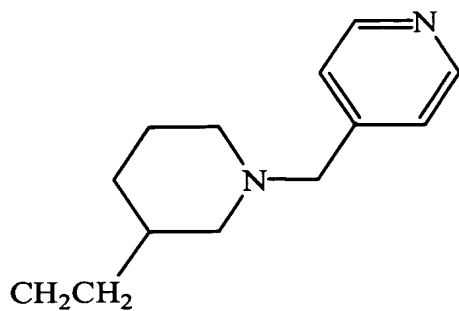




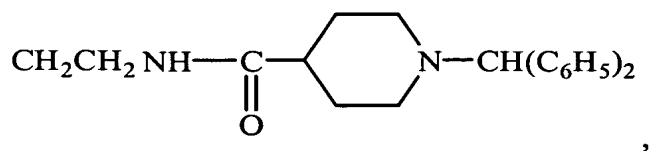
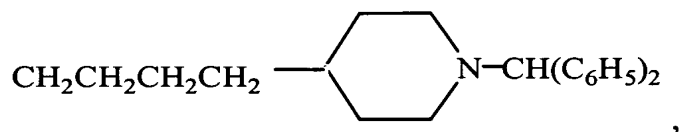
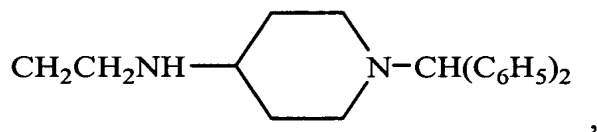
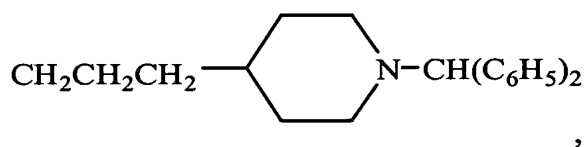
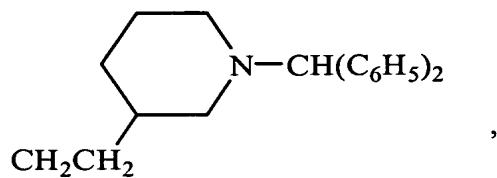




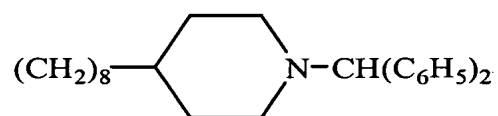
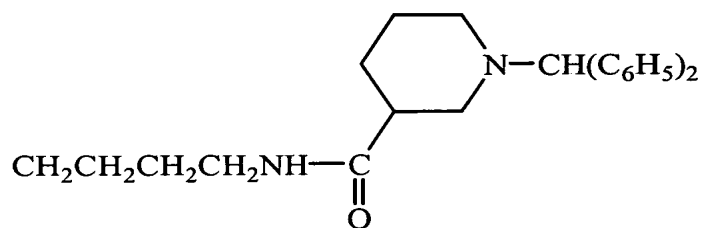
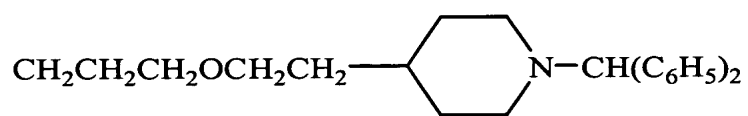
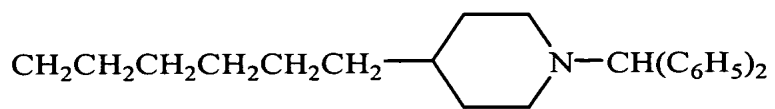


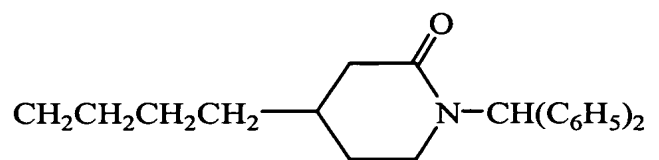
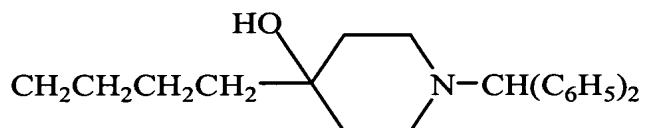
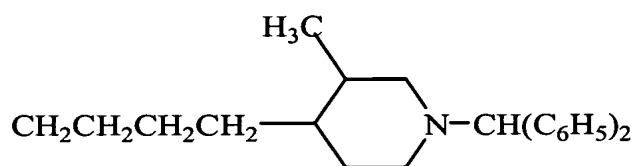
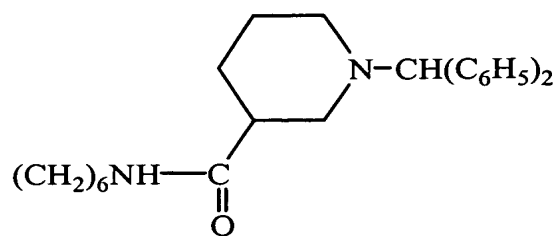
B³

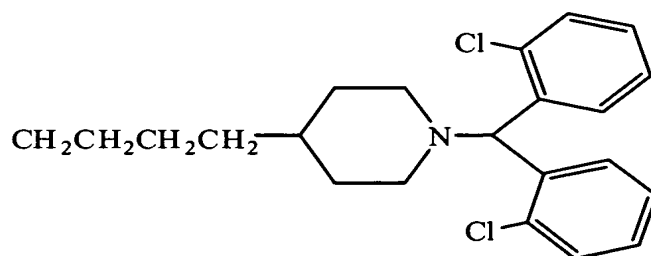
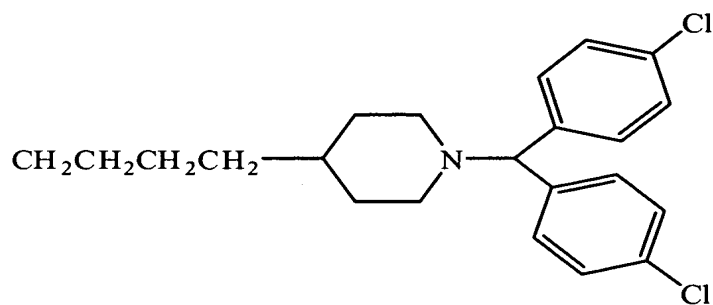
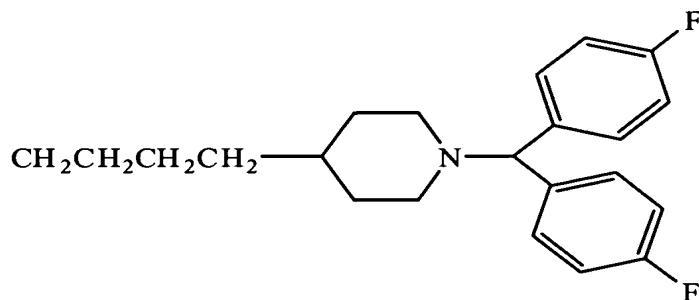
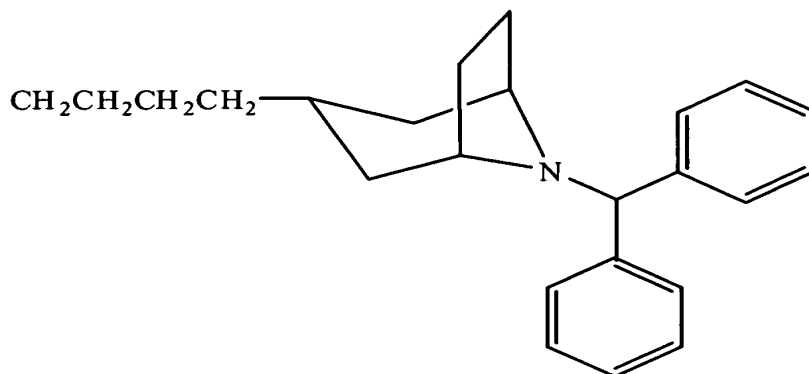
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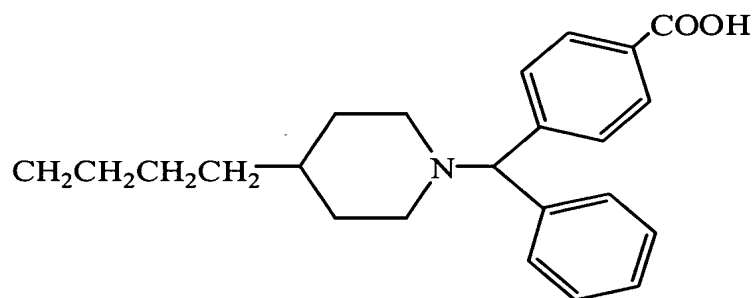
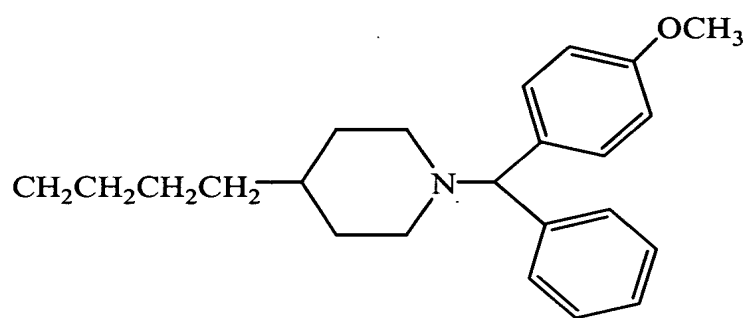
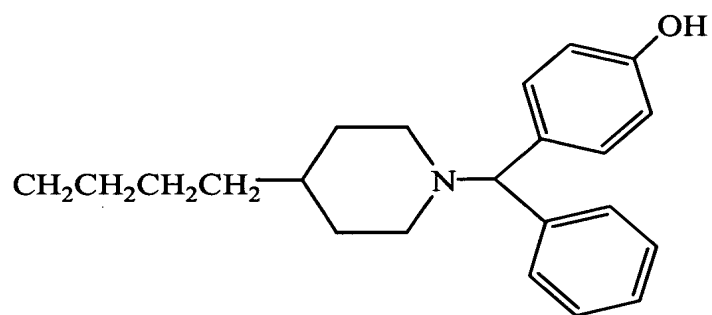


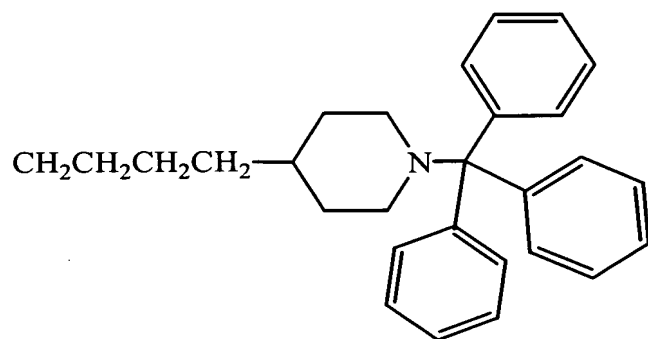
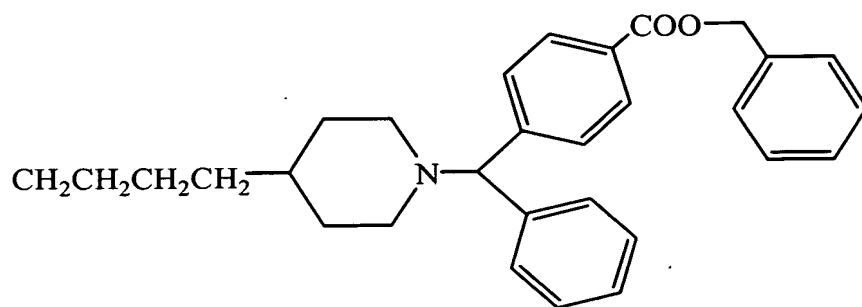




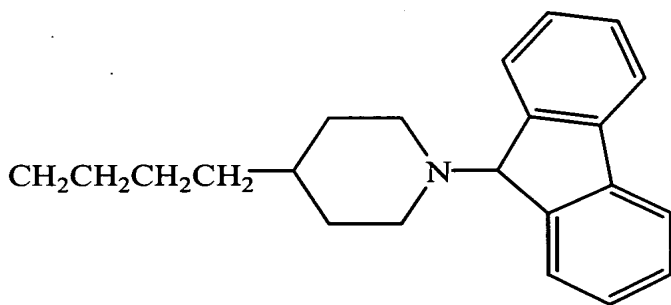
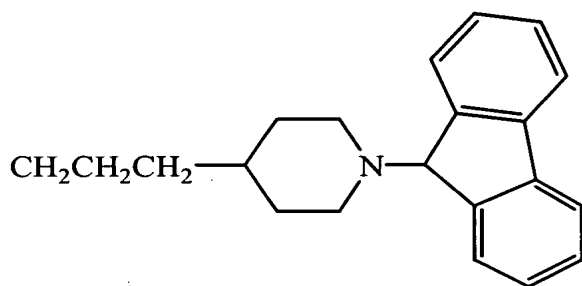
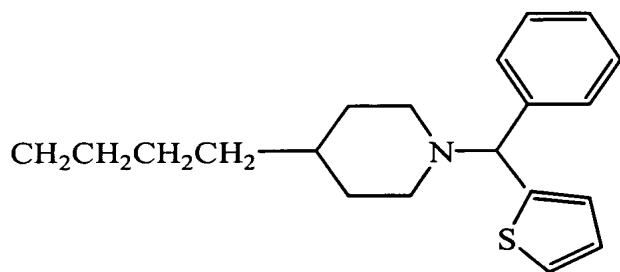
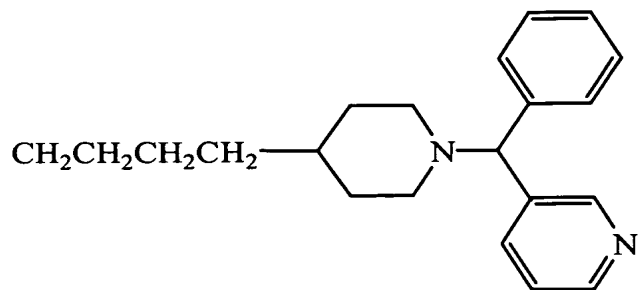


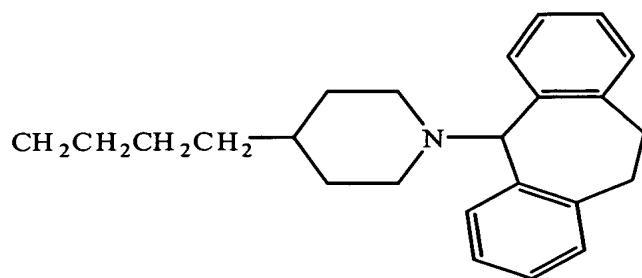
p³



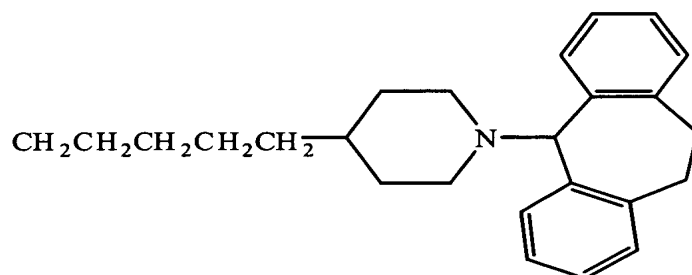
D³

23

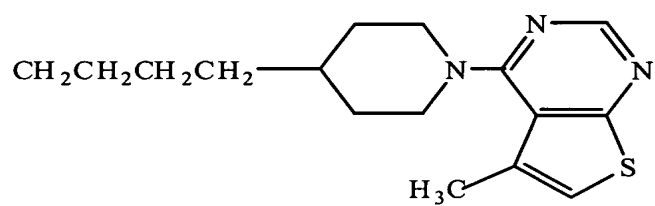


D³

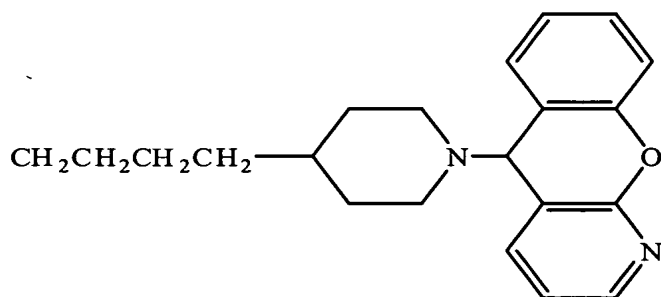
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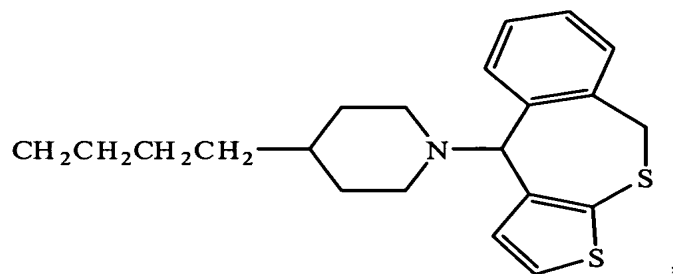
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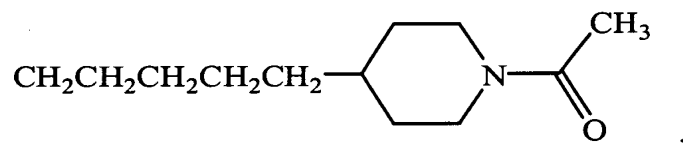
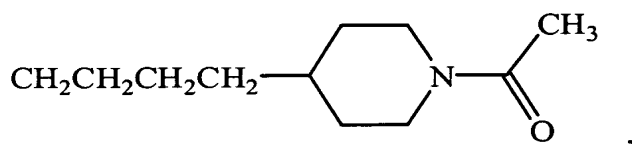
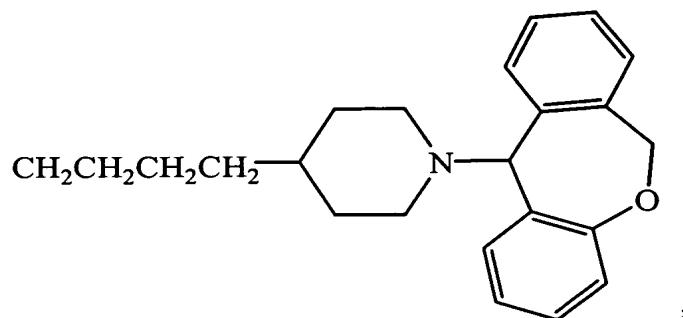


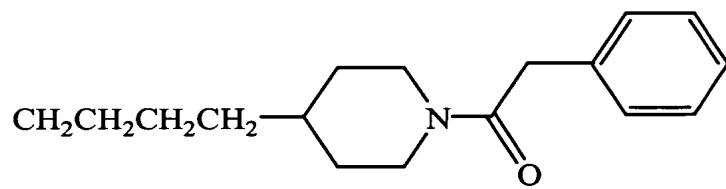
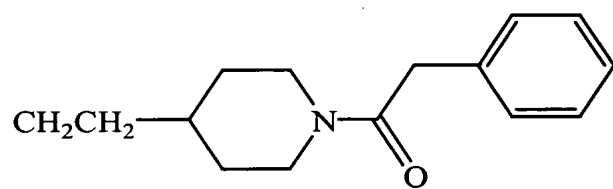
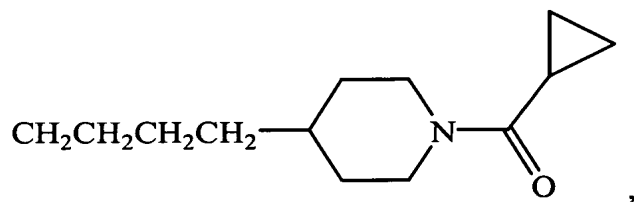
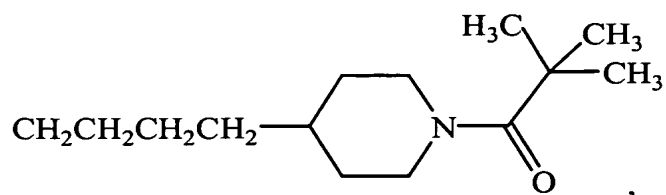
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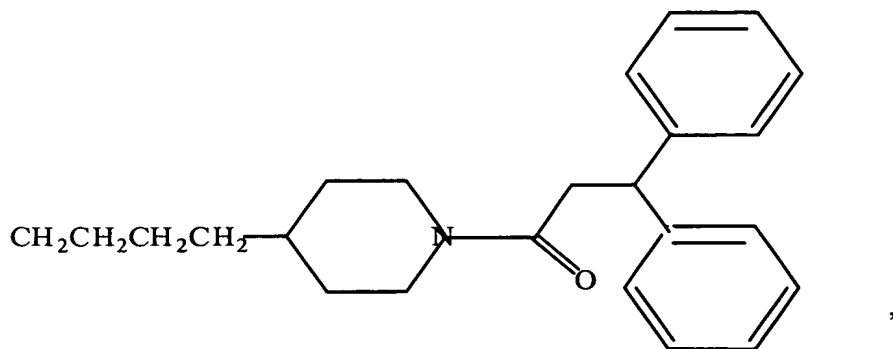
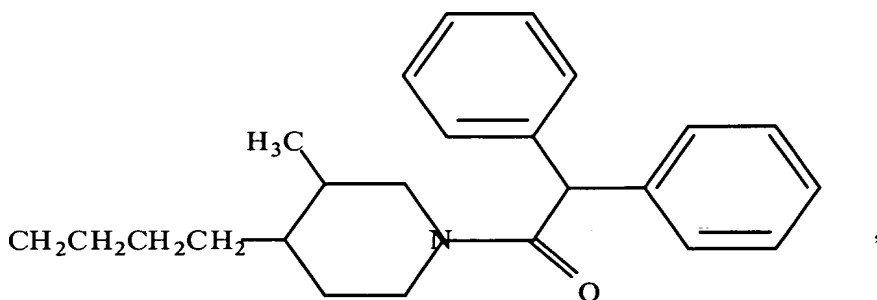
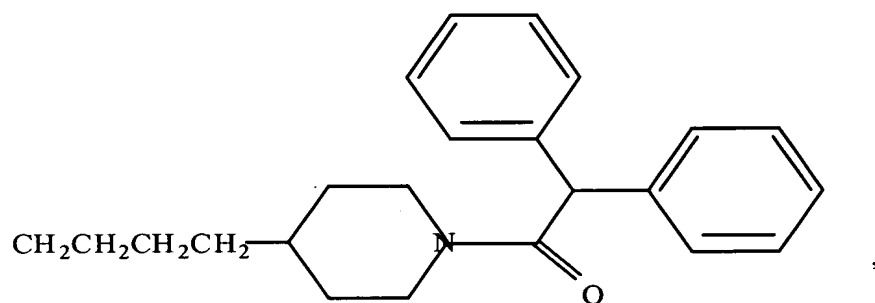
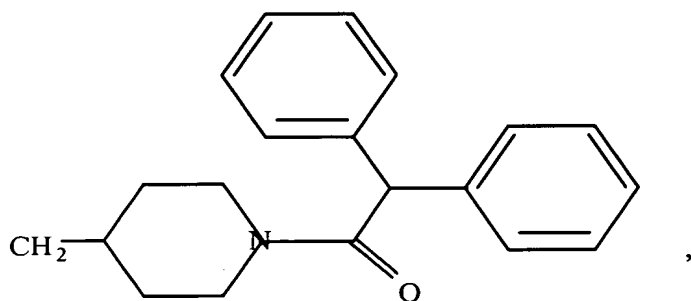


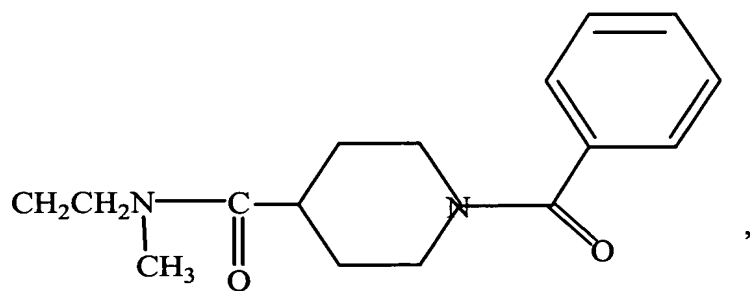
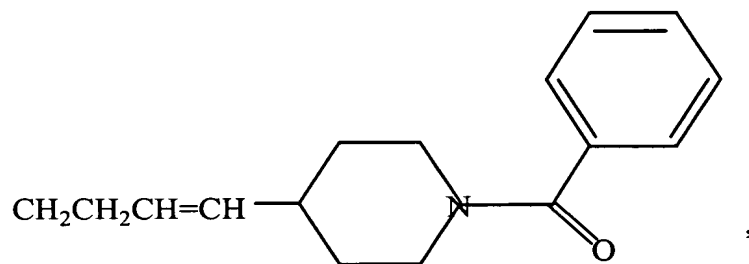
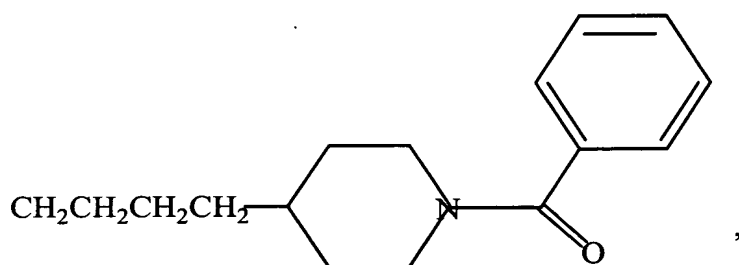
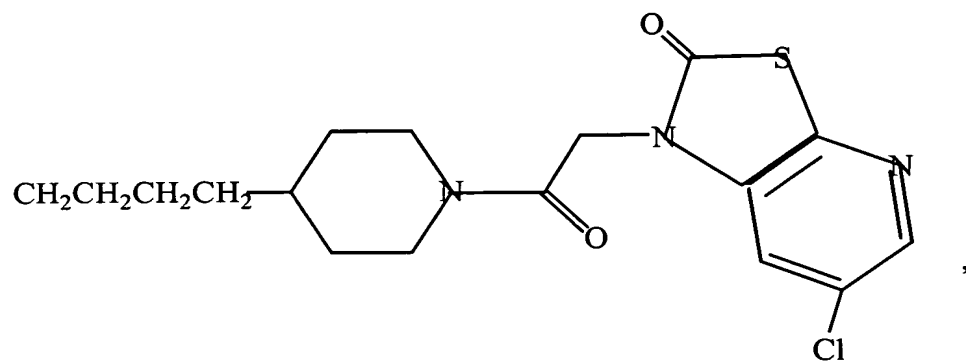
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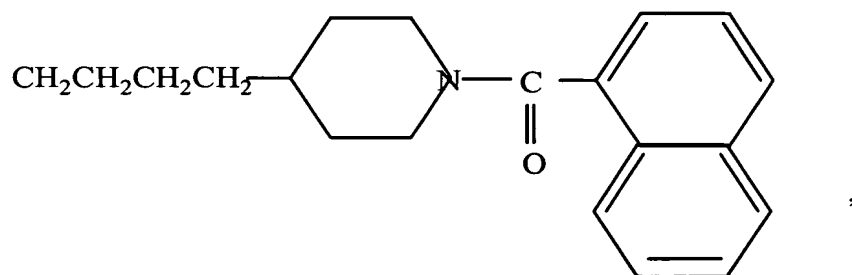
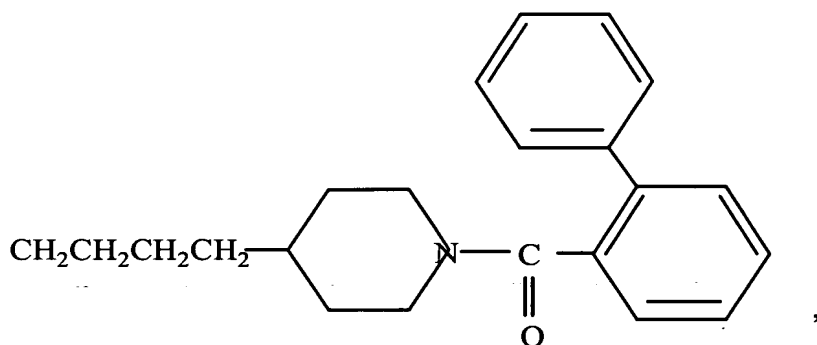
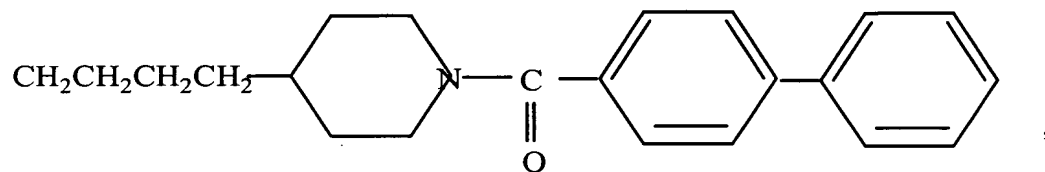
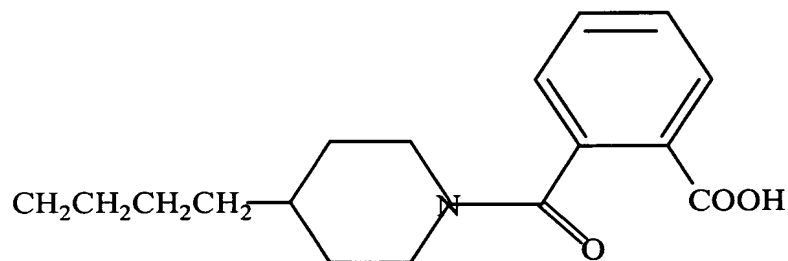
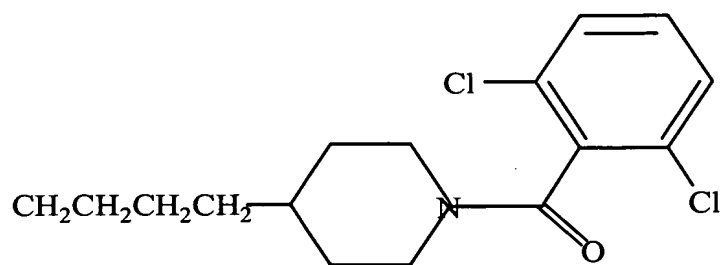
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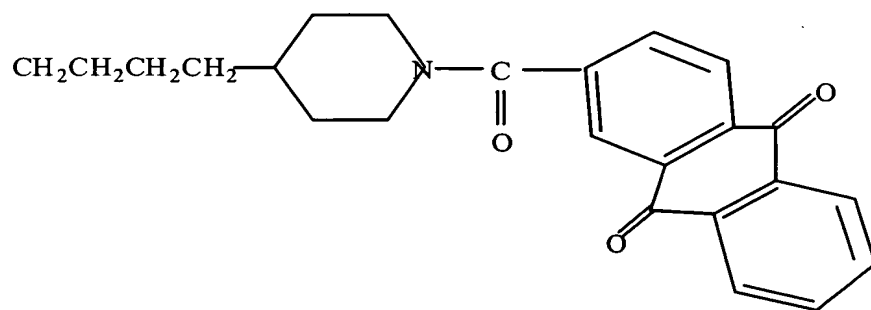
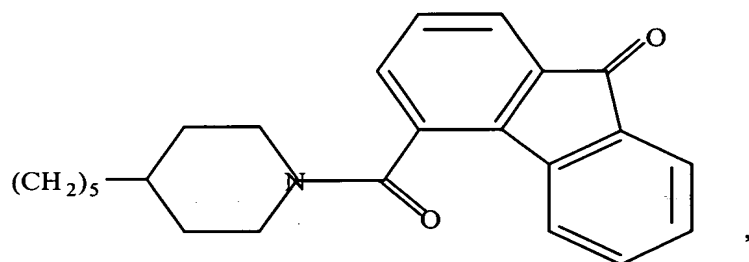
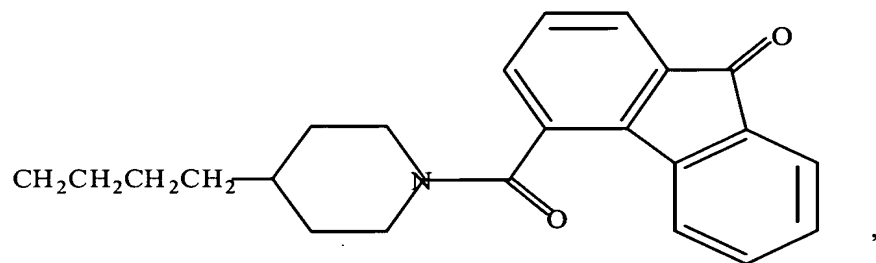
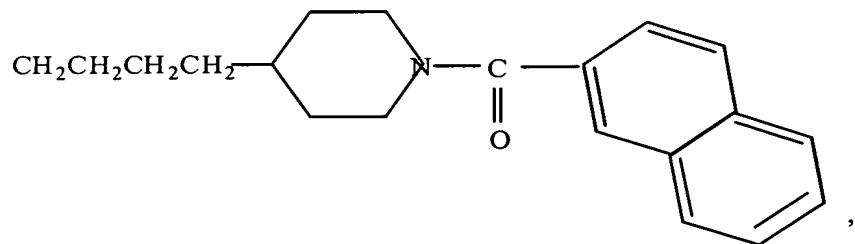
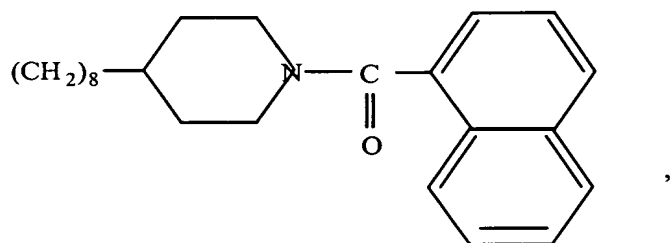


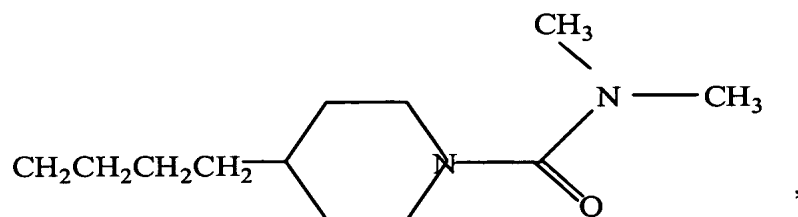
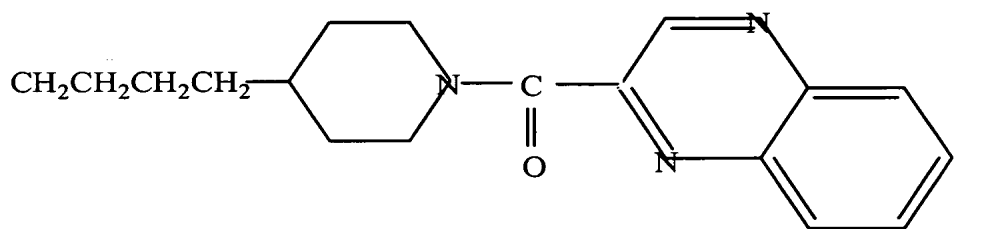
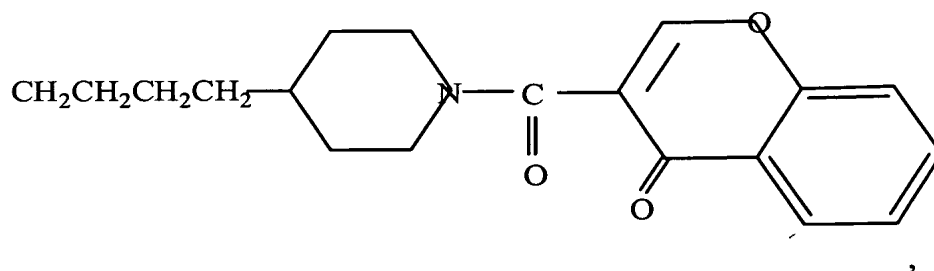
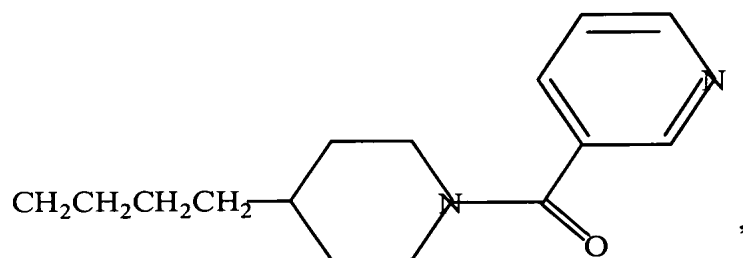
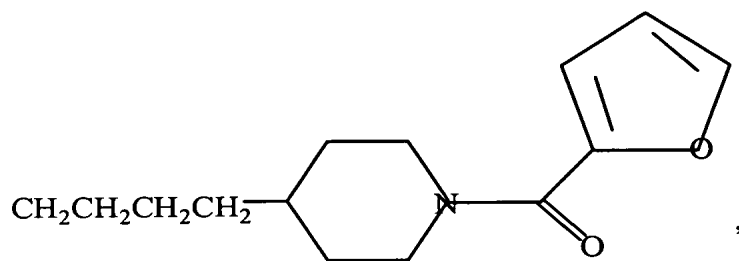


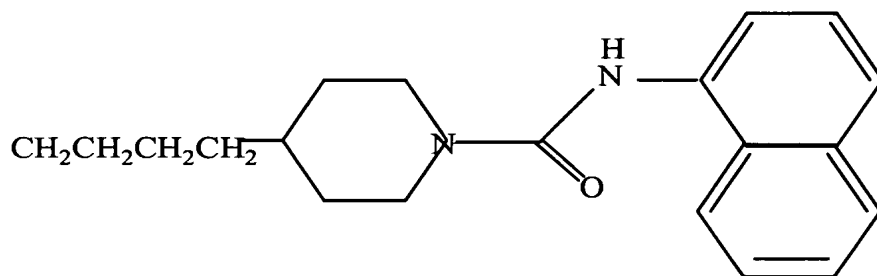
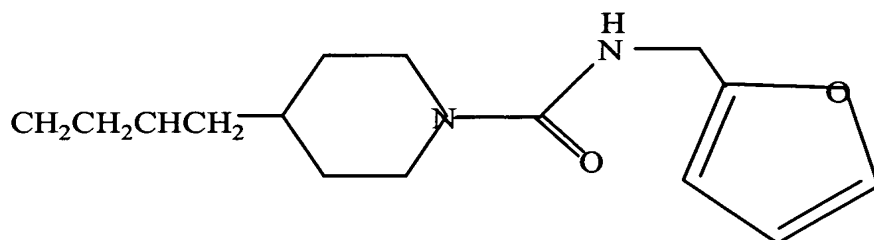
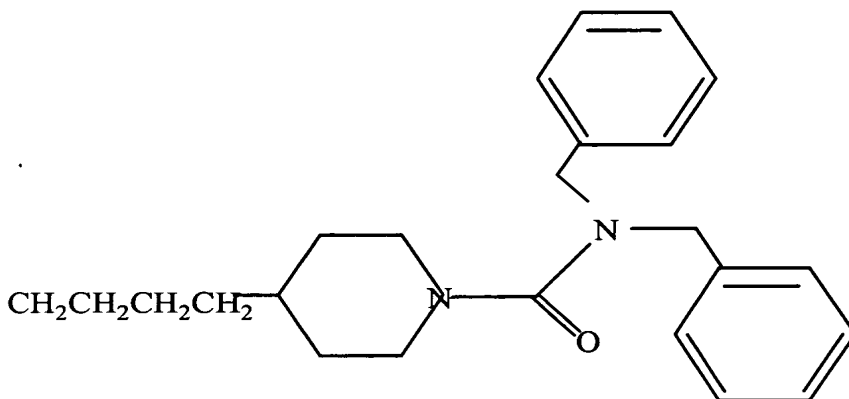
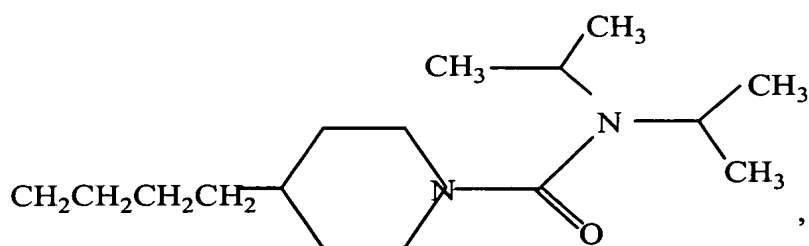
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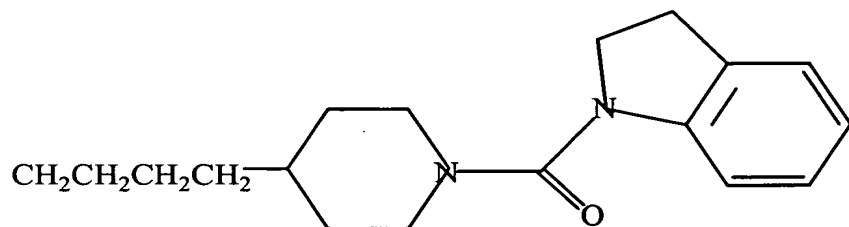
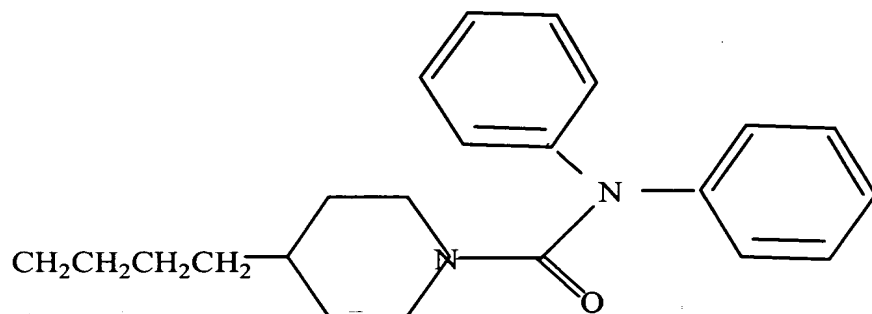
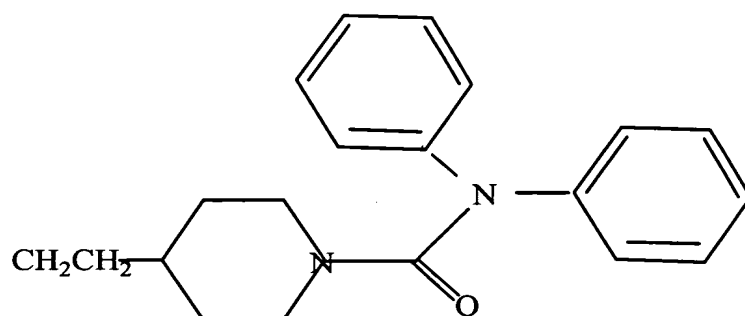
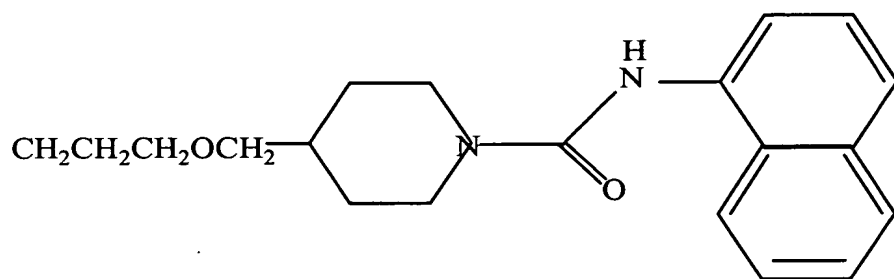


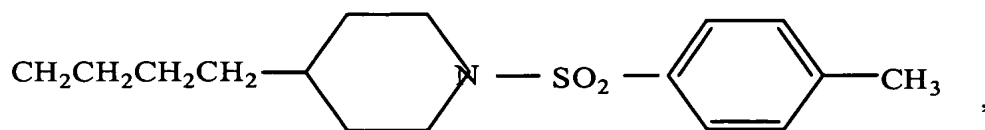
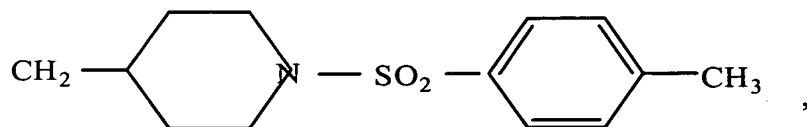
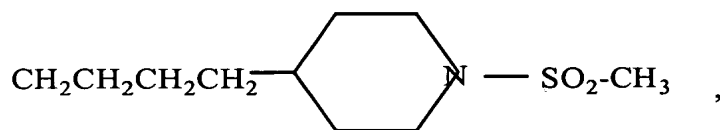
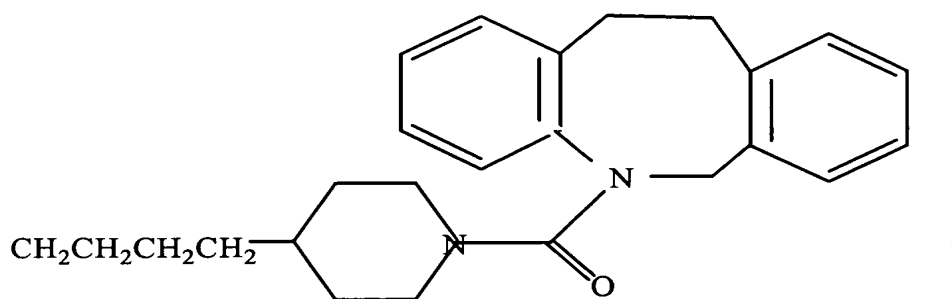
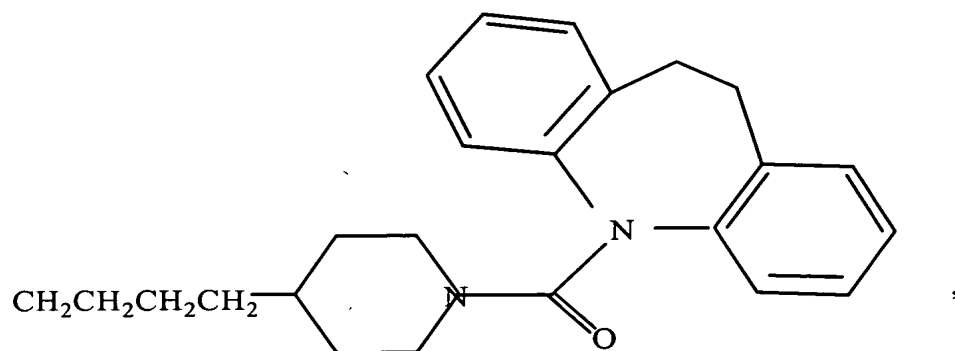


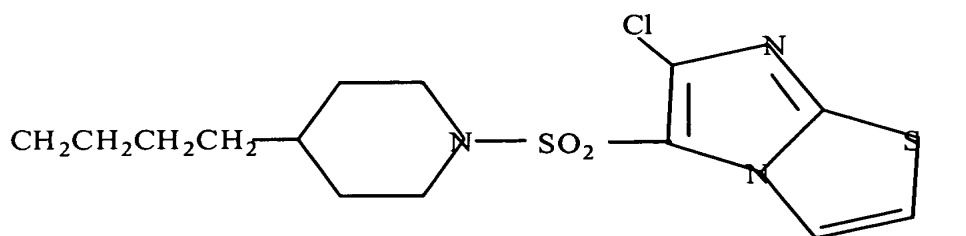
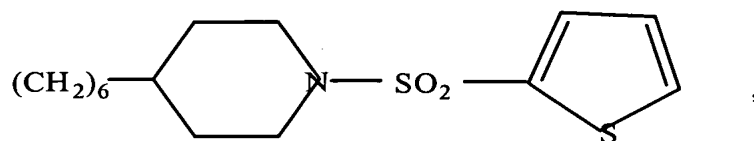
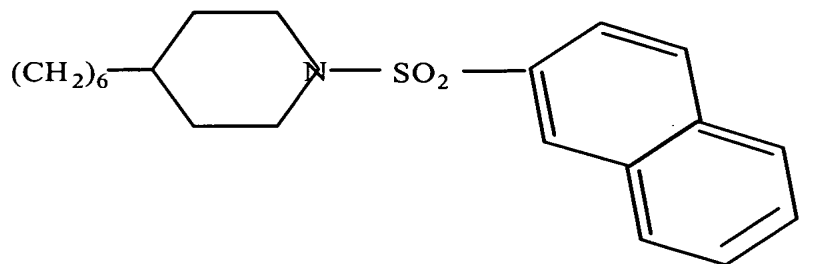
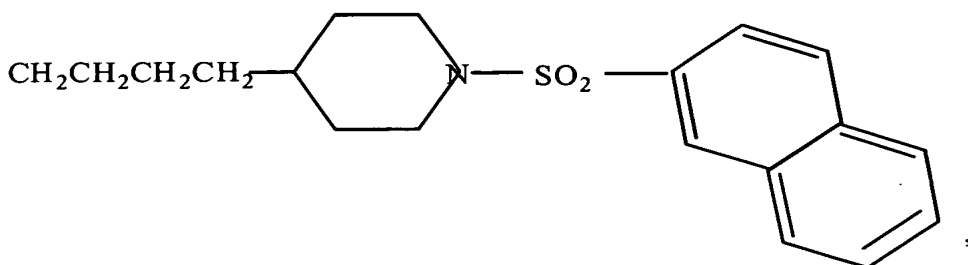
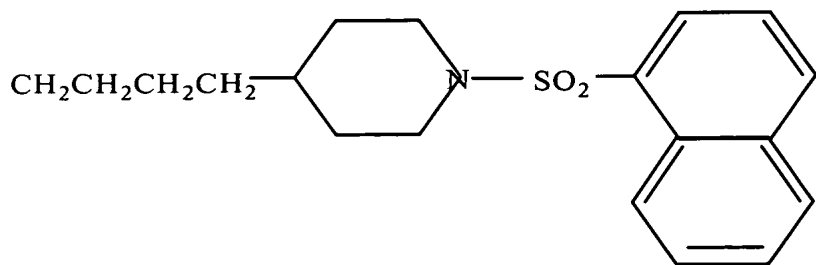


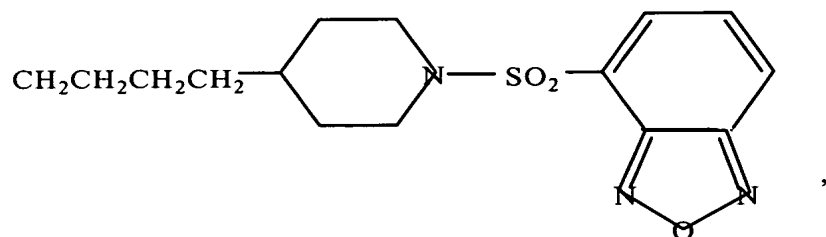
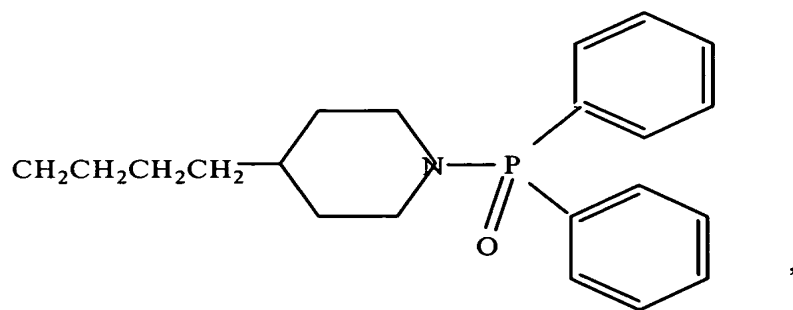
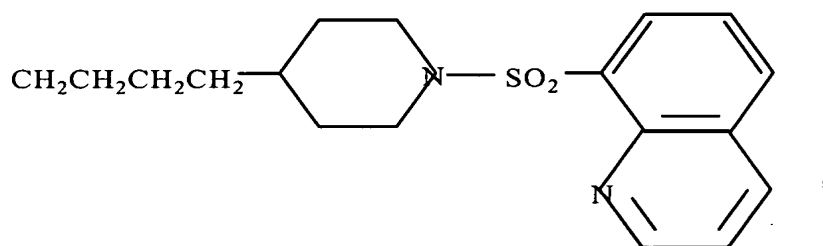
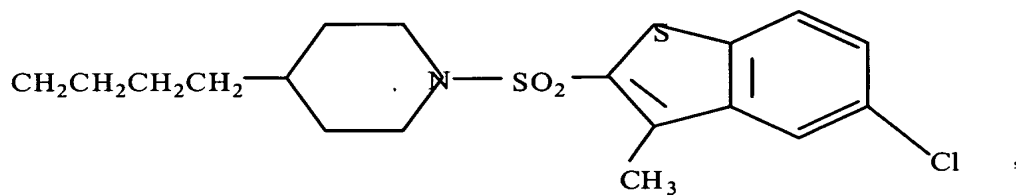
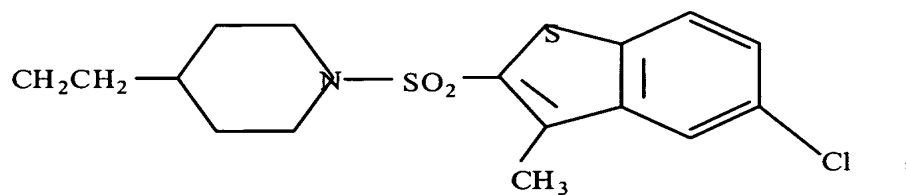
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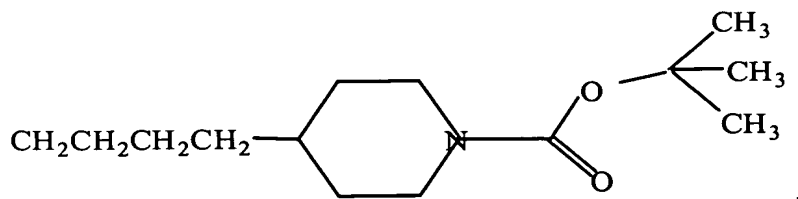
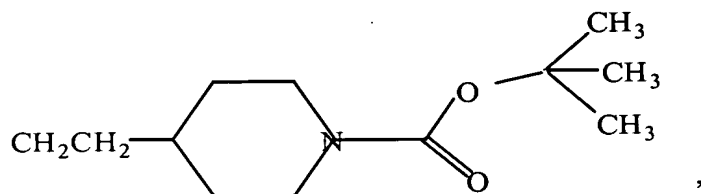
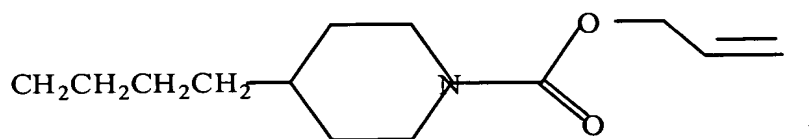
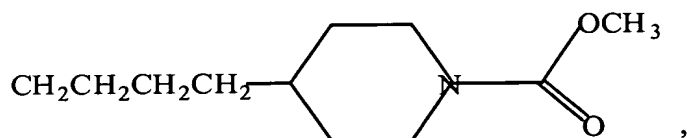
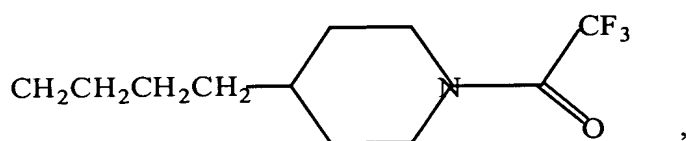
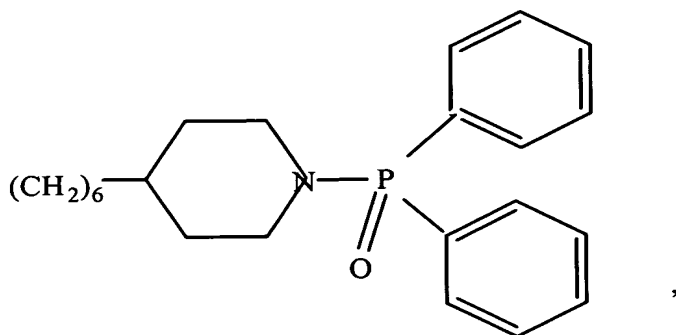


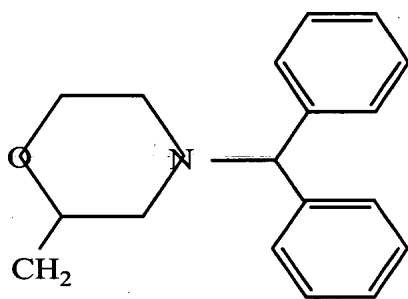
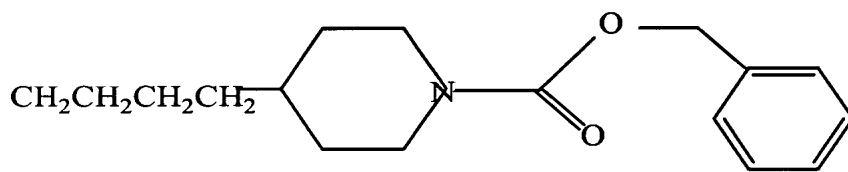
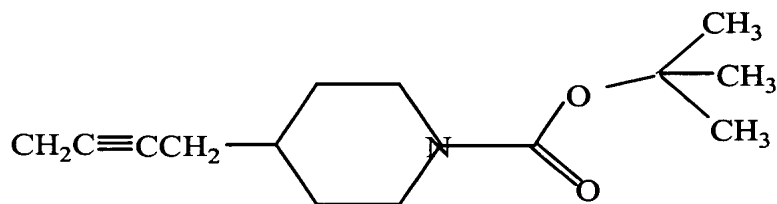
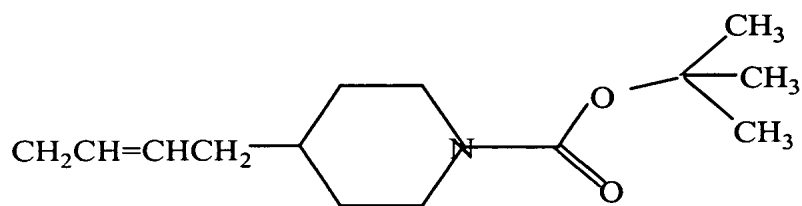


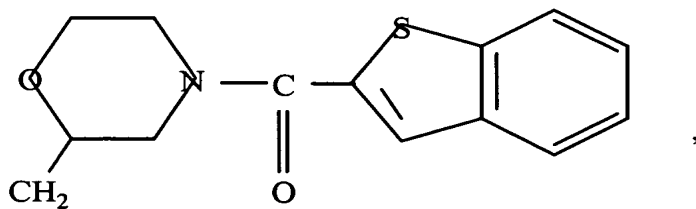
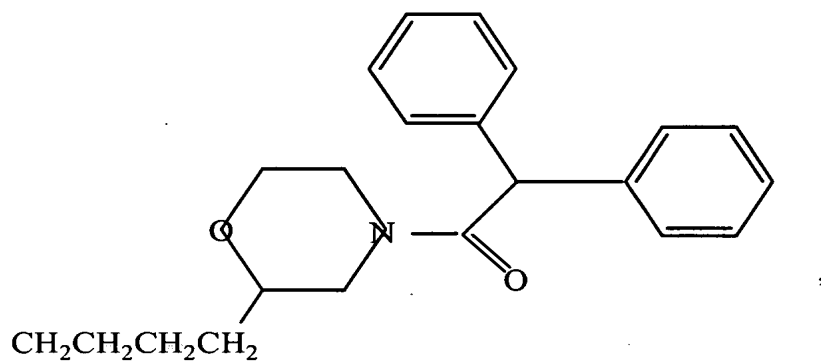
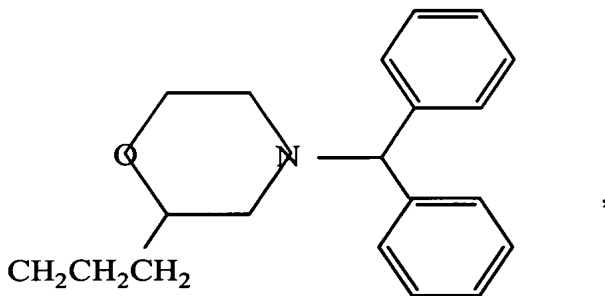
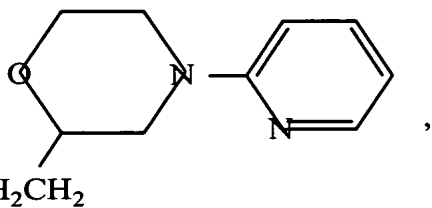
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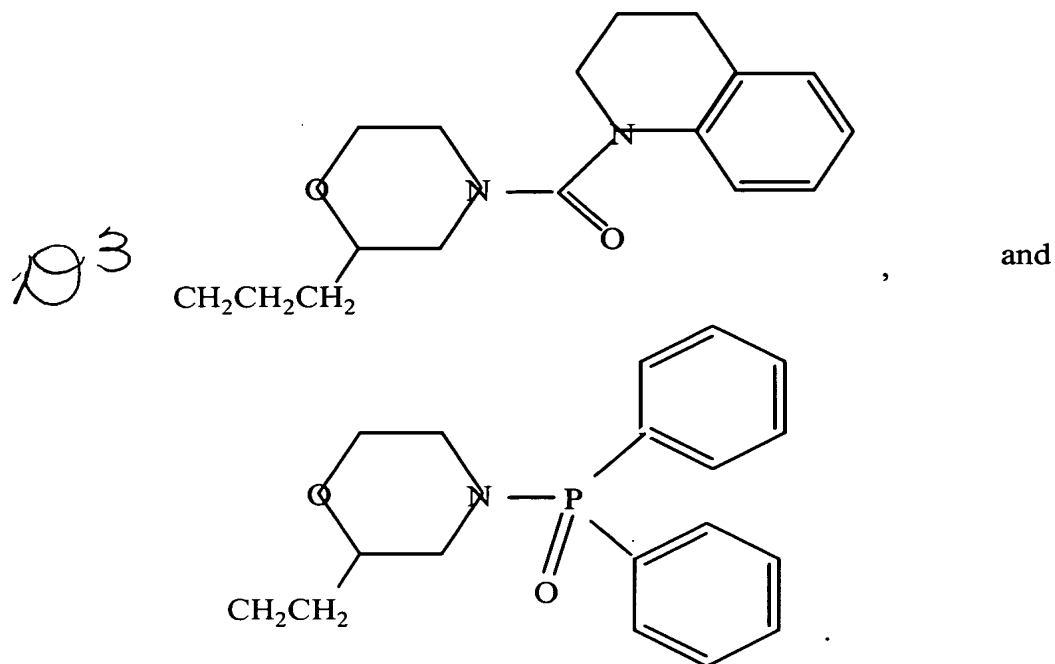


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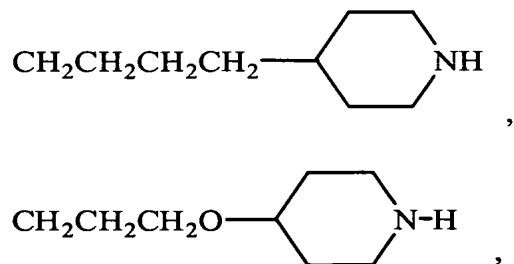
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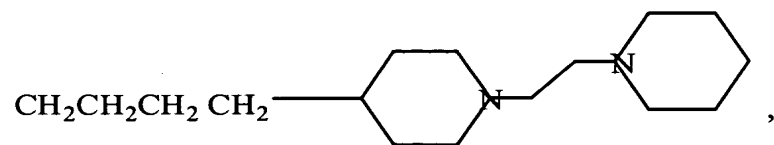
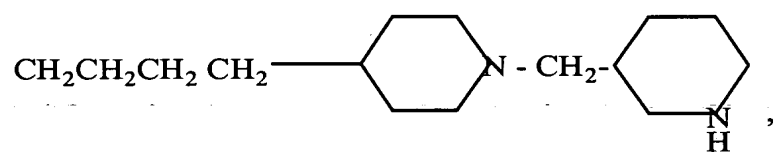
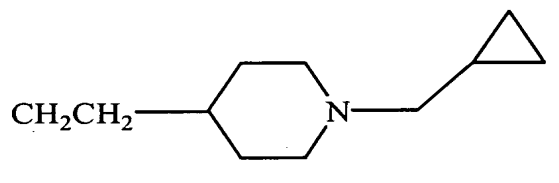
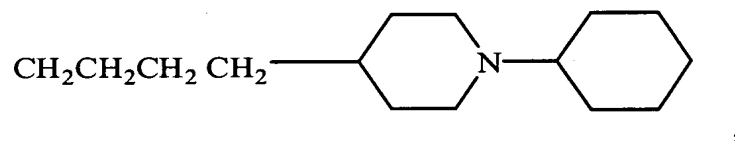
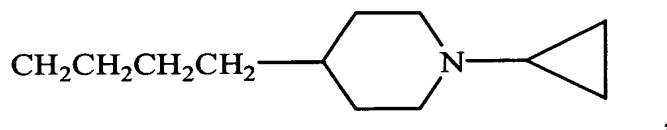
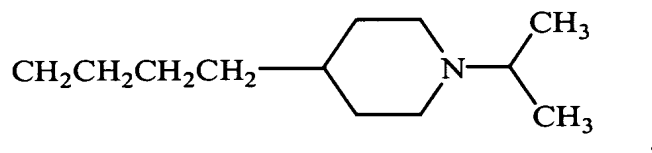
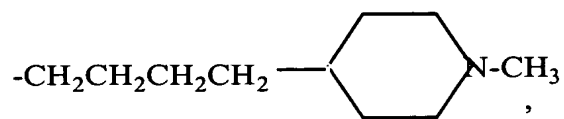




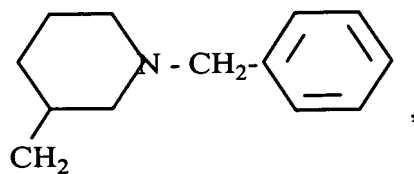


77. A method of inhibiting tumor cell growth according to claim 64, wherein DEG is selected from the group consisting of

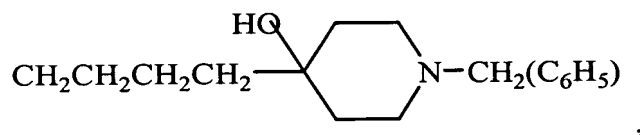
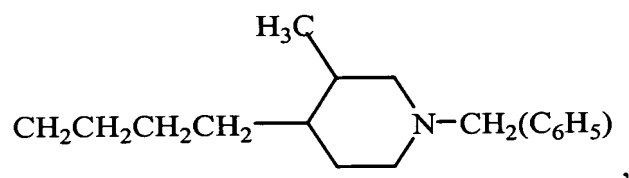
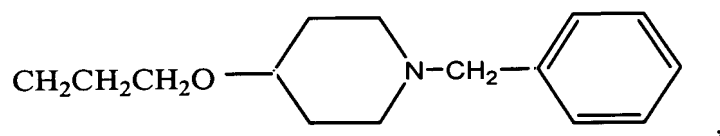
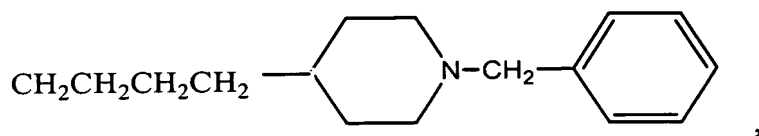


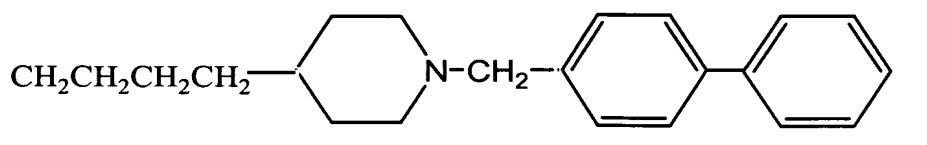
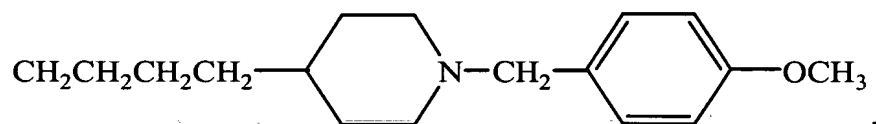
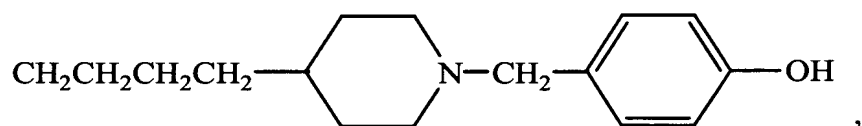
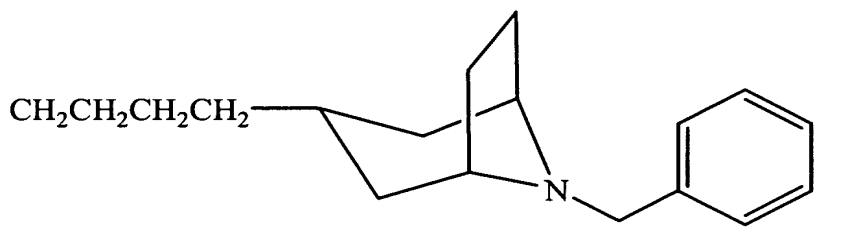
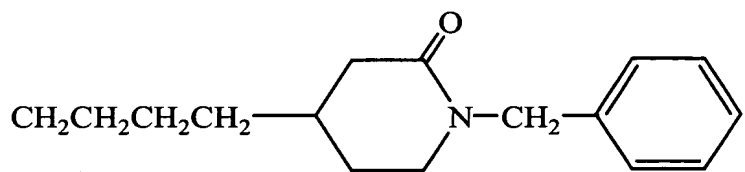


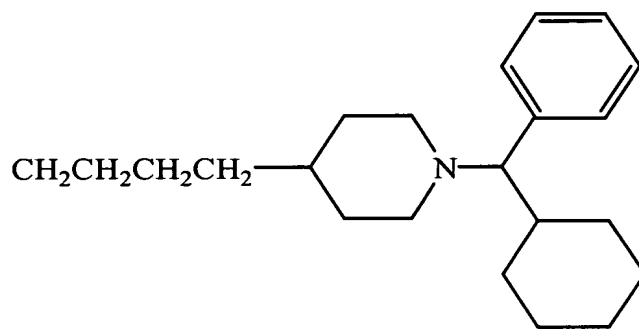
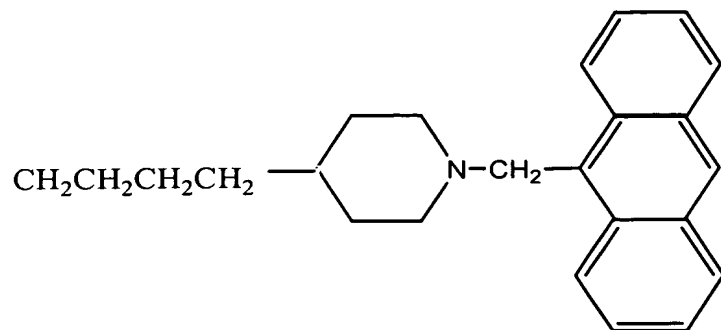
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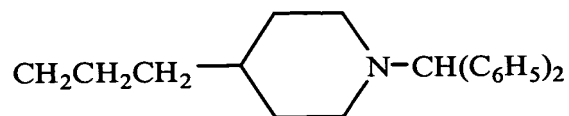
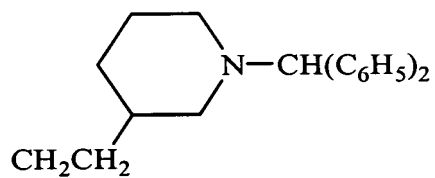
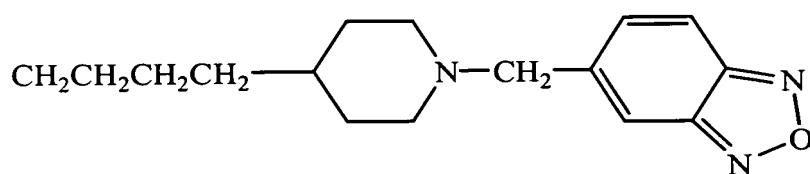
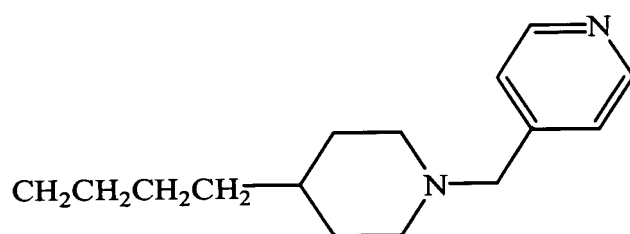
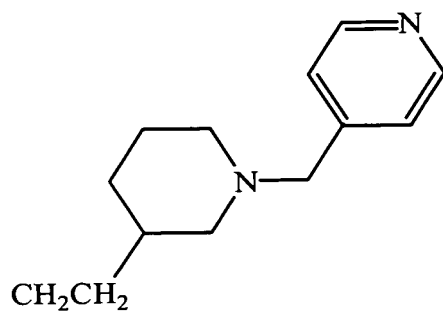


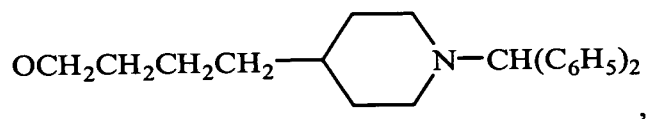
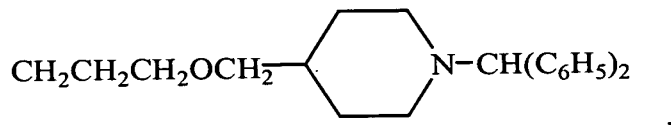
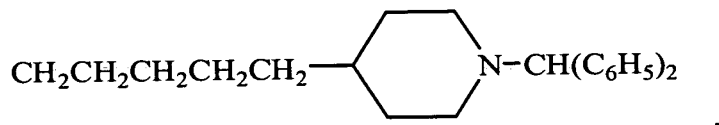
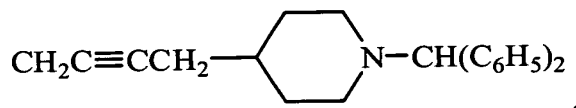
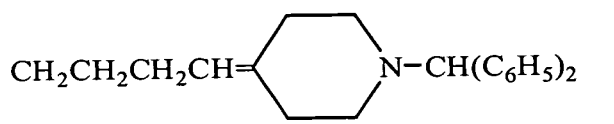
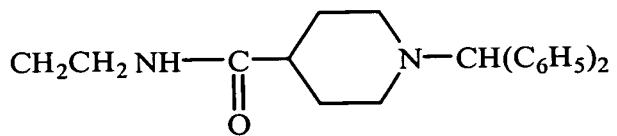
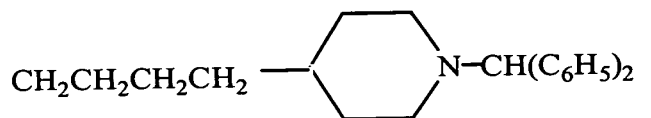
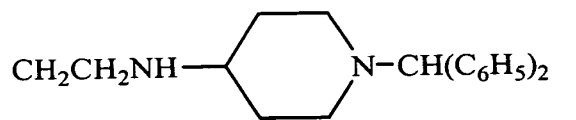
P³
Cont



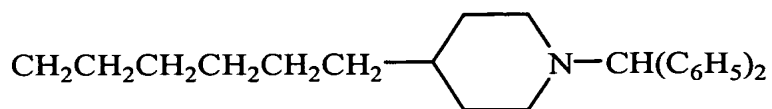




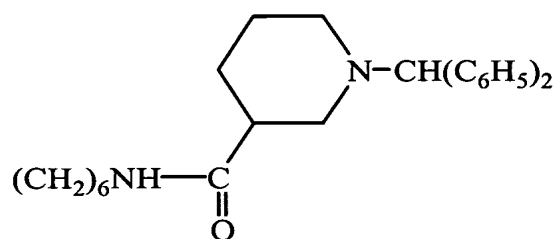
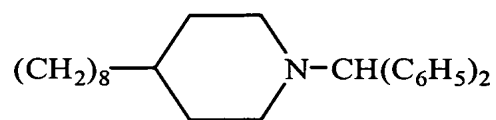
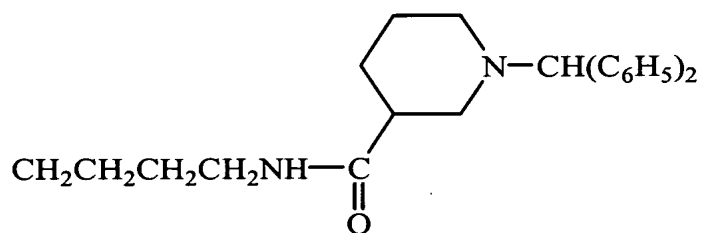
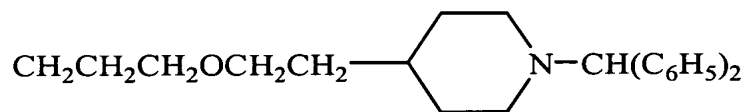


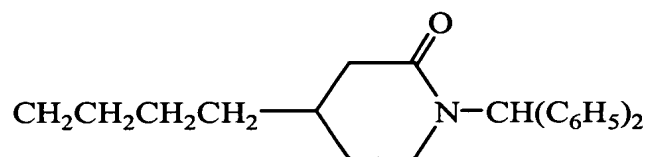
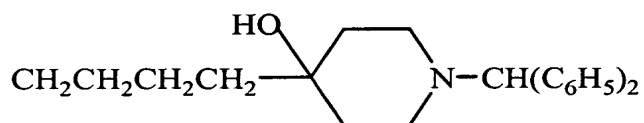
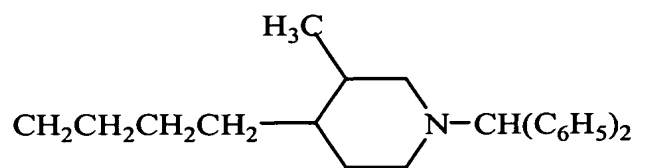


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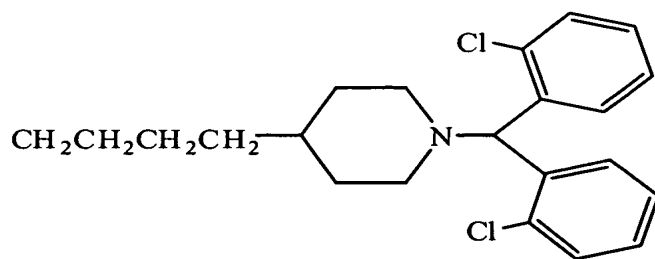
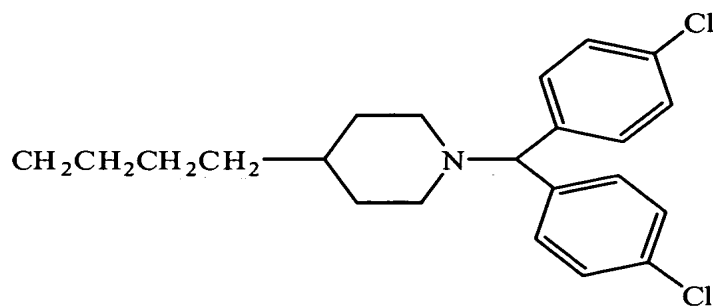
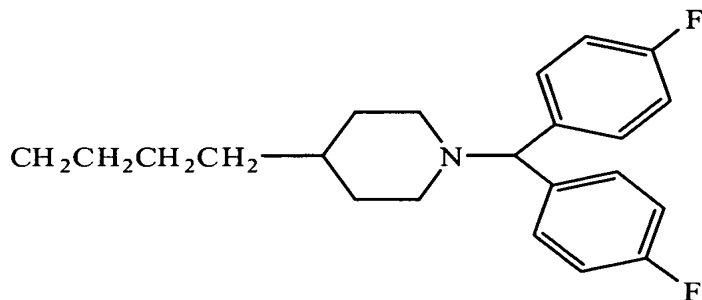
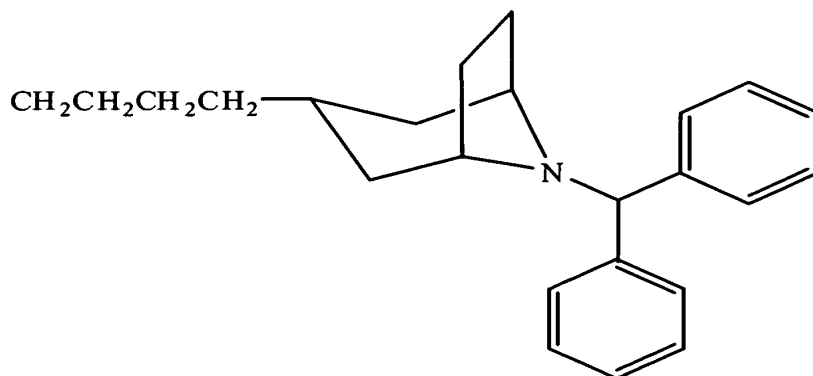


D³
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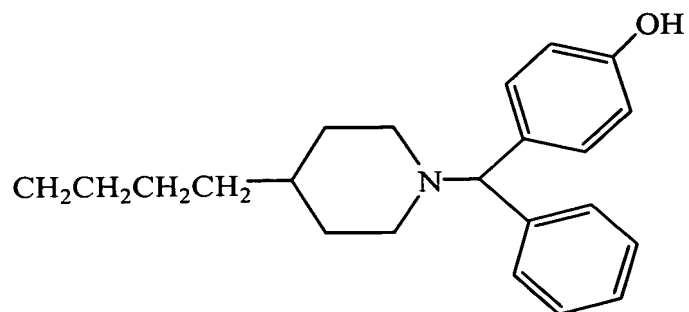




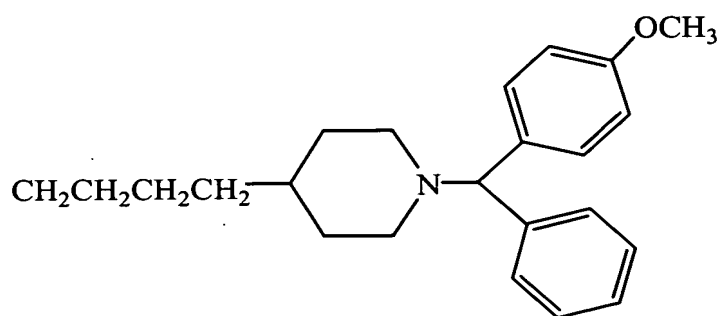
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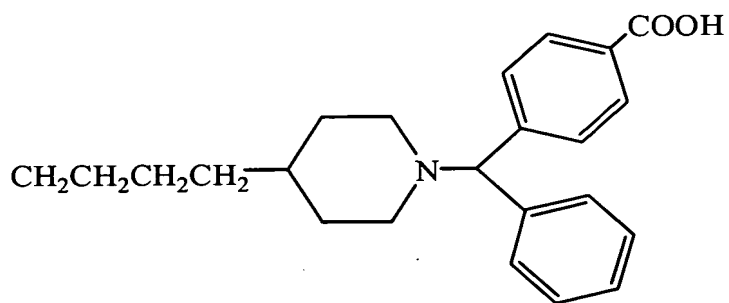
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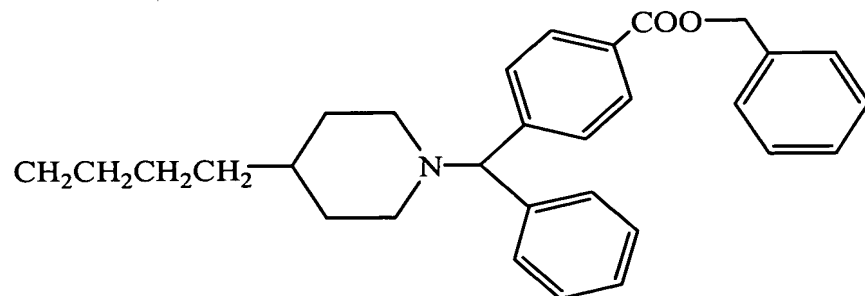
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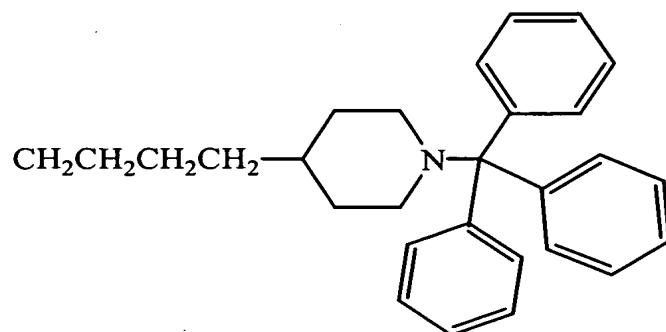


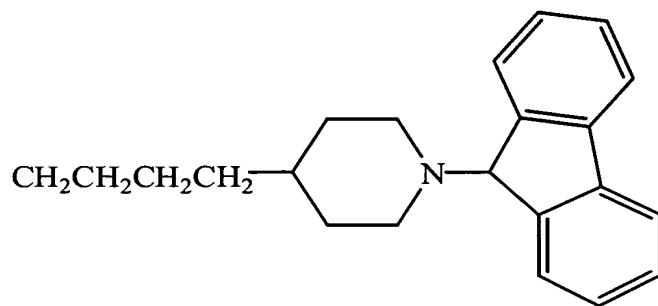
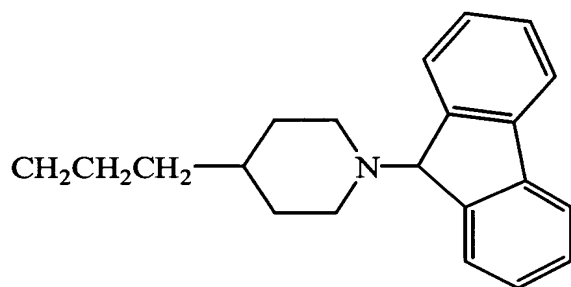
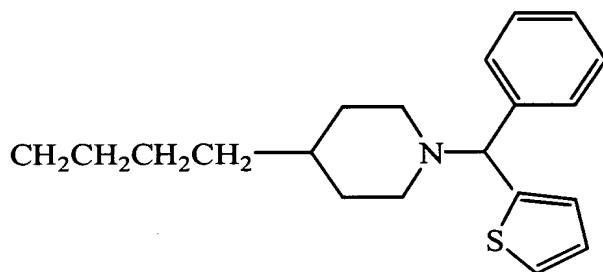
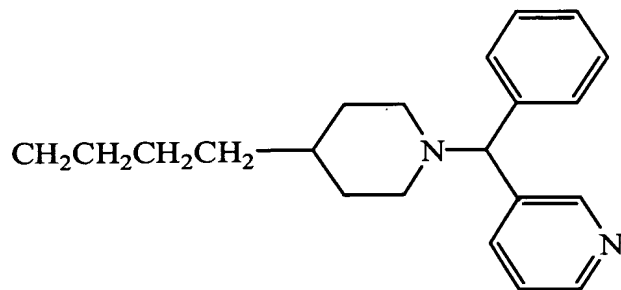
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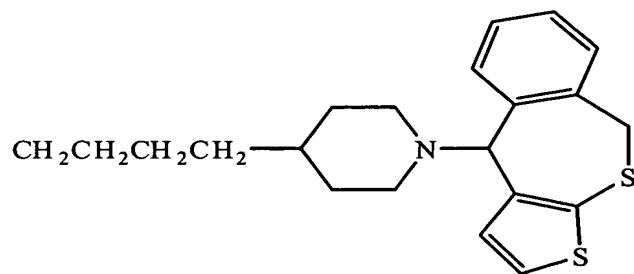
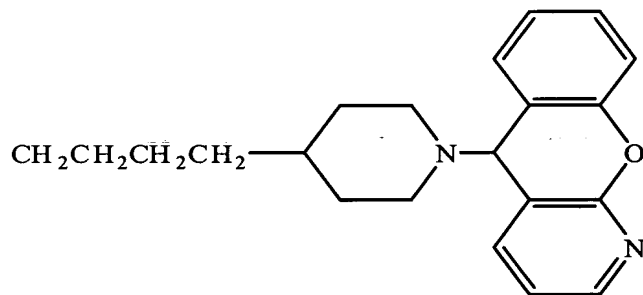
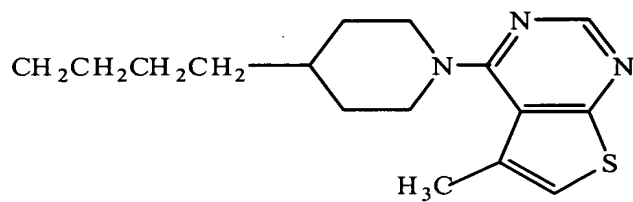
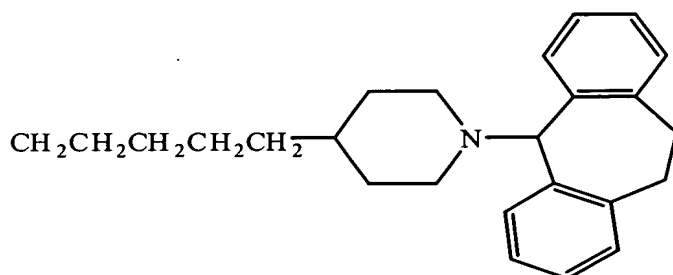
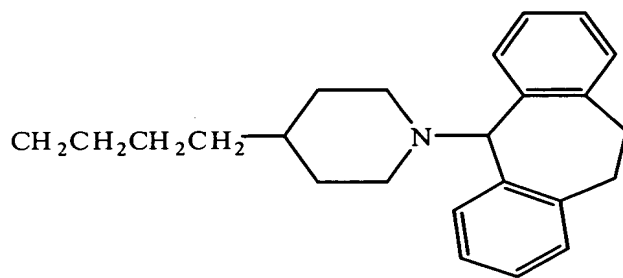
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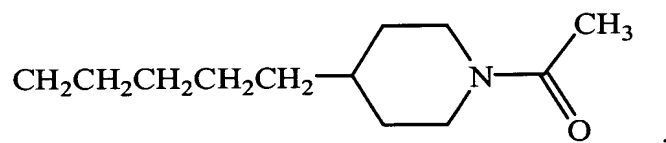
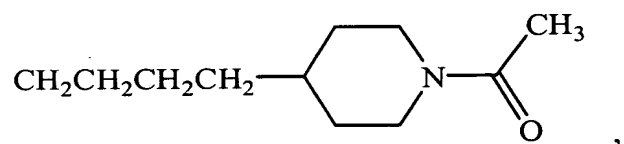
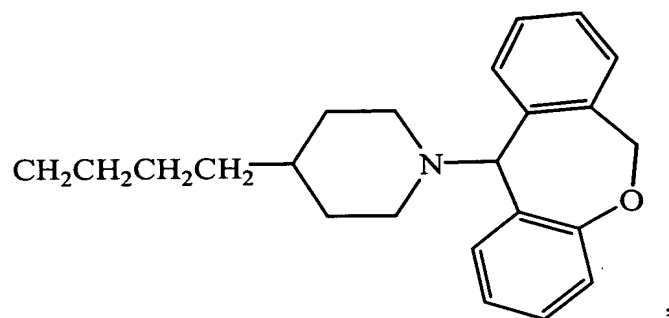
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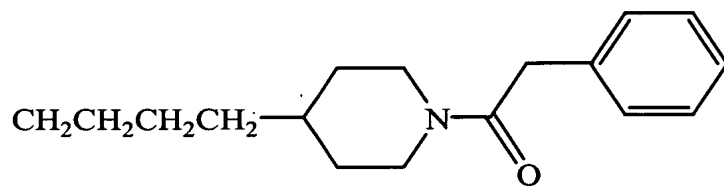
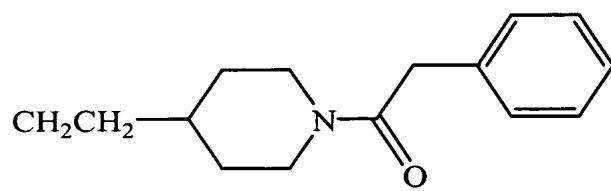
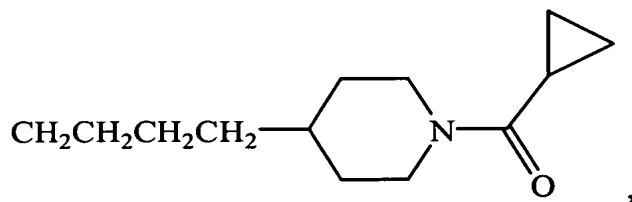
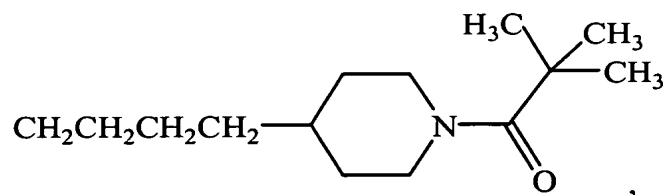


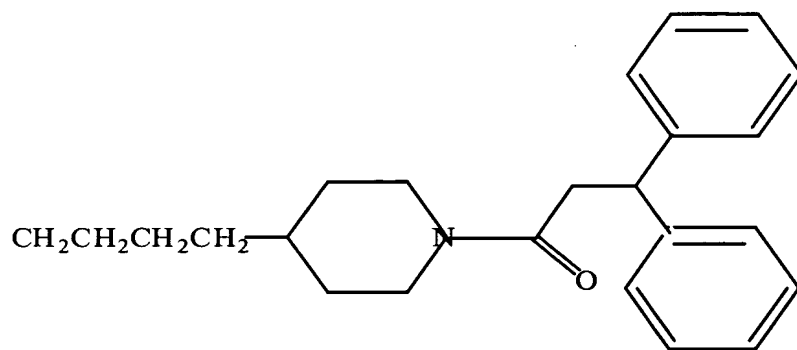
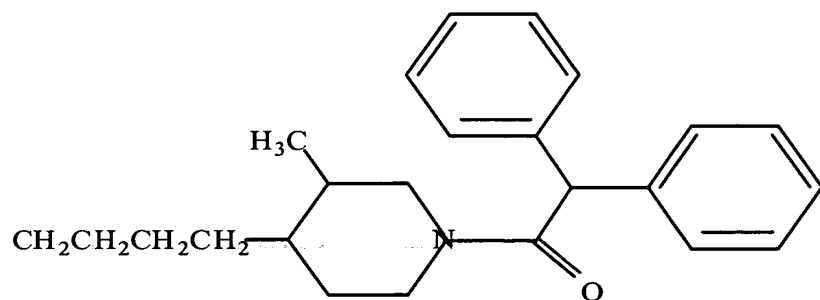
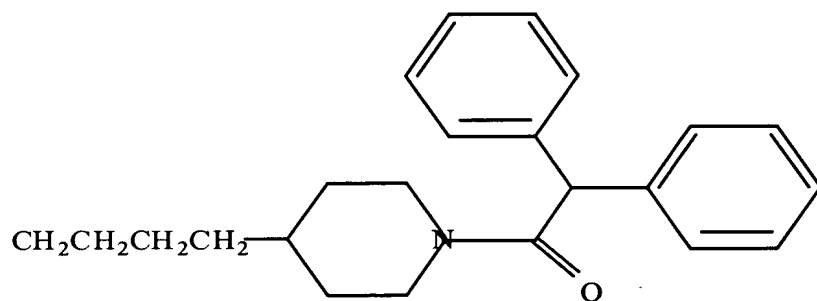
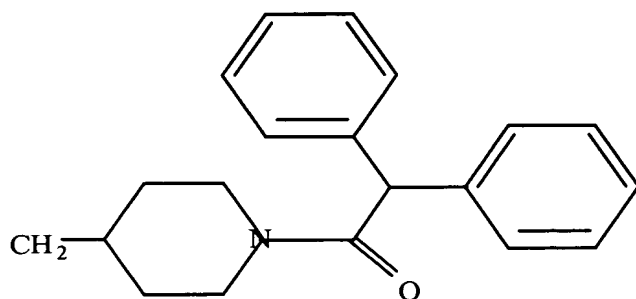


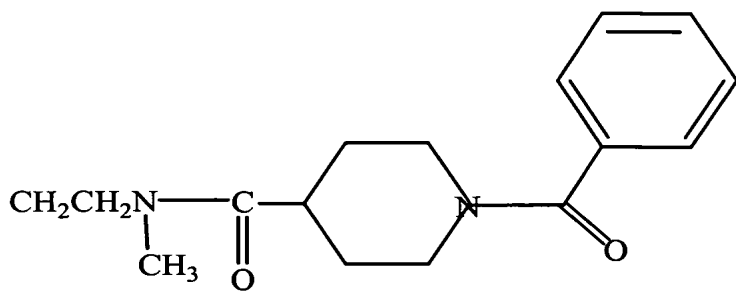
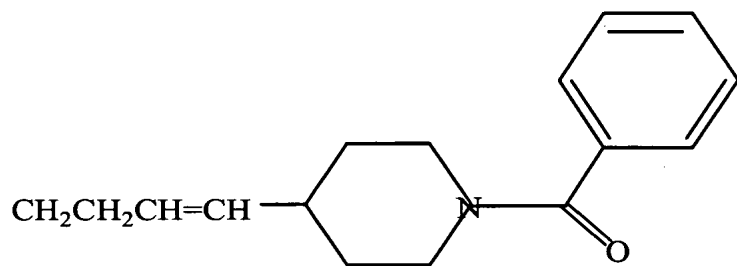
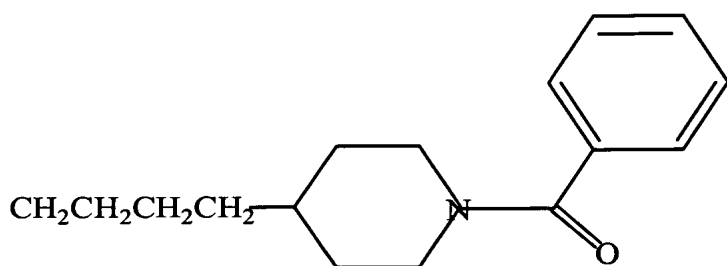
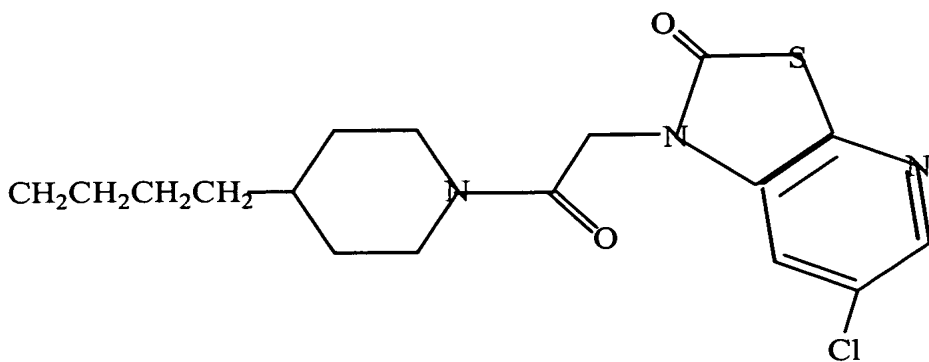
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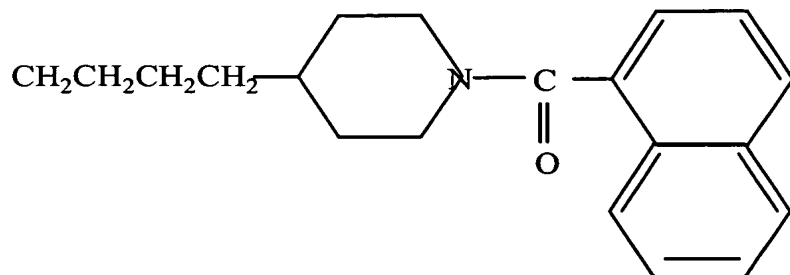
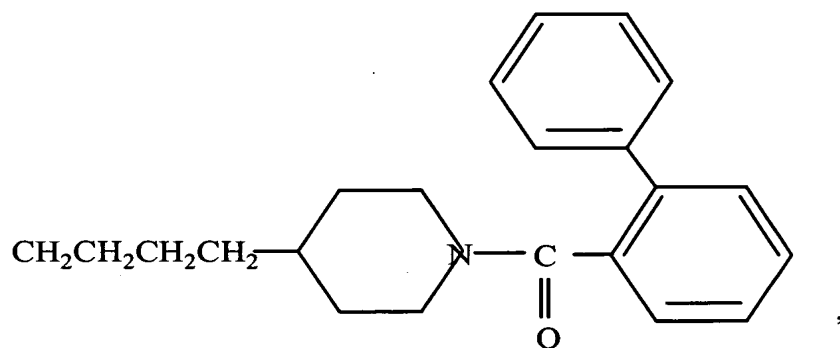
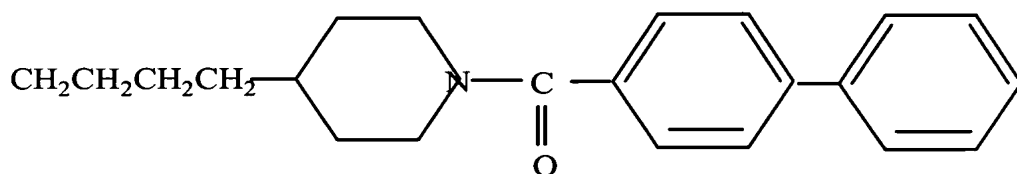
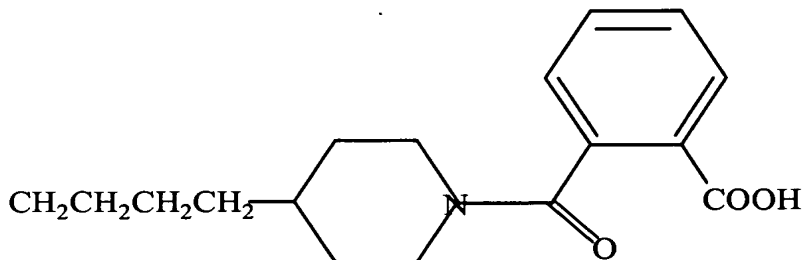
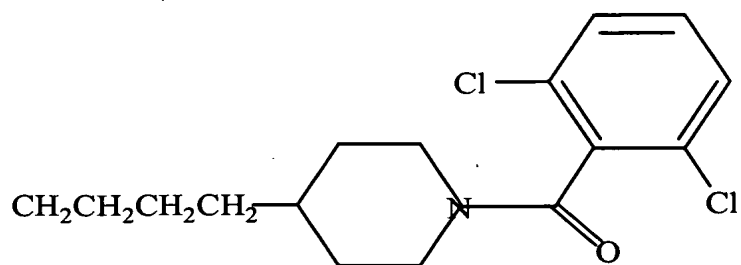


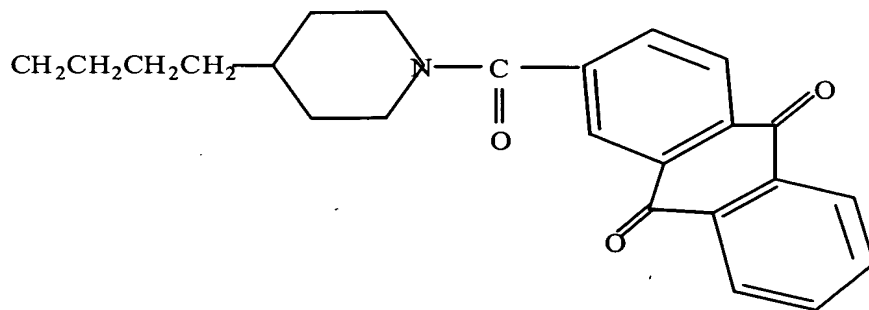
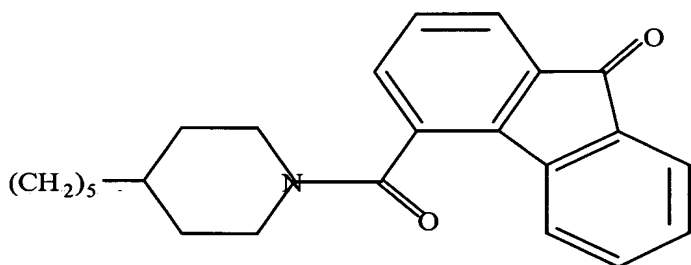
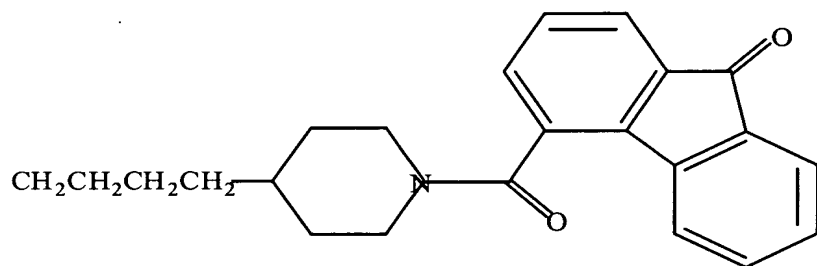
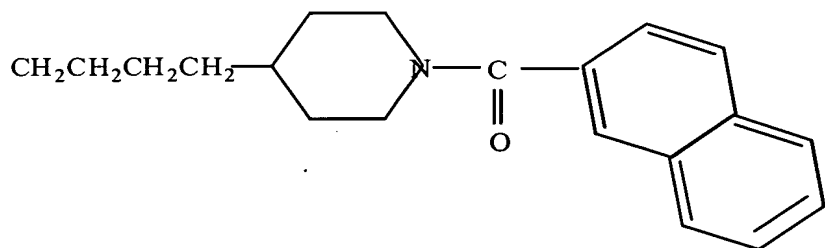
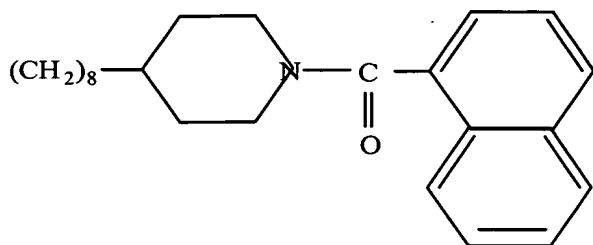


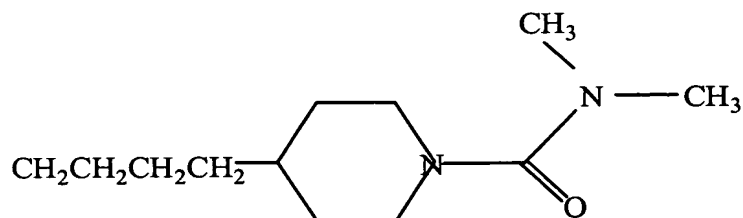
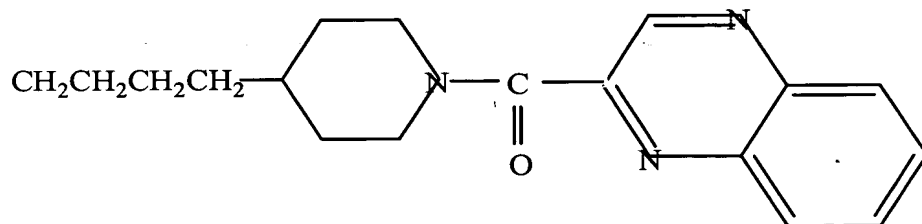
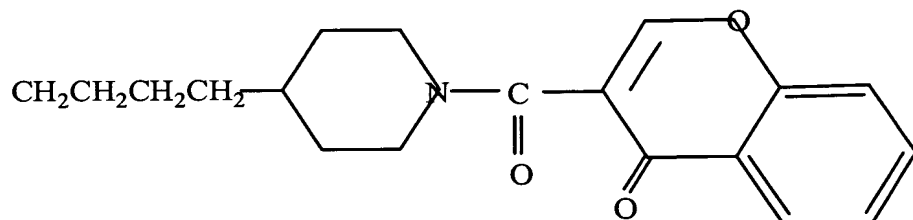
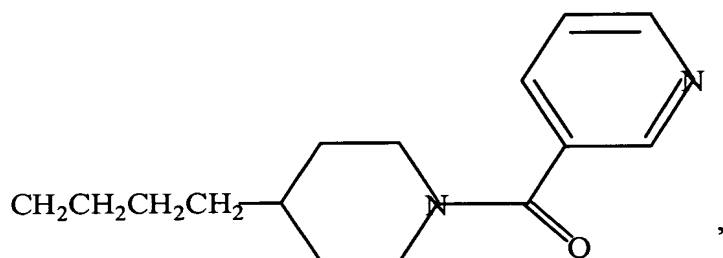
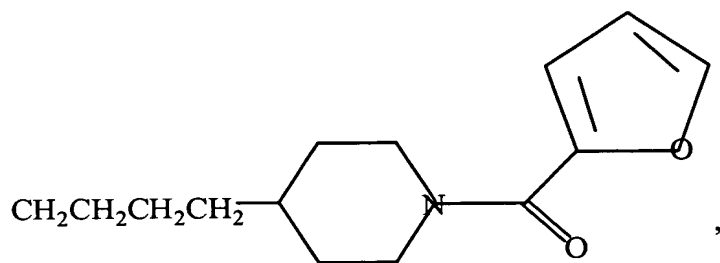




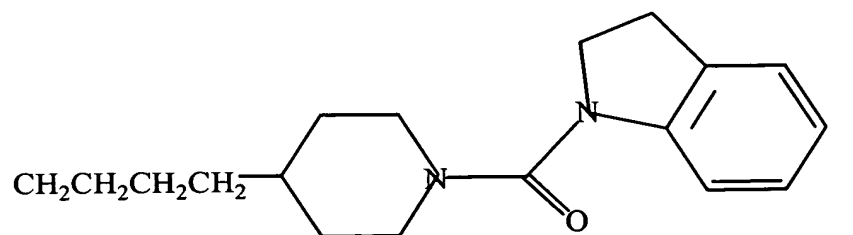
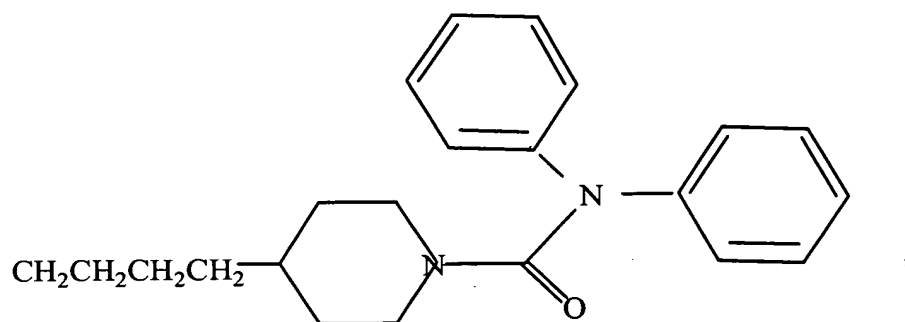
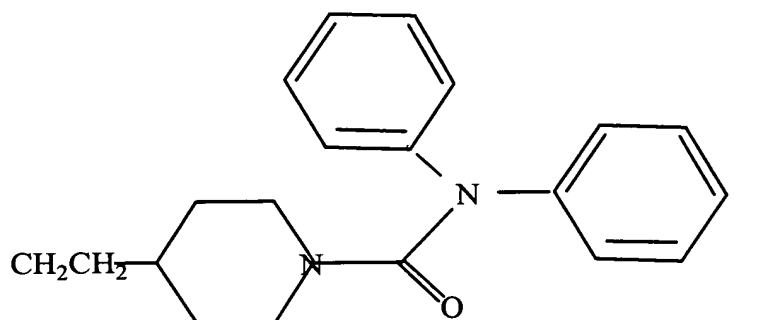
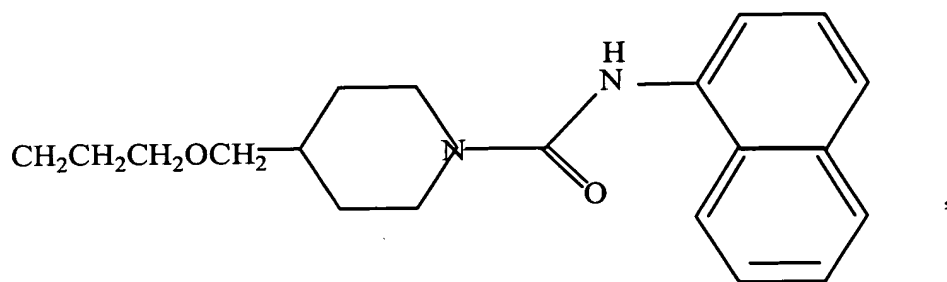




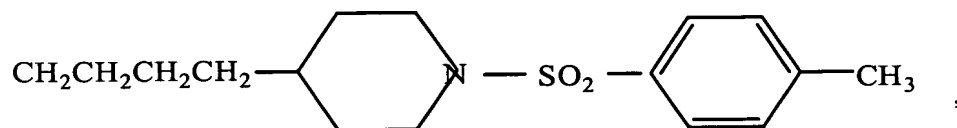
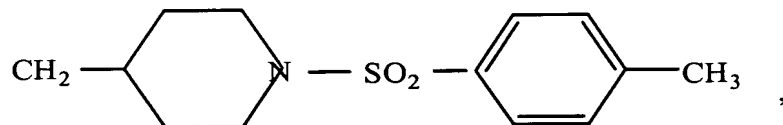
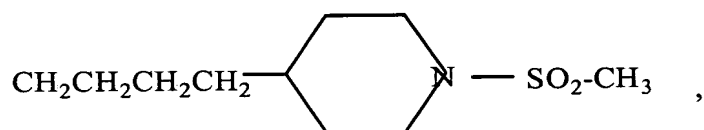
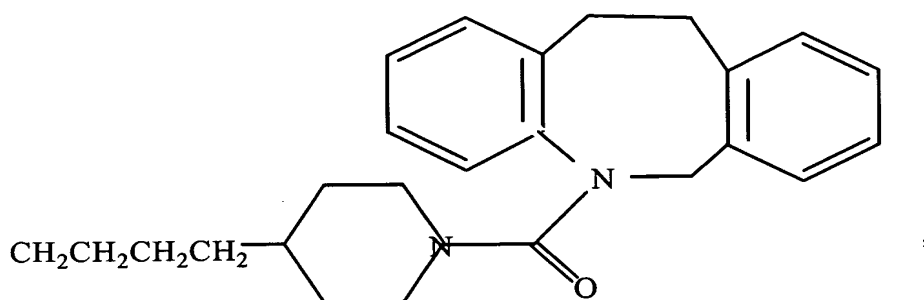
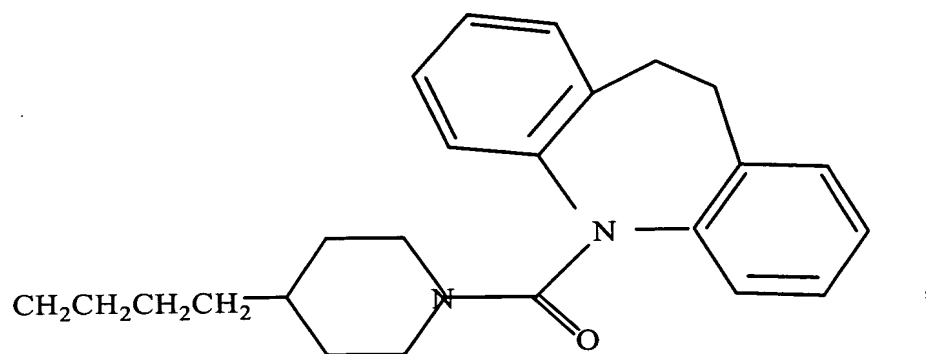


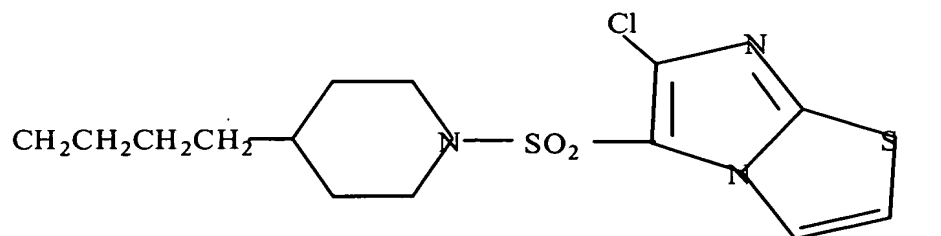
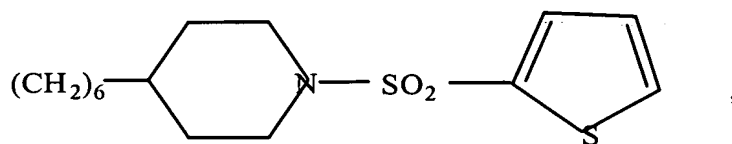
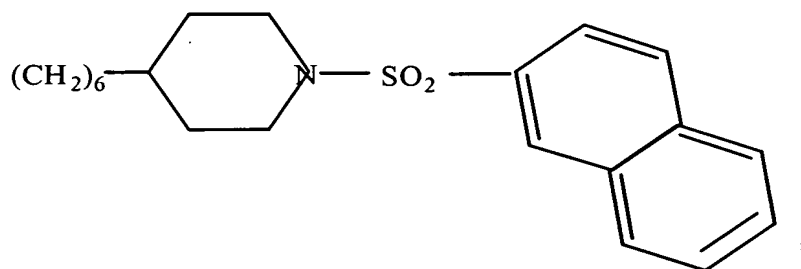
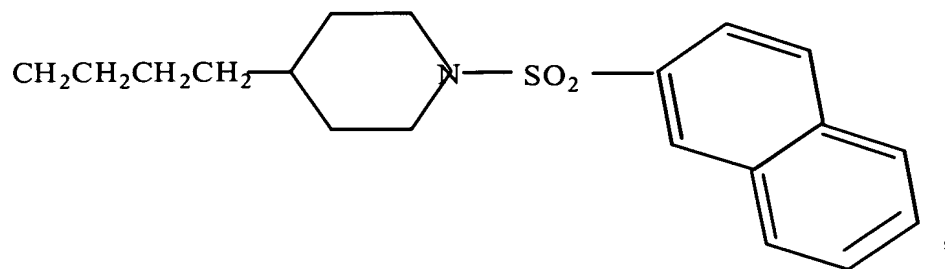
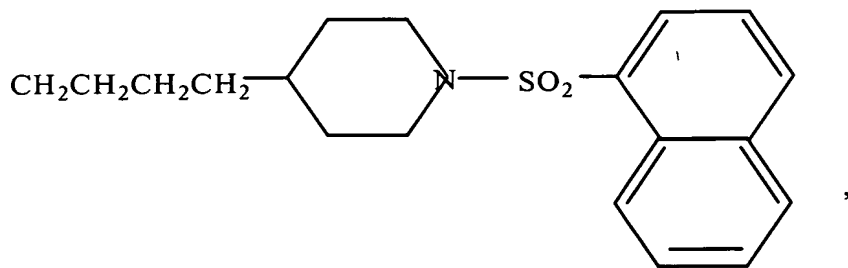


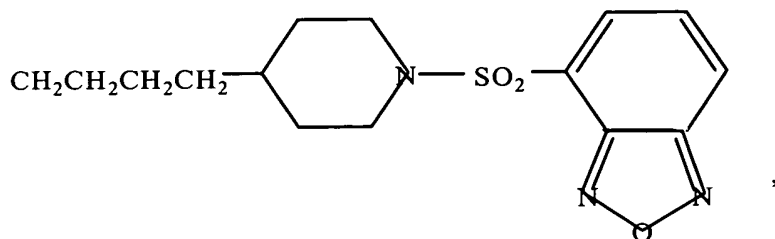
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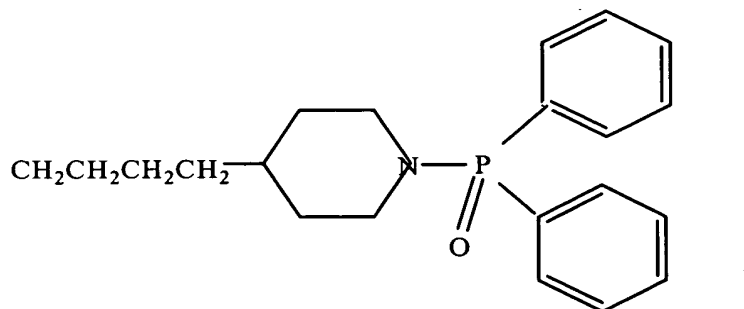
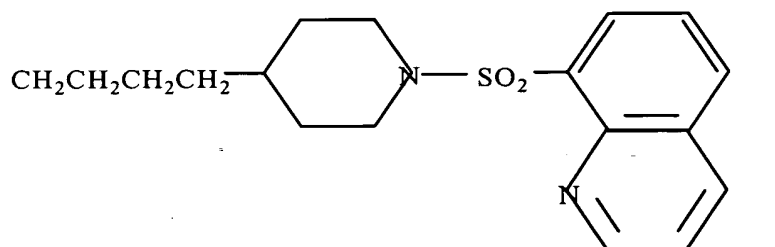
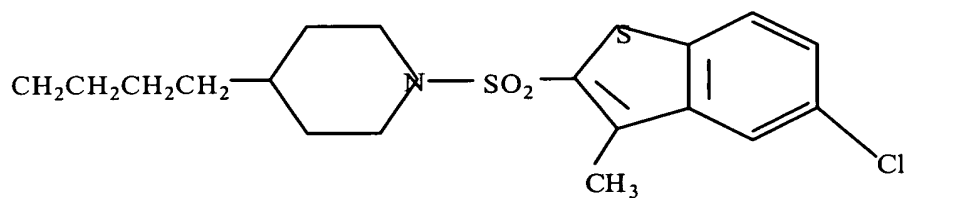
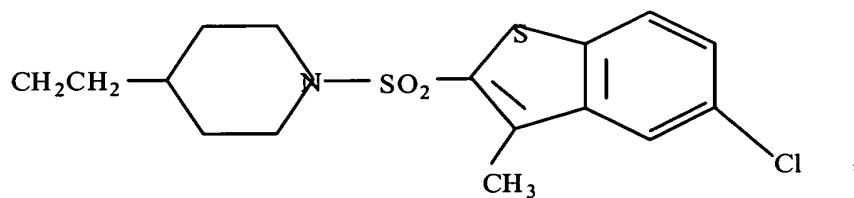
103
Cont



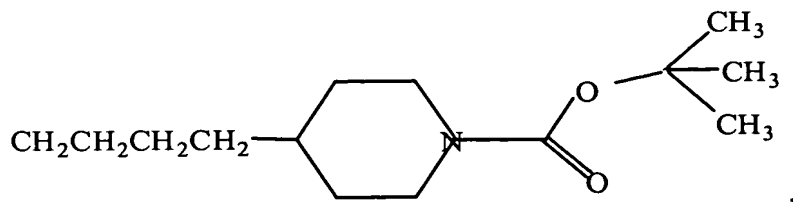
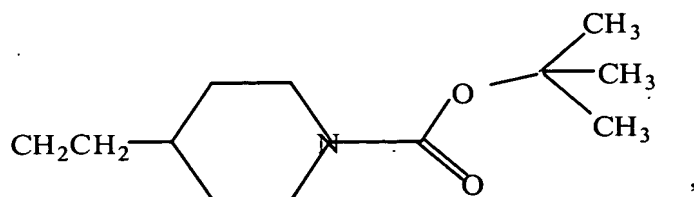
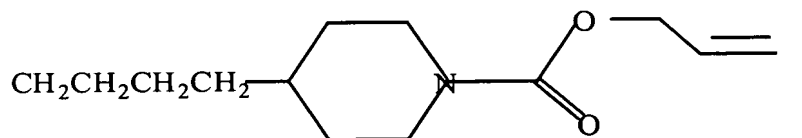
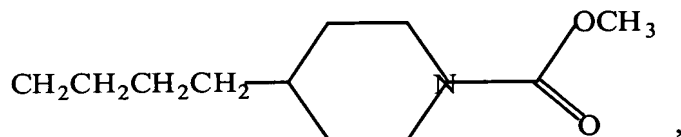
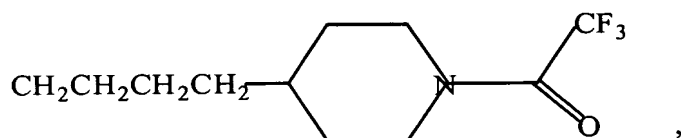
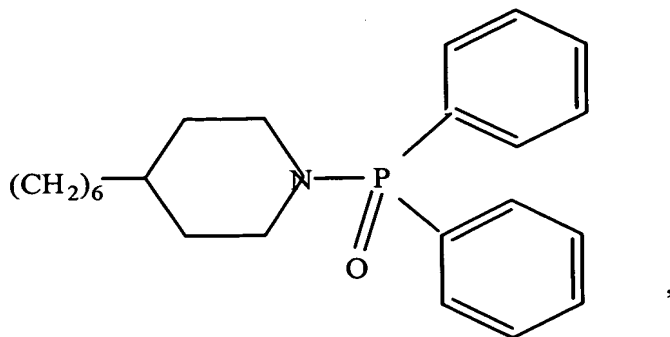


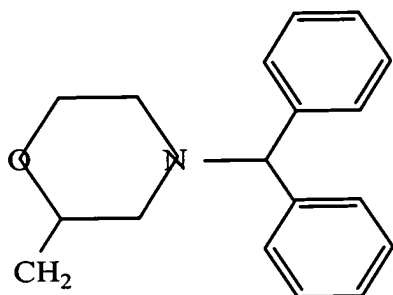
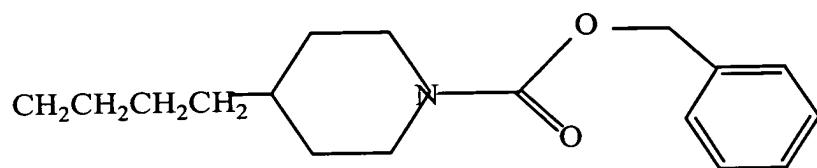
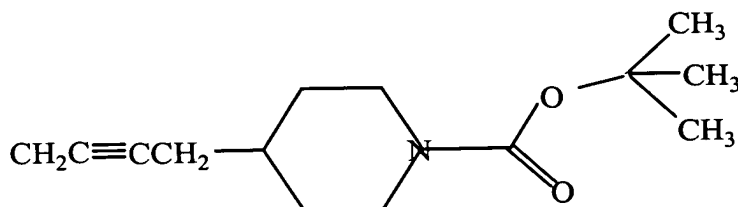
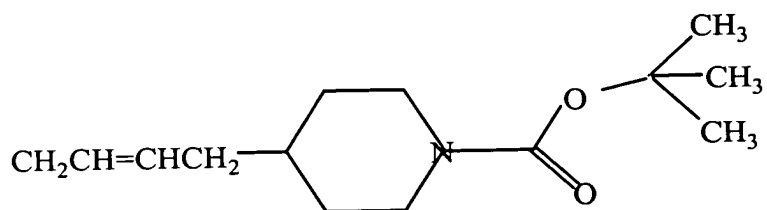


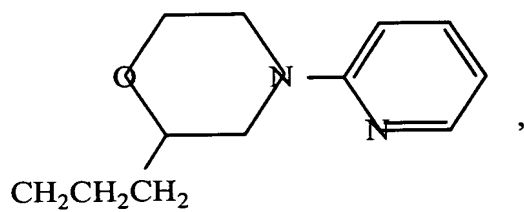
Q³
Cont



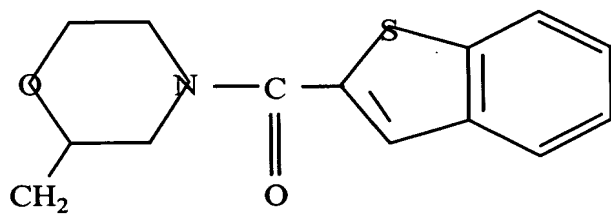
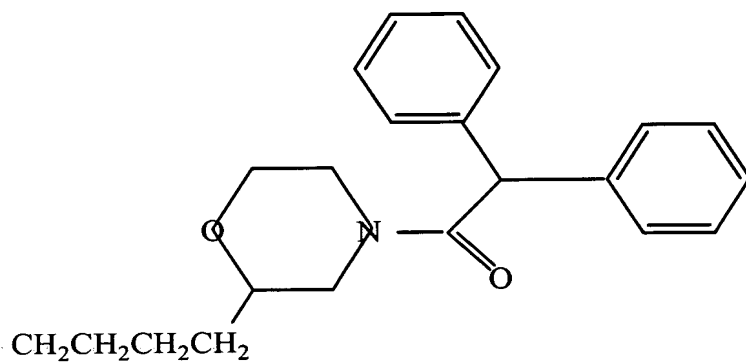
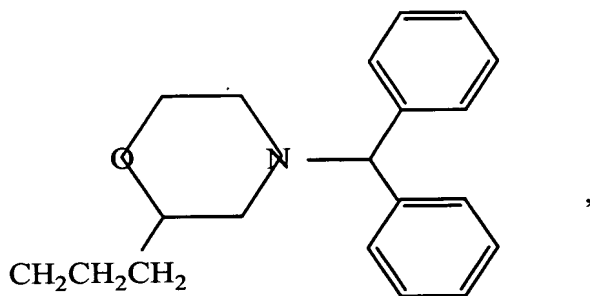
D³
cont



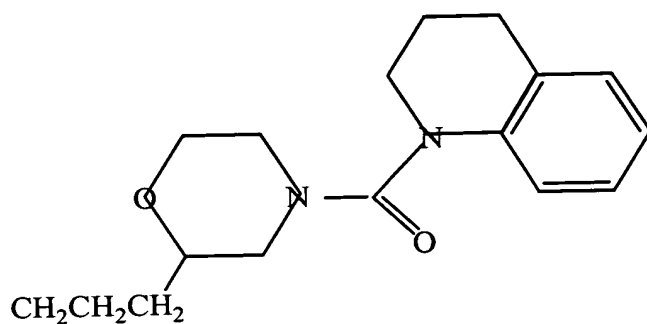




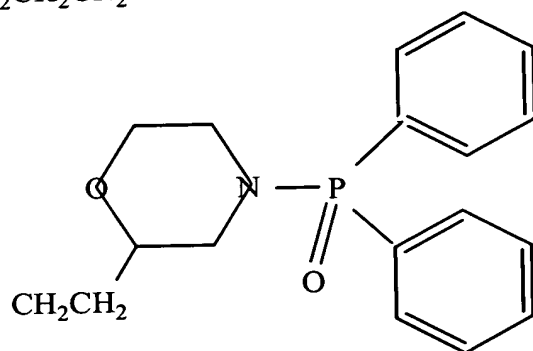
10³
cont



103
cont

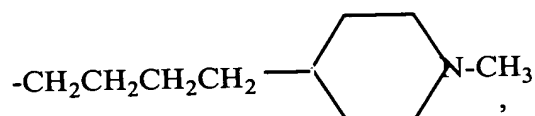
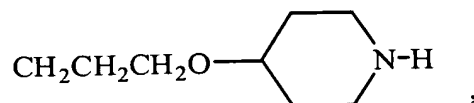
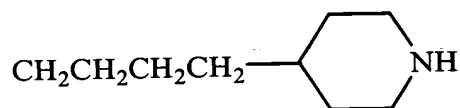


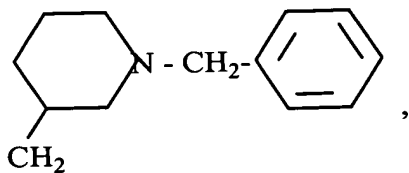
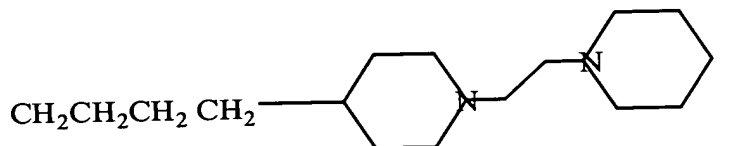
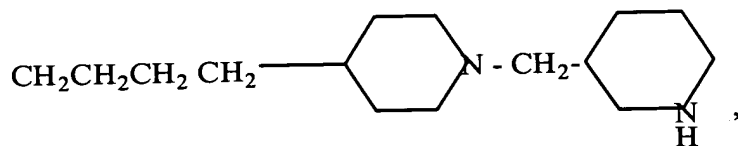
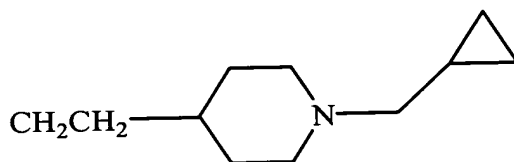
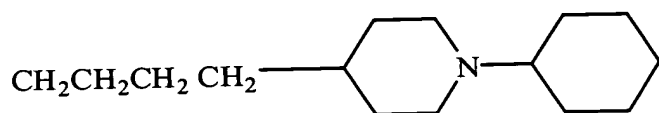
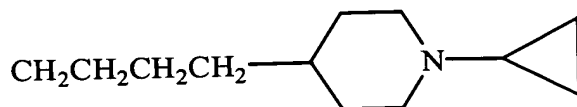
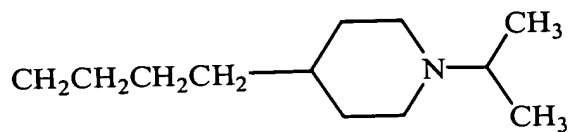
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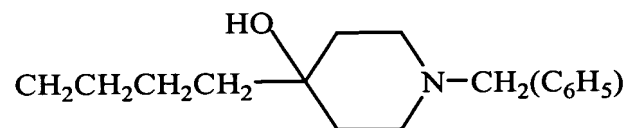
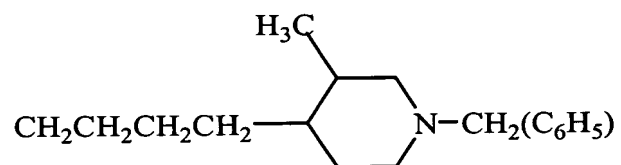
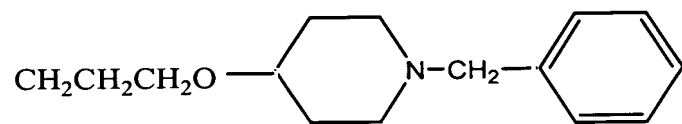
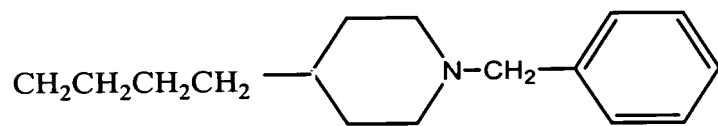


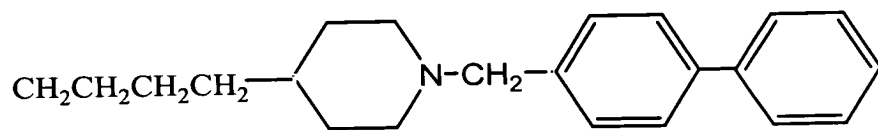
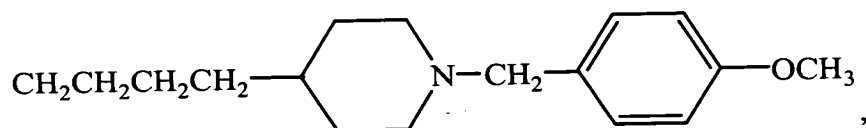
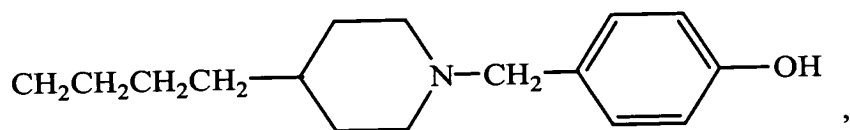
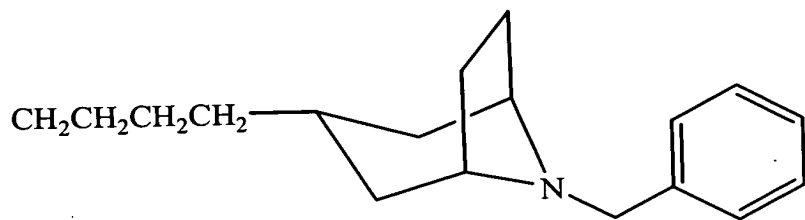
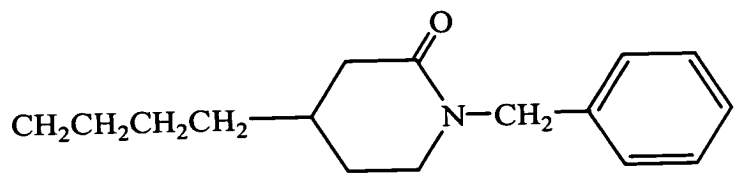
104

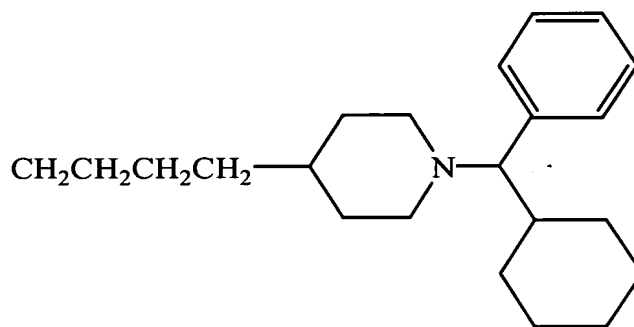
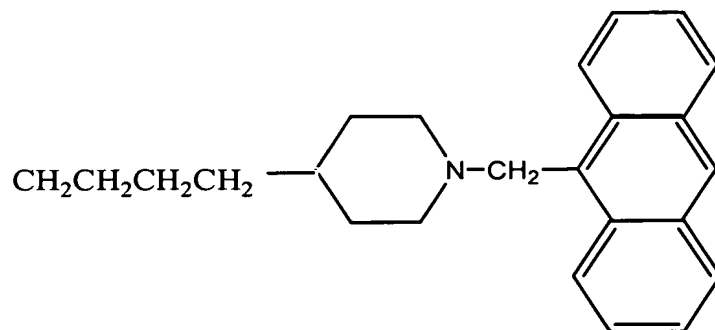
82. A method of suppressing autoimmune disease according to claim 65, wherein DEG is selected from the group consisting of

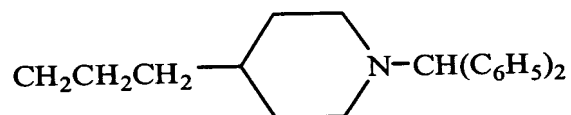
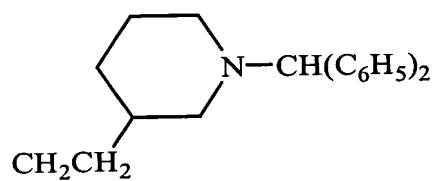
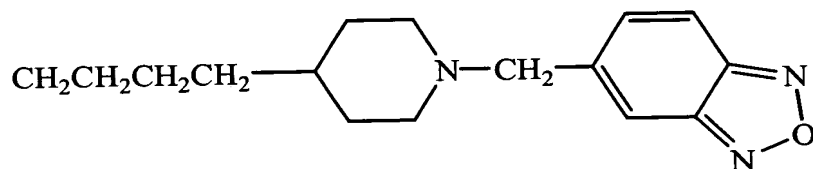
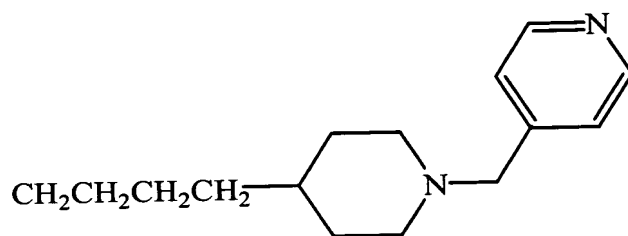
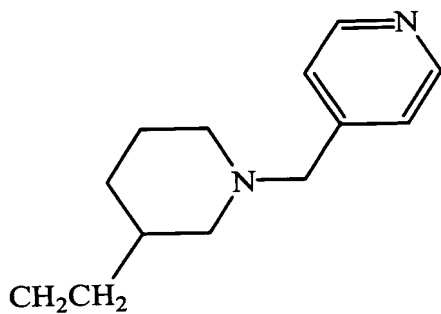


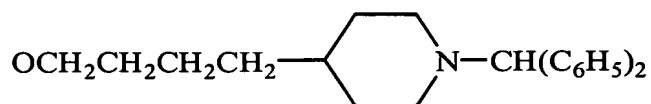
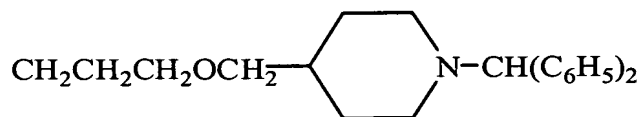
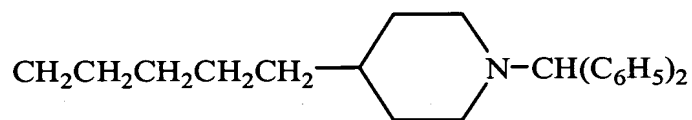
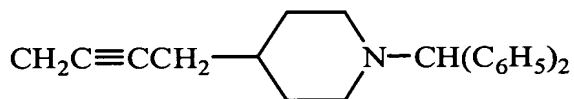
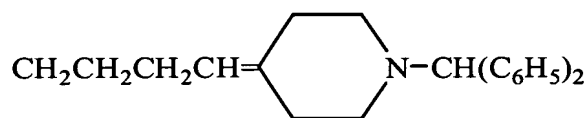
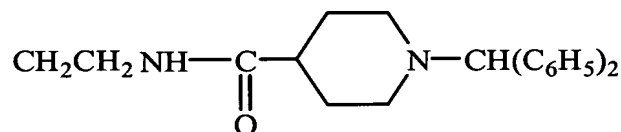
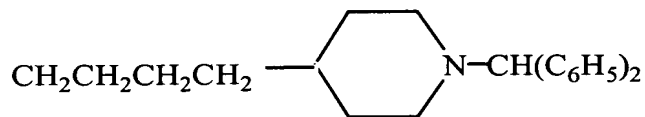
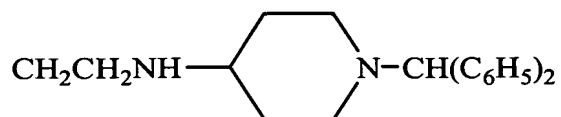


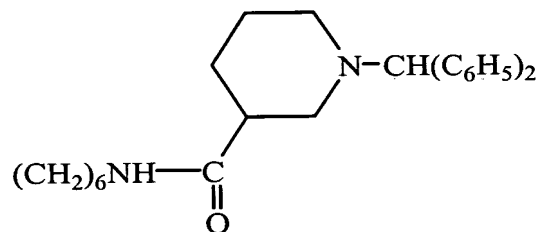
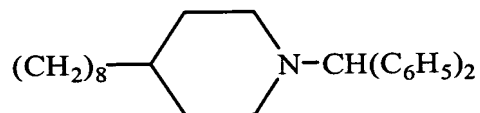
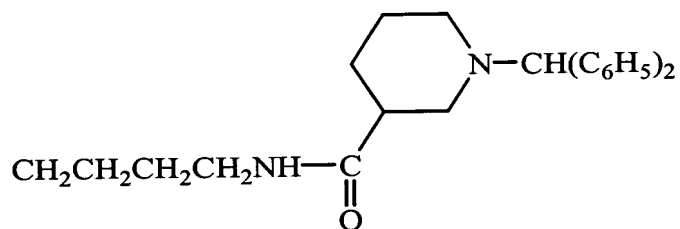
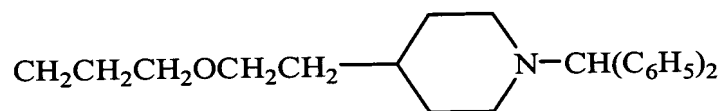
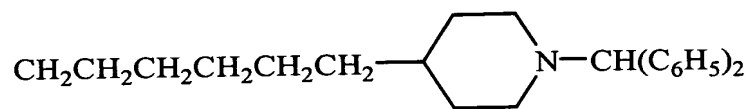




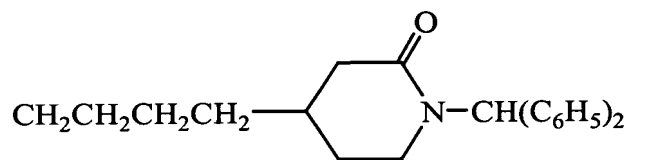
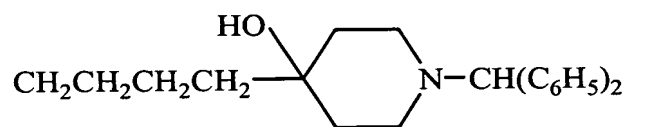
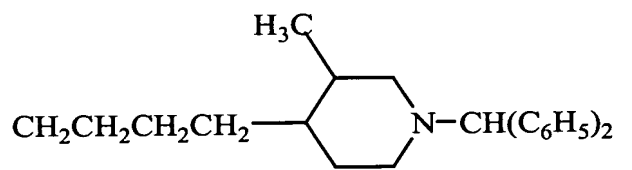


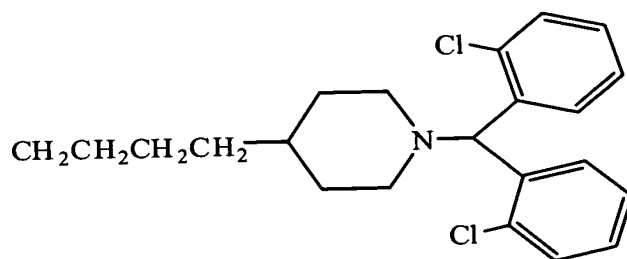
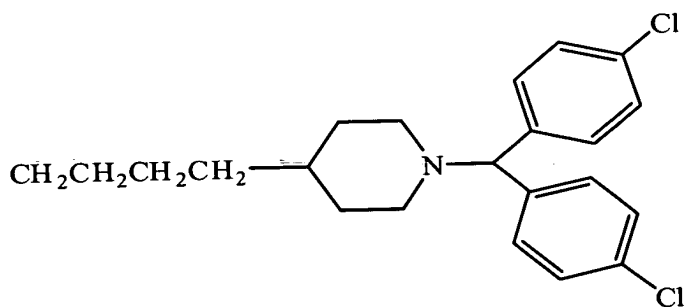
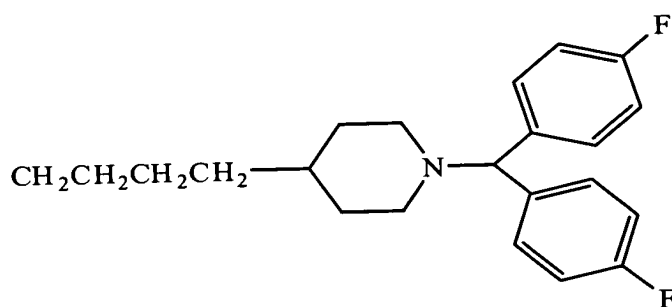
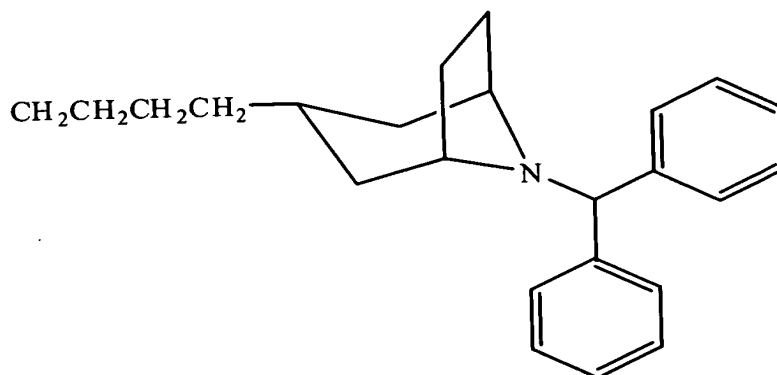




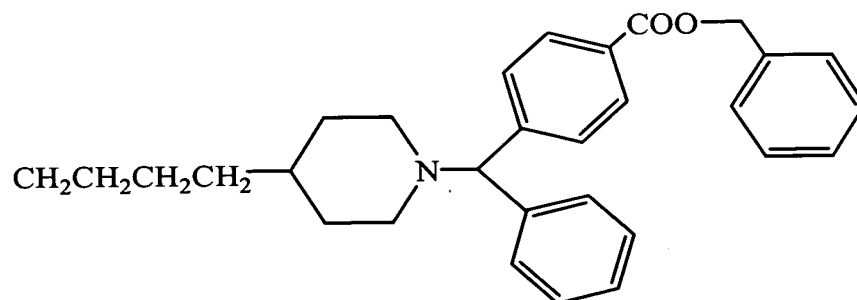
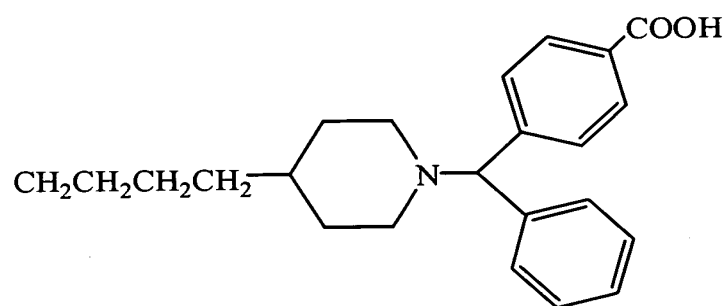
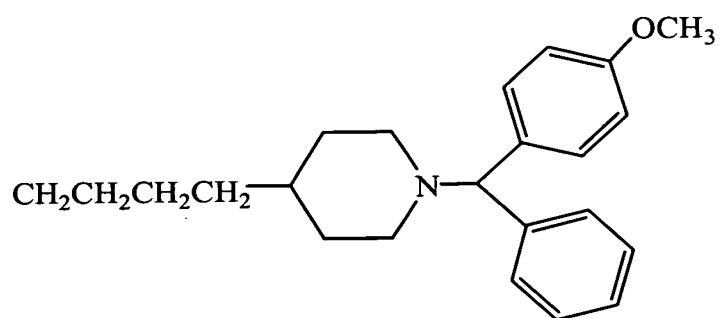
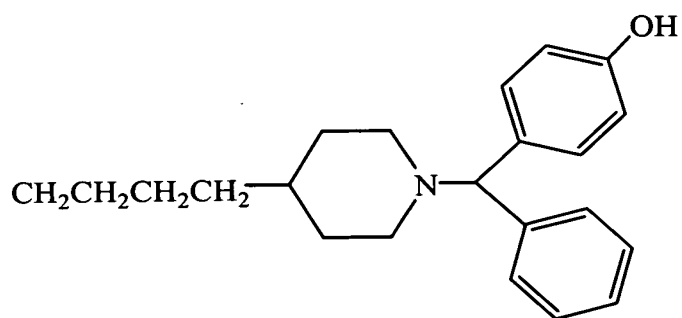


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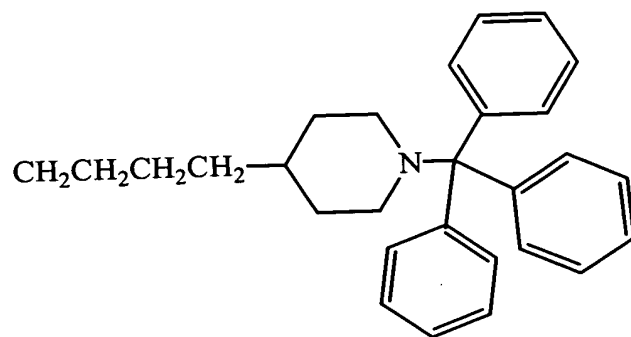
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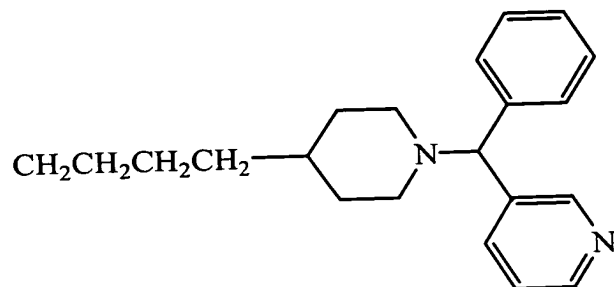
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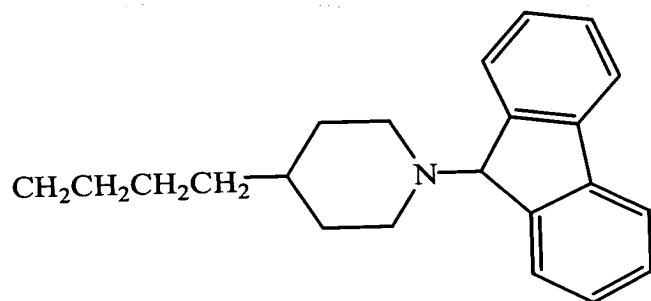
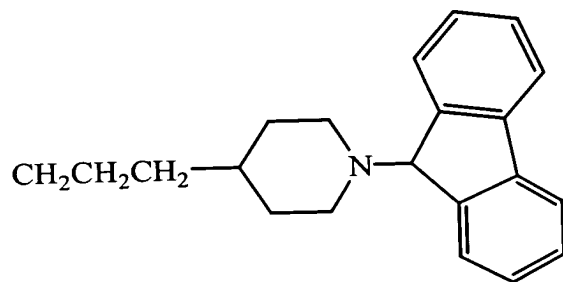
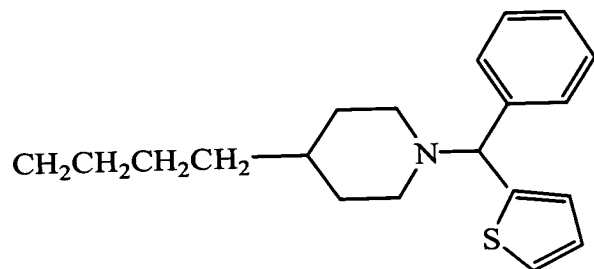
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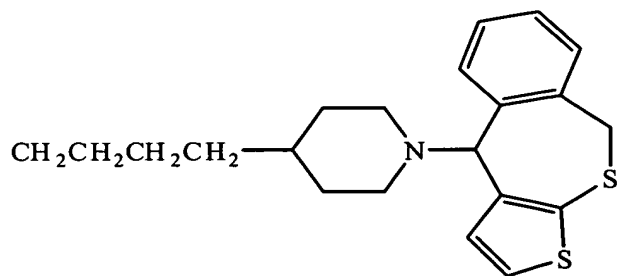
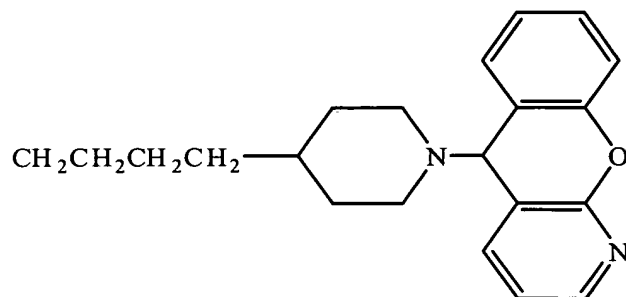
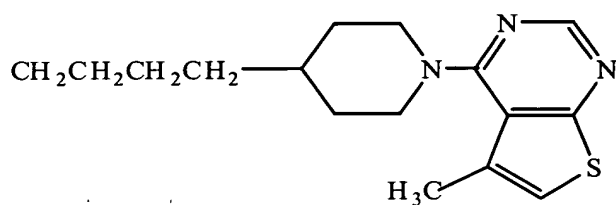
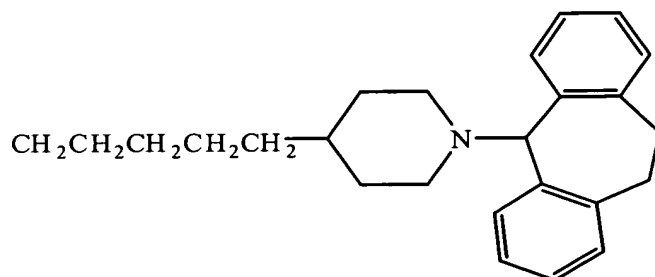
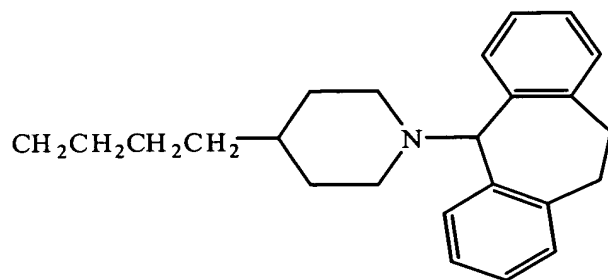


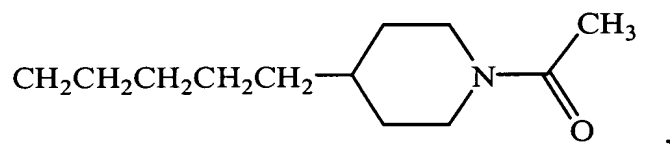
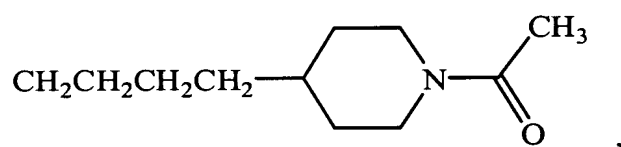
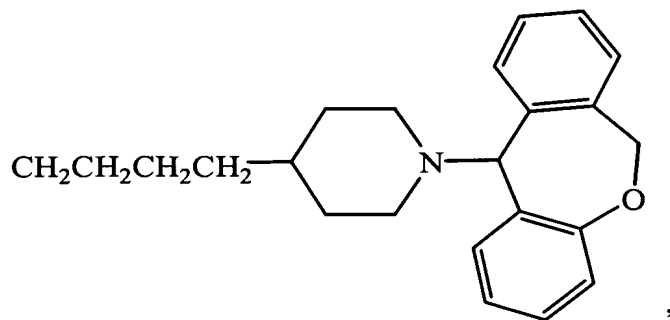
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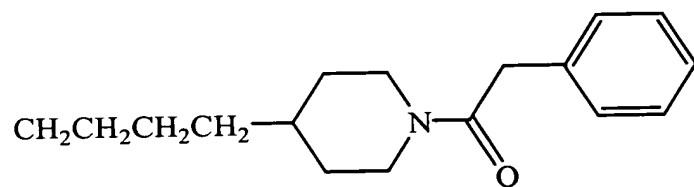
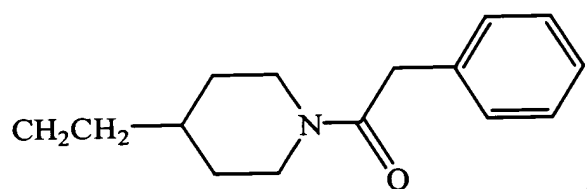
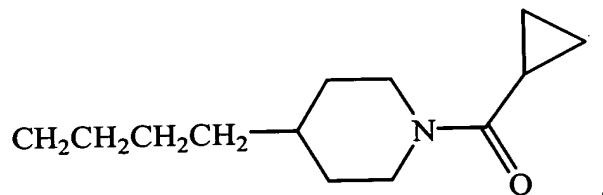
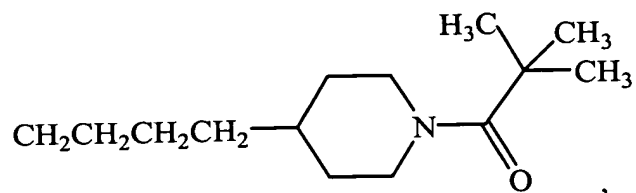
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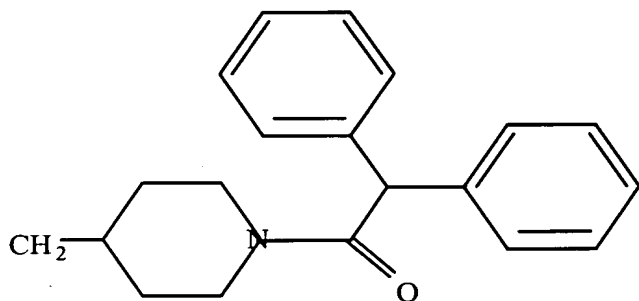




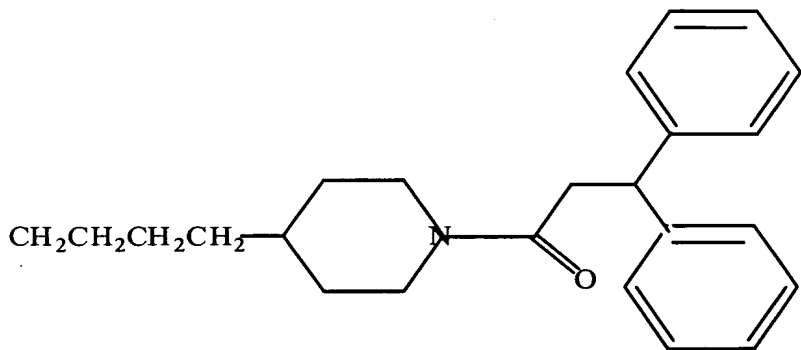
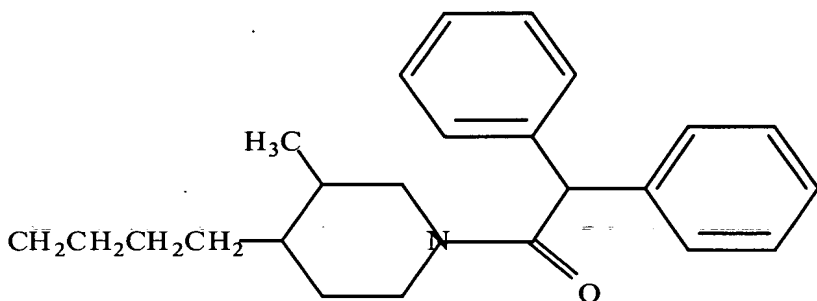
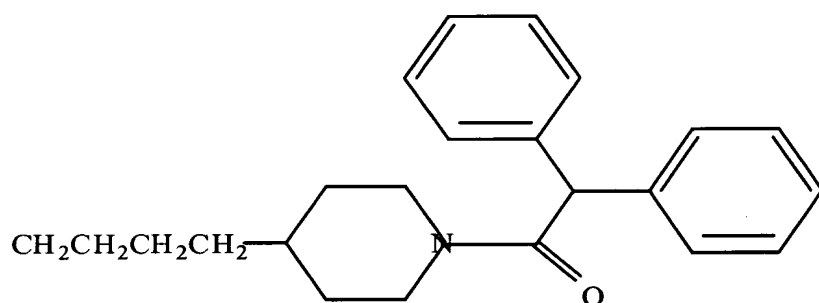
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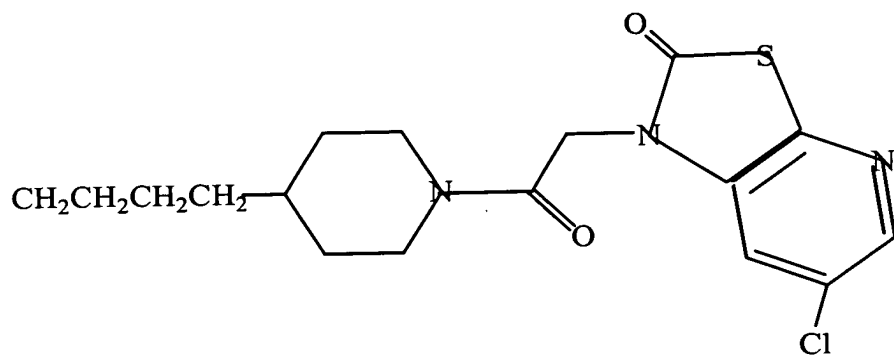


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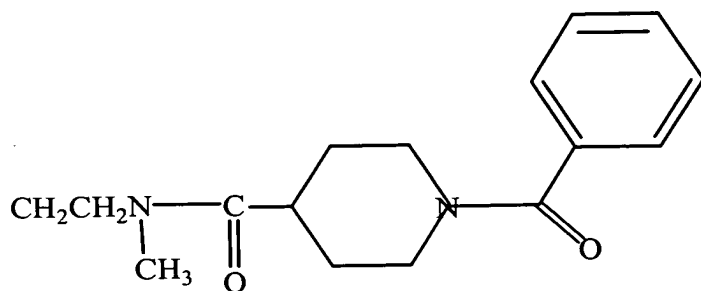
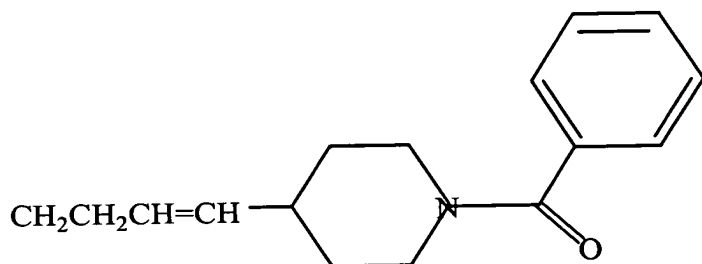
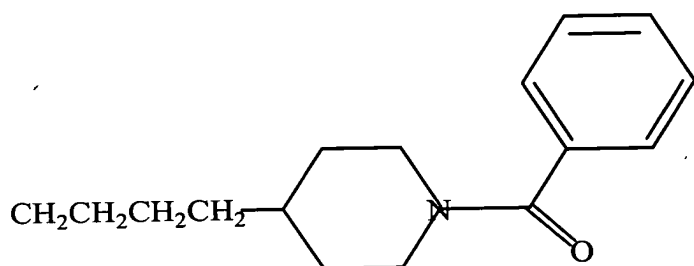


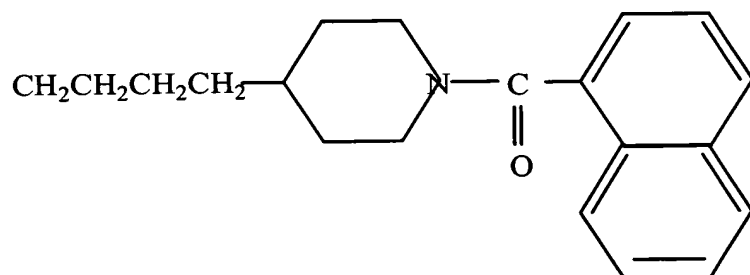
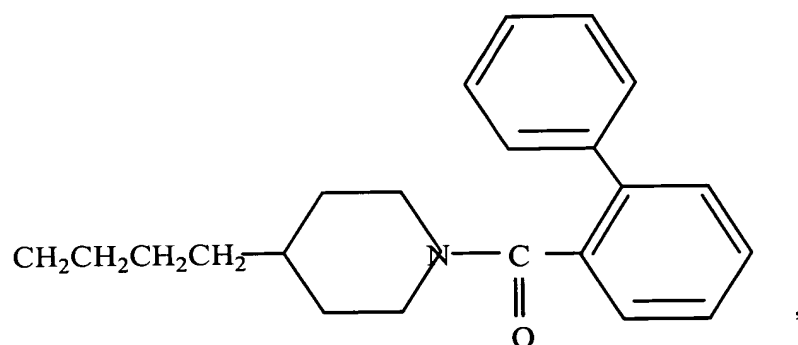
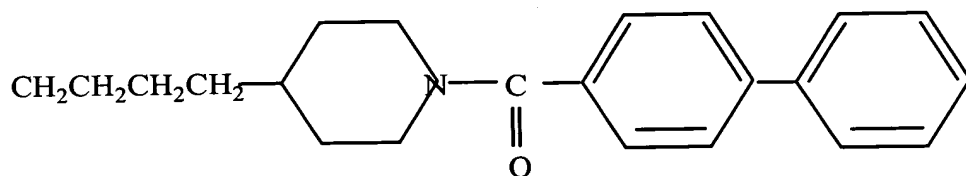
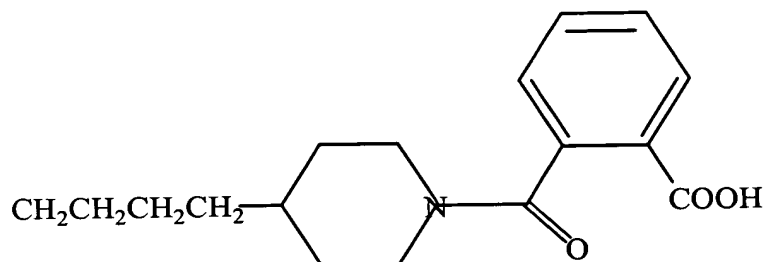
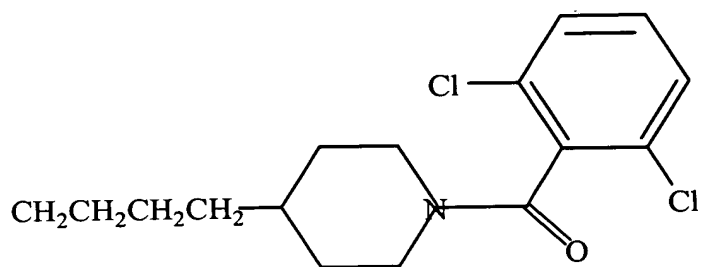
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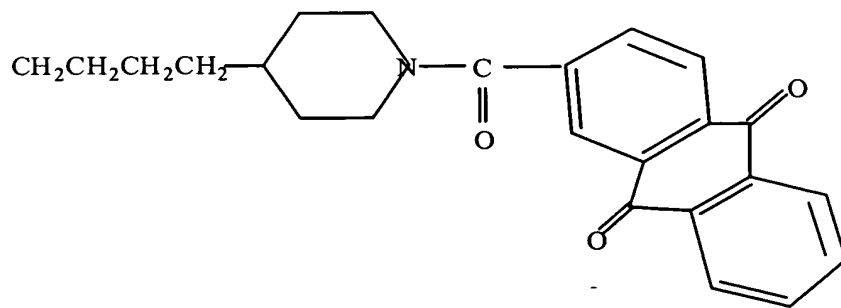
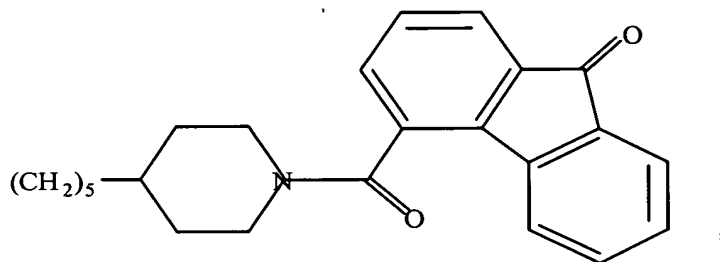
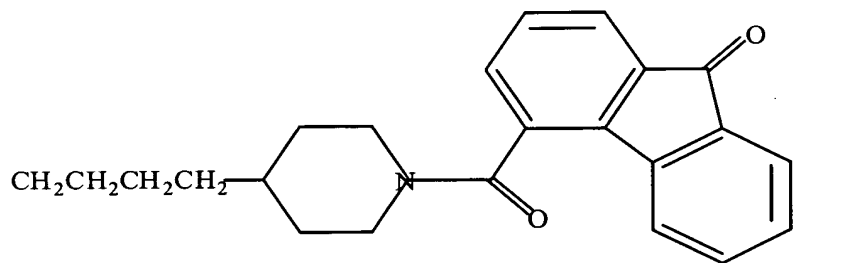
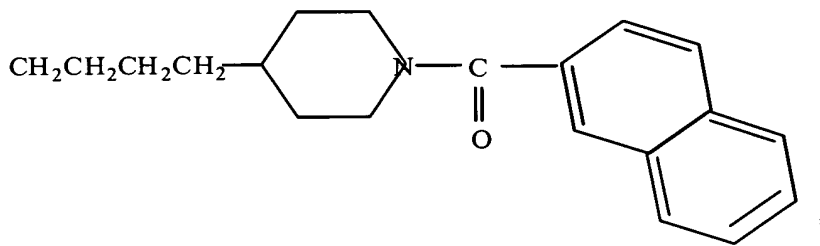
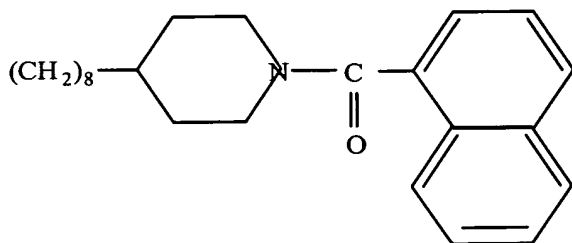


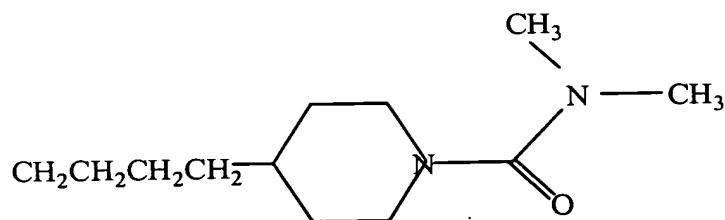
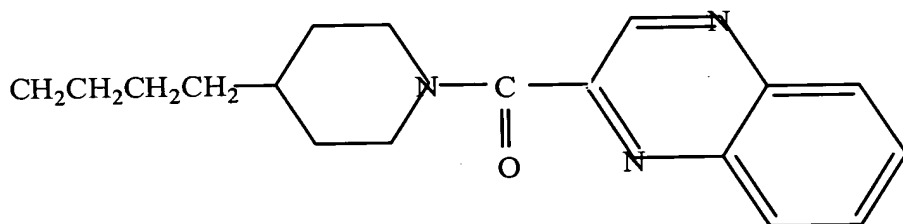
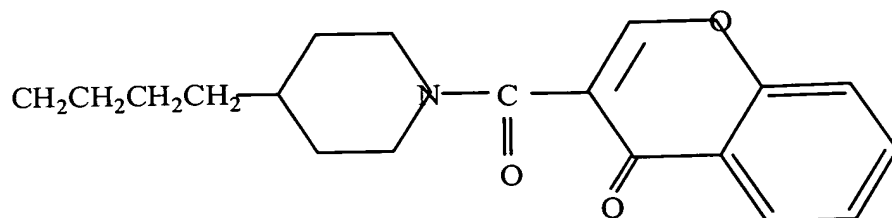
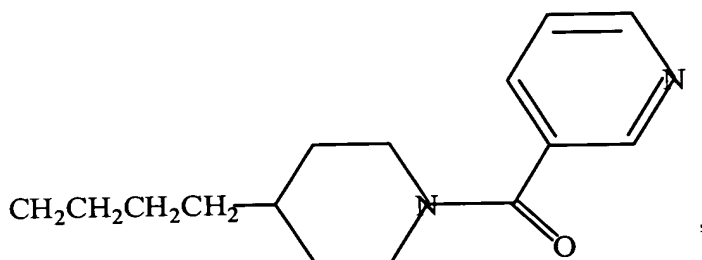
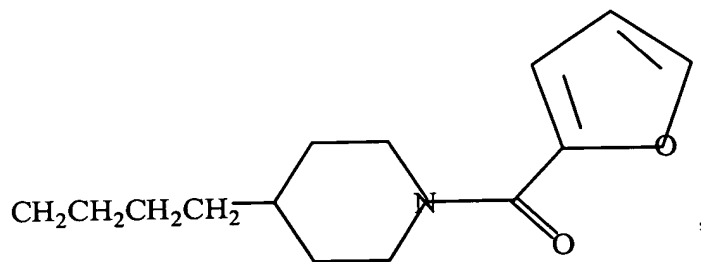


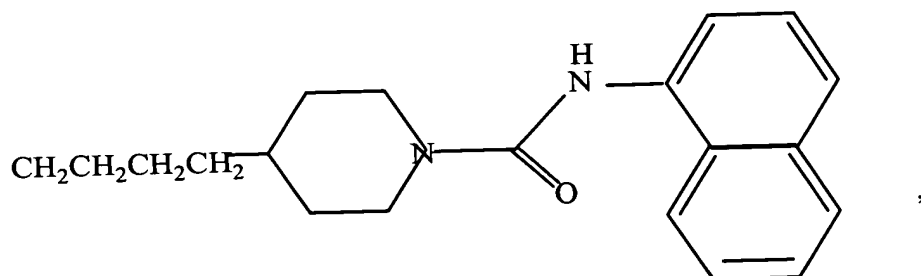
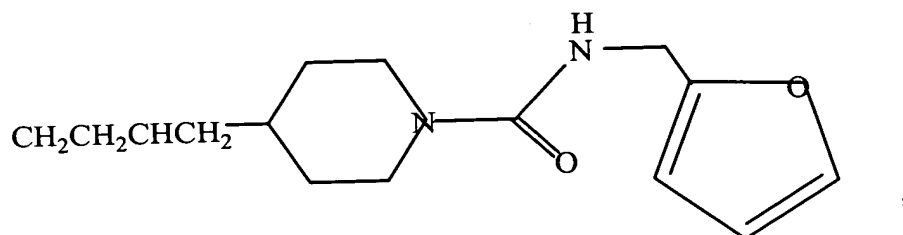
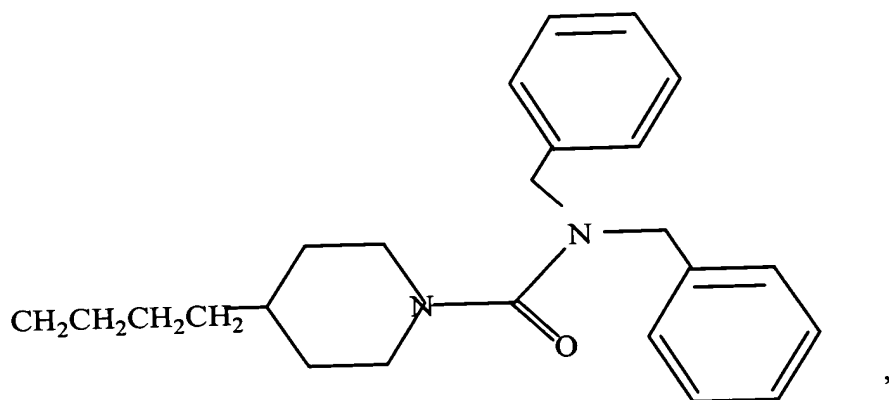
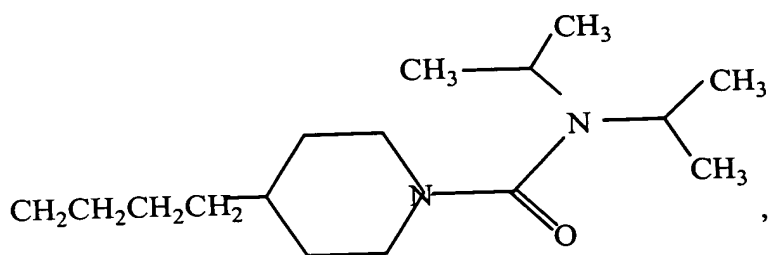
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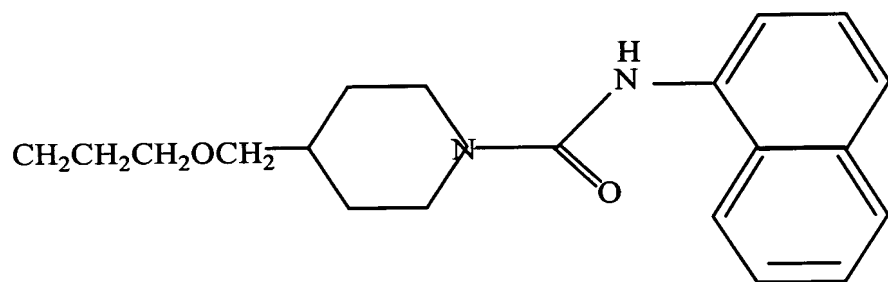




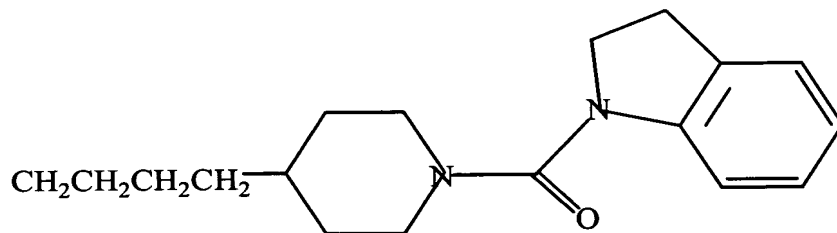
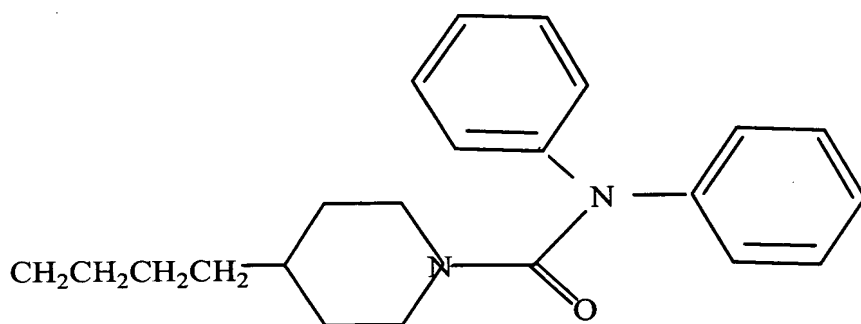
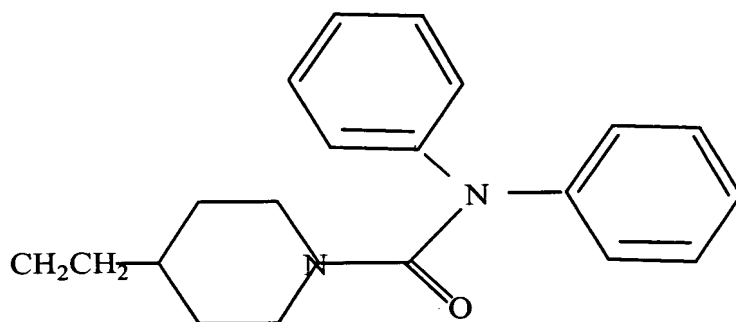


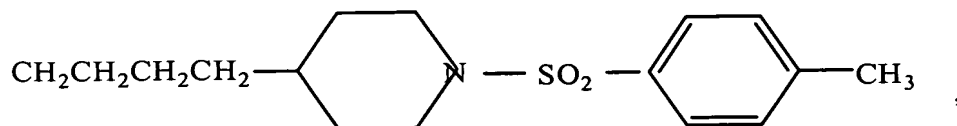
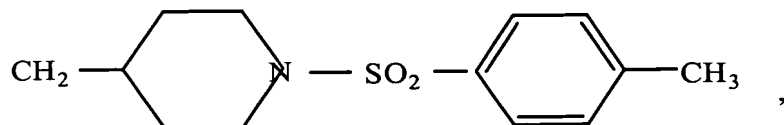
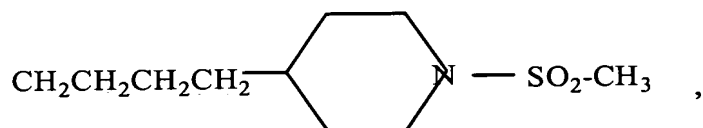
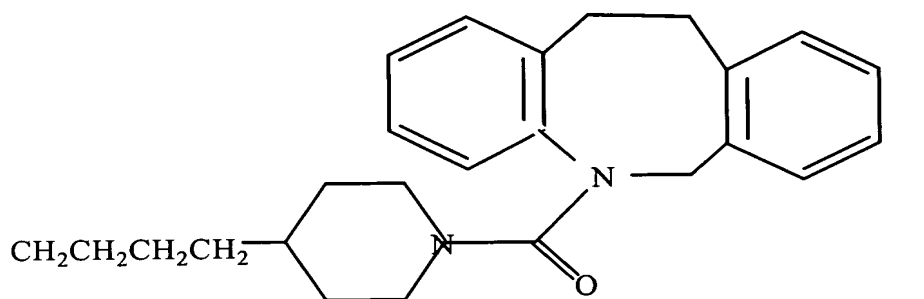
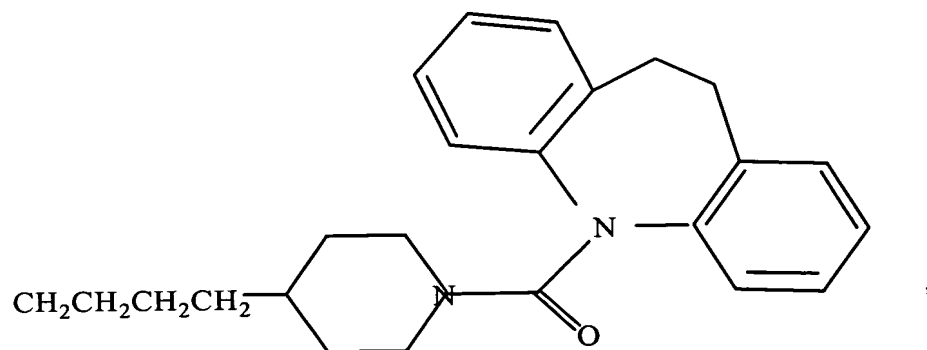


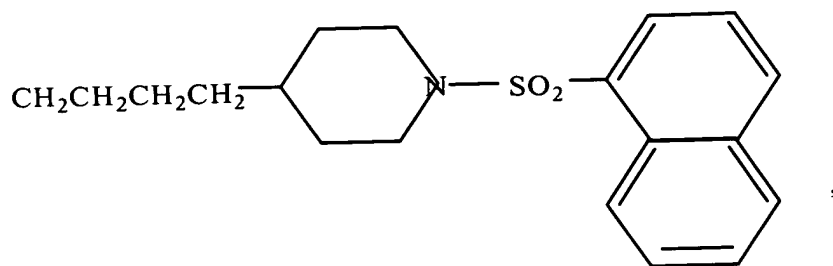




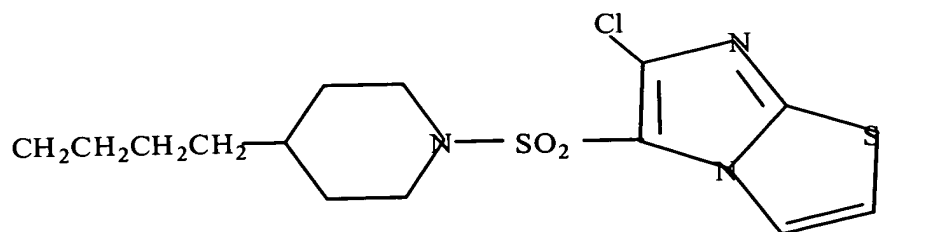
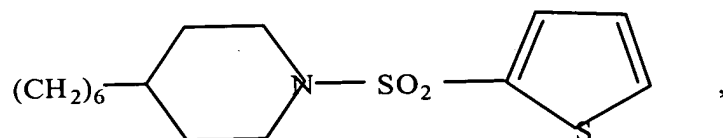
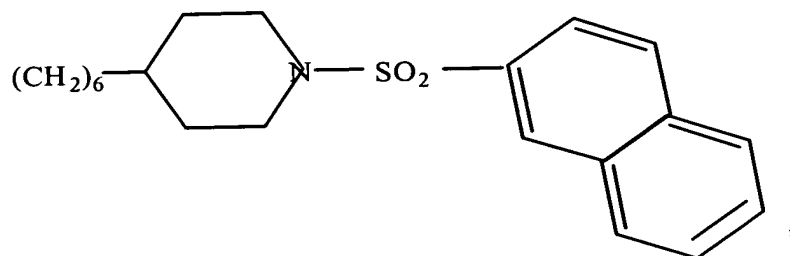
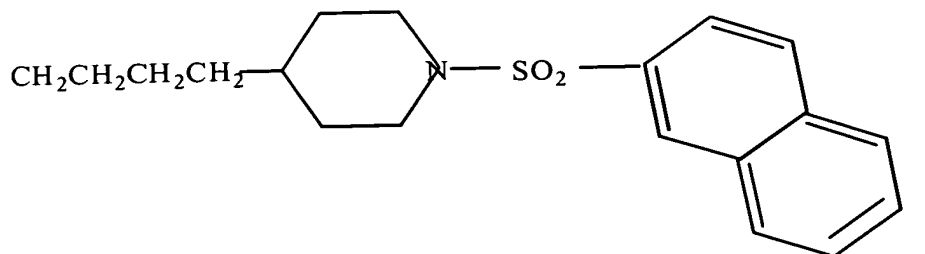
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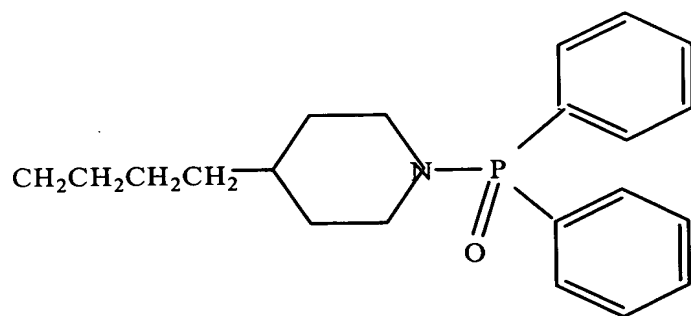
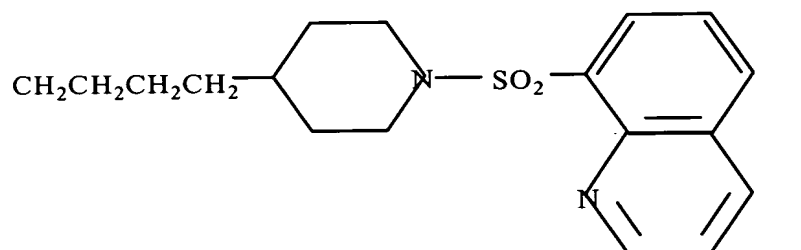
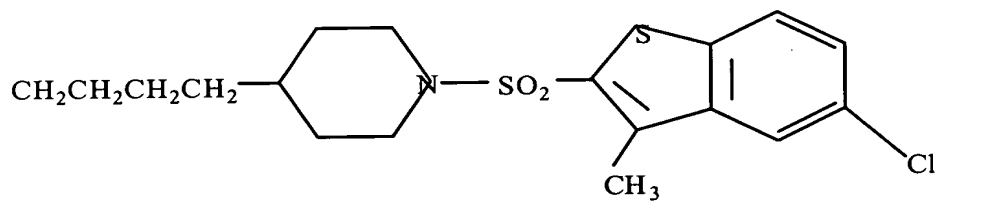
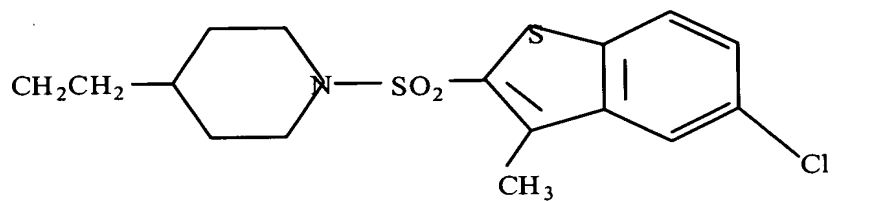
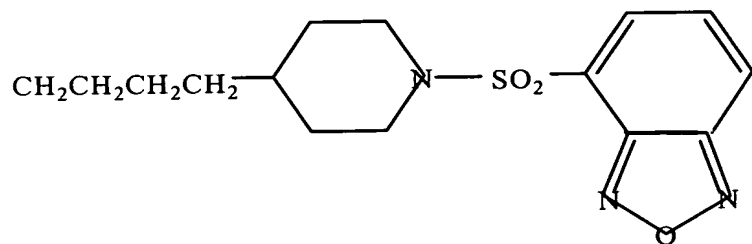


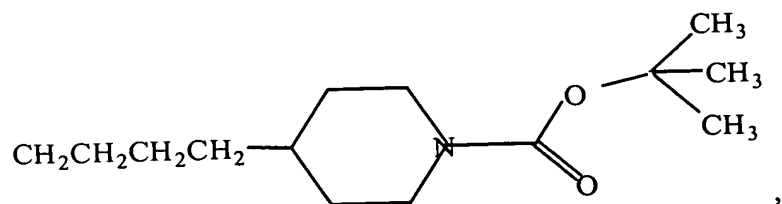
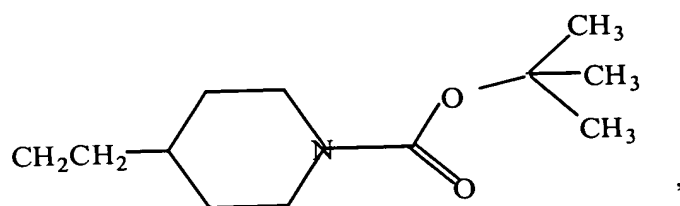
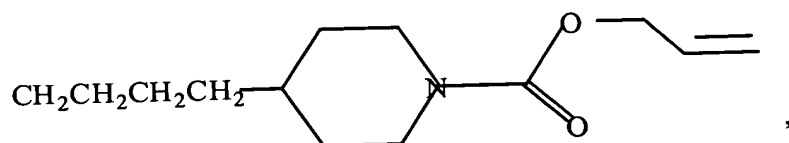
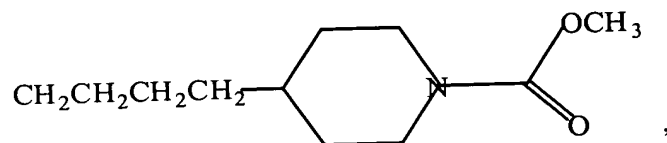
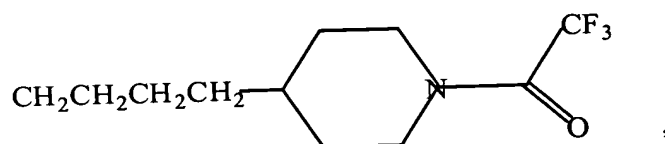
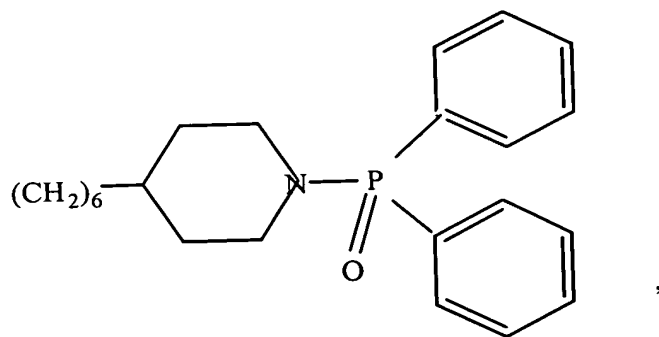


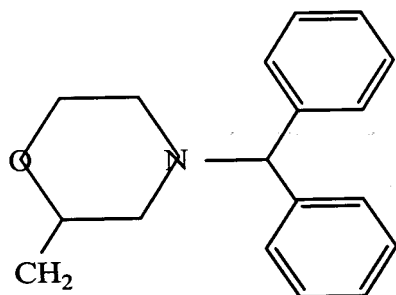
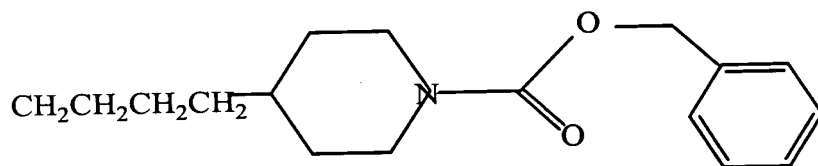
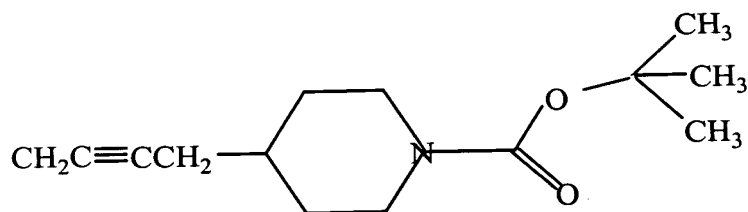
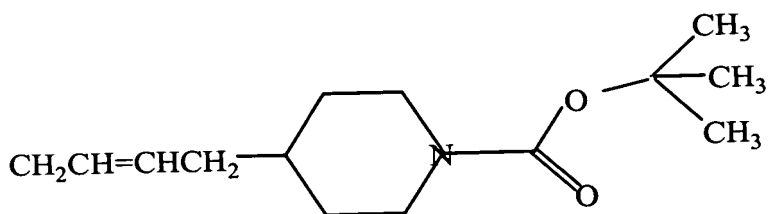


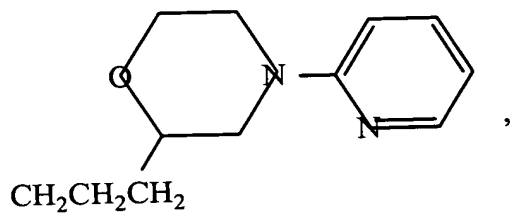
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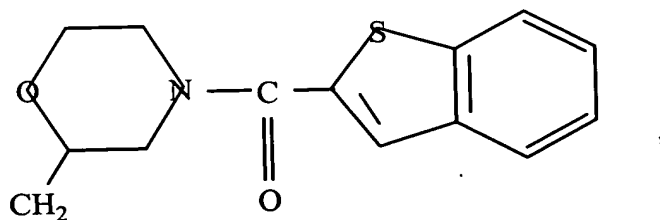
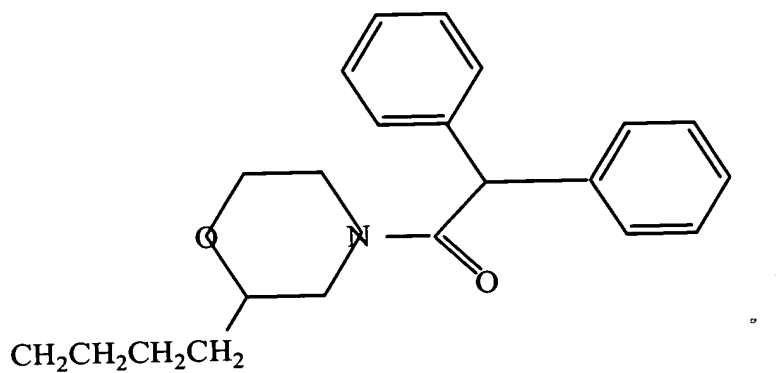
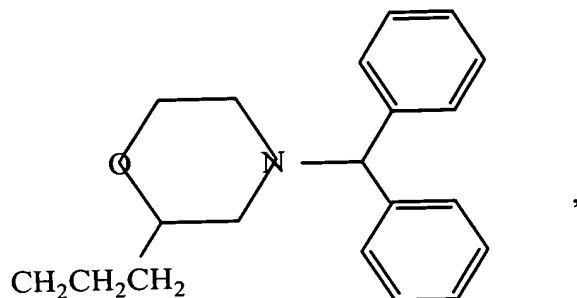


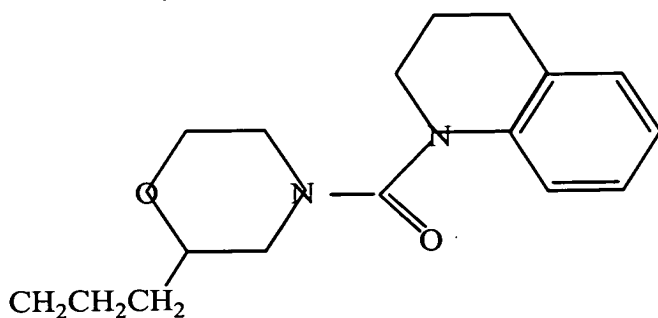
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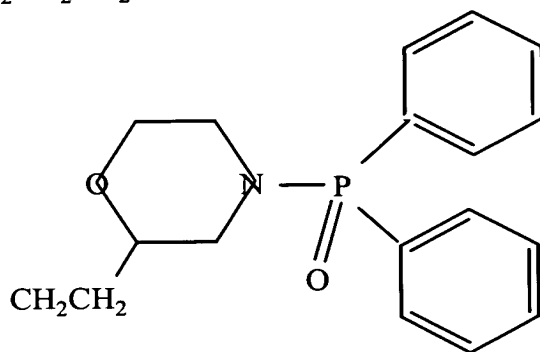


94
cont





and



PLEASE ADD THE FOLLOWING NEW CLAIMS:

D5
cont

83. A compound according to claim ~~42~~ wherein two adjacent groups of an aromatic ring in the substituted C1-C6-alkoxy may form an additional ring over a methylenedioxy bridge.

84. A compound according to claim ~~56~~ wherein two adjacent groups of an aromatic ring in the substituted C1-C6-alkoxy may form an additional ring over a methylenedioxy bridge.

85. A compound according to claim ~~64~~ wherein two adjacent groups of an aromatic ring in the substituted C1-C6-alkoxy may form an additional ring over a methylenedioxy bridge.